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                 thesaurus added in PCTFULL
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                 Derwent World Patents Index to be reloaded and enhanced
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                 USPATFULL/USPAT2
NEWS 20
         MAY 30
                 The F-Term thesaurus is now available in CA/CAplus
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                 The first reclassification of IPC codes now complete in
                 INPADOC
NEWS EXPRESS
                 FEBRUARY 15 CURRENT VERSION FOR WINDOWS IS V8.01a,
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                 AND CURRENT DISCOVER FILE IS DATED 19 DECEMBER 2005.
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chain nodes :
10 11 12 16
ring nodes :
1 2 3 4 5 6 7 8 9
chain bonds :
1-10 7-16 10-11 10-12
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9
exact/norm bonds :
1-2 1-6 1-10 2-3 3-4 4-5 5-6 5-7 6-9 7-8 7-16 8-9 10-12
exact bonds :
10-11

G1:Cb,Cy,Hy

G2:C,Cb,Cy,Ak

G3:A,Cb,Cy,Hy

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS 11:CLASS 12:CLASS 16:CLASS

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR

G1 Cb,Cy,Hy

G2 C, Cb, Cy, Ak

G3 A, Cb, Cy, Hy

Structure attributes must be viewed using STN Express query preparation.

50 ANSWERS

=> s 11

SAMPLE SEARCH INITIATED 18:39:15 FILE 'REGISTRY'
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L2 50 SEA SSS SAM L1

=> s 12 full

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=> s 13 L4 63 L3

 $\Rightarrow$  d 14 ibib hitstr abs 1-63

ANSWER 1 OF 63 CAPLUS COPYRIGHT 2006 ACS on STN ACCESSION NUMBER: 2006:382981 CAPLUS DOCUMENT NUMBER: 144:432830 Preparation of pyrazolo[1,5-a]pyrimidines as TITLE: corticotropin-releasing factor (CRF) receptor antagonists. INVENTOR(S): Lanier, Marion; Luo, Zhiyong; Moorjani, Manisha; Tellew, John Edward; Williams, John P.; Zhang, Xiaohu PATENT ASSIGNEE(S): Sb Pharmco Puerto Rico Inc., P. R.; Neurocrine Biosciences Inc. SOURCE: PCT Int. Appl., 117 pp. CODEN: PIXXD2 DOCUMENT TYPE: Patent LANGUAGE: English FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO. KIND DATE APPLICATION NO. DATE \_\_\_\_ \_\_\_\_\_\_ WO 2006044958 A1 20060427 WO 2005-US37576 20051019 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM US 2004-620060P P 20041019 GB 2005-19957 A 20050930 PRIORITY APPLN. INFO.: 885220-17-7P 885220-18-8P 885220-19-9P ΙT 885220-20-2P 885220-21-3P 885220-22-4P 885220-23-5P 885220-24-6P 885220-25-7P 885220-26-8P 885220-27-9P 885220-28-0P 885220-29-1P 885220-30-4P 885220-31-5P 885220-32-6P 885220-33-7P 885220-35-9P 885220-36-0P 885220-37-1P 885220-38-2P 885220-41-7P 885220-42-8P 885220-44-0P 885220-45-1P 885220-46-2P 885220-47-3P 885220-48-4P 885220-49-5P 885220-50-8P 885220-51-9P 885220-52-0P 885220-53-1P 885220-54-2P 885220-55-3P 885220-56-4P 885220-57-5P 885220-58-6P 885220-59-7P 885220-60-0P 885220-61-1P 885220-62-2P 885220-64-4P 885220-70-2P 885220-71-3P 885220-72-4P 885220-73-5P 885220-74-6P 885220-75-7P 885220-76-8P 885220-79-1P 885220-80-4P 885220-81-5P 885220-82-6P 885220-83-7P 885220-84-8P 885220-85-9P 885220-86-0P 885220-87-1P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (claimed compound; preparation of pyrazolopyrimidines as CRF receptor antagonists)

T. 4

RN 885220-17-7 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-[1-(3-cyclopropyl-1,2,4-oxadiazol-5-yl)propyl]-3-(4-methoxy-2-methylphenyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 885220-18-8 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-methoxy-2-methylphenyl)-2,5-dimethyl-N-[1-[3-(1-methylethyl)-1,2,4-oxadiazol-5-yl]propyl]- (9CI) (CA INDEX NAME)

RN 885220-19-9 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-methoxy-2-methylphenyl)-2,5-dimethyl-N-[1-(3-methyl-1,2,4-oxadiazol-5-yl)-2-phenylethyl]- (9CI) (CA INDEX NAME)

RN 885220-20-2 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-methoxy-2-methylphenyl)-2,5-dimethyl-N-[1-(3-methyl-1,2,4-oxadiazol-5-yl)butyl]- (9CI) (CA INDEX NAME)

RN 885220-21-3 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-methoxy-2-methylphenyl)-2,5-dimethyl-N-[(3-methyl-1,2,4-oxadiazol-5-yl)methyl]- (9CI) (CA INDEX NAME)

RN 885220-22-4 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-[(3-cyclopropyl-1,2,4-oxadiazol-5-yl)methyl]-3-(4-methoxy-2-methylphenyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 885220-23-5 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-methoxy-2-methylphenyl)-2,5-dimethyl-N-[[3-(1-methylethyl)-1,2,4-oxadiazol-5-yl]methyl]- (9CI) (CA INDEX NAME)

RN 885220-24-6 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-[(1R)-2-(3-cyclopropyl-1,2,4-oxadiazol-5-yl)-1-methylethyl]-3-(4-methoxy-2-methylphenyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 885220-25-7 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-methoxy-2-methylphenyl)-2,5-dimethyl-N-[(1R)-1-methyl-2-(3-methyl-1,2,4-oxadiazol-5-yl)ethyl]- (9CI) (CA INDEX NAME)

RN 885220-26-8 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-methoxy-2-methylphenyl)-2,5-dimethyl-N-[1-[3-(trifluoromethyl)-1,2,4-oxadiazol-5-yl]propyl]- (9CI) (CA INDEX NAME)

RN 885220-27-9 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-[1-(3-cyclopropyl-1,2,4-oxadiazol-5-yl)cyclopropyl]-3-(4-methoxy-2-methylphenyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 885220-28-0 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-methoxy-2-methylphenyl)-2,5-dimethyl-N-[1-(3-methyl-1,2,4-oxadiazol-5-yl)cyclopropyl]- (9CI) (CA INDEX NAME)

RN 885220-29-1 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-[1-(3-ethyl-1,2,4-oxadiazol-5-yl)cyclopropyl]-3-(4-methoxy-2-methylphenyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 885220-30-4 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-methoxy-2-methylphenyl)-2,5-dimethyl-N-[(3-propyl-1,2,4-oxadiazol-5-yl)methyl]- (9CI) (CA INDEX NAME)

RN 885220-31-5 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-methoxy-2-methylphenyl)-2,5-dimethyl-N-[1-[3-(trifluoromethyl)-1,2,4-oxadiazol-5-yl]cyclopropyl]-(9CI) (CA INDEX NAME)

RN 885220-32-6 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-[(1R)-2-(3-ethyl-1,2,4-oxadiazol-5-yl)-1-methylethyl]-3-(4-methoxy-2-methylphenyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 885220-33-7 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-[6-(dimethylamino)-4-methyl-3-pyridinyl]-2,5-dimethyl-N-[(1R)-3-methyl-1-(3-methyl-1,2,4-oxadiazol-5-yl)butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 885220-35-9 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethoxyphenyl)-2,5-dimethyl-N-[1-(3-methyl-1,2,4-oxadiazol-5-yl)propyl]- (9CI) (CA INDEX NAME)

RN 885220-36-0 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethoxyphenyl)-2,5-dimethyl-N-[1-(3-methyl-1,2,4-oxadiazol-5-yl)ethyl]- (9CI) (CA INDEX NAME)

RN 885220-37-1 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethoxyphenyl)-2,5-dimethyl-N-[1-(3-methyl-1,2,4-oxadiazol-5-yl)butyl]- (9CI) (CA INDEX NAME)

RN 885220-38-2 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethoxyphenyl)-2,5-dimethyl-N-[3-methyl-1-(3-methyl-1,2,4-oxadiazol-5-yl)butyl]- (9CI) (CA INDEX NAME)

RN 885220-41-7 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-methoxy-2-methylphenyl)-2,5-dimethyl-N-[1-(3-methyl-1,2,4-oxadiazol-5-yl)propyl]- (9CI) (CA INDEX NAME)

RN 885220-42-8 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-methoxy-2-methylphenyl)-2,5-dimethyl-N-[1-methyl-2-(3-methyl-1,2,4-oxadiazol-5-yl)ethyl]- (9CI) (CA INDEX NAME)

RN 885220-44-0 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-methoxy-2-methylphenyl)-2,5-dimethyl-N-[2,2,2-trifluoro-1-[(3-methyl-1,2,4-oxadiazol-5-yl)methyl]- (9CI) (CA INDEX NAME)

RN 885220-45-1 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-[2-(3-cyclopropyl-1,2,4-oxadiazol-5-yl)-1-methylethyl]-3-(4-methoxy-2-methylphenyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 885220-46-2 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-methoxy-2-methylphenyl)-2,5-dimethyl-N-[1-methyl-2-[3-(1-methylethyl)-1,2,4-oxadiazol-5-yl]ethyl]-(9CI) (CA INDEX NAME)

RN 885220-47-3 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-[(1S)-2-(3-cyclopropyl-1,2,4-oxadiazol-5-yl)-1-methylethyl]-3-(4-methoxy-2-methylphenyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 885220-48-4 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-methoxy-2-methylphenyl)-2,5-dimethyl-N-[(1S)-1-methyl-2-[3-(1-methylethyl)-1,2,4-oxadiazol-5-yl]ethyl]-(9CI) (CA INDEX NAME)

RN 885220-49-5 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-methoxy-2-methylphenyl)-2,5-dimethyl-N-[(1S)-1-methyl-2-(3-methyl-1,2,4-oxadiazol-5-yl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 885220-50-8 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-methoxy-2-methylphenyl)-2,5-dimethyl-N-[1-[(3-methyl-1,2,4-oxadiazol-5-yl)methyl]propyl]- (9CI) (CA INDEX NAME)

RN 885220-51-9 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-[1-[(3-cyclopropyl-1,2,4-oxadiazol-5-yl)methyl]propyl]-3-(2,4-dimethoxyphenyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 885220-52-0 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethoxyphenyl)-2,5-dimethyl-N-[1-[(3-methyl-1,2,4-oxadiazol-5-yl)methyl]propyl]- (9CI) (CA INDEX NAME)

RN 885220-53-1 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-methoxy-2-methylphenyl)-2,5-dimethyl-N-[(1S)-2,2,2-trifluoro-1-[(3-methyl-1,2,4-oxadiazol-5-yl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 885220-54-2 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-methoxy-2-methylphenyl)-2,5-dimethyl-N-[1-methyl-2-[3-(trifluoromethyl)-1,2,4-oxadiazol-5-yl]ethyl]-(9CI) (CA INDEX NAME)

RN 885220-55-3 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4-methoxyphenyl)-2,5-dimethyl-N-[(1S)-2,2,2-trifluoro-1-[(3-methyl-1,2,4-oxadiazol-5-yl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 885220-56-4 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-methoxy-2-methylphenyl)-2,5-dimethyl-N-[(1R)-1-methyl-2-[3-(trifluoromethyl)-1,2,4-oxadiazol-5-yl]ethyl]- (9CI) (CA INDEX NAME)

RN 885220-57-5 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4-methoxyphenyl)-2,5-dimethyl-N-[(1R)-1-methyl-2-[3-(trifluoromethyl)-1,2,4-oxadiazol-5-yl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 885220-58-6 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethoxyphenyl)-2,5-dimethyl-N-[(1R)-1-methyl-2-[3-(trifluoromethyl)-1,2,4-oxadiazol-5-yl]ethyl]- (9CI) (CA INDEX NAME)

RN 885220-59-7 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethoxyphenyl)-2,5-dimethyl-N-[(1S)-2,2,2-trifluoro-1-[(3-methyl-1,2,4-oxadiazol-5-yl)methyl]ethyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 885220-60-0 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethoxyphenyl)-2,5-dimethyl-N-[(1S)-2,2,2-trifluoro-1-[[3-(trifluoromethyl)-1,2,4-oxadiazol-5-yl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 885220-61-1 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-methoxy-2-methylphenyl)-2,5-dimethyl-N-[(1S)-1-(3-methyl-1,2,4-oxadiazol-5-yl)propyl]- (9CI) (CA INDEX NAME)

RN 885220-62-2 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-methoxy-2-methylphenyl)-2,5-dimethyl-N-[(1R)-1-(3-methyl-1,2,4-oxadiazol-5-yl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 885220-64-4 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4-methoxyphenyl)-2,5-dimethyl-N-[(1S)-1-(3-methyl-1,2,4-oxadiazol-5-yl)propyl]- (9CI) (CA INDEX NAME)

RN 885220-70-2 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-methoxy-2-methylphenyl)-2,5-dimethyl-N-[(1R)-1-methyl-2-(5-methyl-1,2,4-oxadiazol-3-yl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 885220-71-3 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-methoxy-2-methylphenyl)-2,5-dimethyl-N-[(1S)-1-[(5-methyl-1,2,4-oxadiazol-3-yl)methyl]propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 885220-72-4 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-methoxy-2-methylphenyl)-2,5-dimethyl-N-[(1R)-1-[(5-methyl-1,2,4-oxadiazol-3-yl)methyl]propyl]- (9CI) (CA INDEX NAME)

RN 885220-73-5 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-methoxy-2-methylphenyl)-2,5-dimethyl-N-[(1S)-1-methyl-2-(5-methyl-1,2,4-oxadiazol-3-yl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 885220-74-6 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-[(1R)-2-(5-cyclopropyl-1,2,4-oxadiazol-3-yl)-1-methylethyl]-3-(4-methoxy-2-methylphenyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 885220-75-7 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-methoxy-2-methylphenyl)-2,5-dimethyl-N-[(1R)-1-methyl-2-[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 885220-76-8 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-methoxy-2-methylphenyl)-2,5-dimethyl-N-[(1S)-2,2,2-trifluoro-1-[(5-methyl-1,2,4-oxadiazol-3-yl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 885220-79-1 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-methoxy-2-methylphenyl)-2,5-dimethyl-N-[1-(1,3,4-oxadiazol-2-yl)propyl]- (9CI) (CA INDEX NAME)

RN 885220-80-4 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-methoxy-2-methylphenyl)-2,5-dimethyl-N-[1-(5-methyl-1,3,4-oxadiazol-2-yl)propyl]- (9CI) (CA INDEX NAME)

RN 885220-81-5 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-methoxy-2-methylphenyl)-2,5-dimethyl-N-[1-methyl-2-(5-methyl-1,3,4-oxadiazol-2-yl)ethyl]- (9CI) (CA INDEX NAME)

RN 885220-82-6 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-methoxy-2-methylphenyl)-2,5-dimethyl-N-[1-methyl-2-[5-(trifluoromethyl)-1,3,4-oxadiazol-2-yl]ethyl]-(9CI) (CA INDEX NAME)

RN 885220-83-7 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4-methoxyphenyl)-2,5-dimethyl-N-[1-(3-methyl-1,2,4-oxadiazol-5-yl)propyl]- (9CI) (CA INDEX NAME)

RN 885220-84-8 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-chloro-2-methoxyphenyl)-2,5-dimethyl-N-[1-(3-methyl-1,2,4-oxadiazol-5-yl)propyl]- (9CI) (CA INDEX NAME)

RN 885220-85-9 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(3-chloro-4-fluorophenyl)-2,5-dimethyl-N-[1-(3-methyl-1,2,4-oxadiazol-5-yl)propyl]-(9CI) (CA INDEX NAME)

RN 885220-86-0 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-chloro-2-methylphenyl)-2,5-dimethyl-N-[1-(3-methyl-1,2,4-oxadiazol-5-yl)propyl]- (9CI) (CA INDEX NAME)

RN 885220-87-1 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-[2-chloro-4-(trifluoromethyl)phenyl]-2,5-dimethyl-N-[1-(3-methyl-1,2,4-oxadiazol-5-yl)propyl]-(9CI) (CA INDEX NAME)

ΙT 885221-15-8P 885221-16-9P 885221-18-1P 885221-19-2P 885221-20-5P 885221-21-6P 885221-22-7P 885221-23-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses) (preparation of pyrazolopyrimidines as CRF receptor antagonists)

885221-15-8 CAPLUS Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-methoxy-2-methylphenyl)-2,5-CN dimethyl-N-[1-(3-methyl-1,2,4-oxadiazol-5-yl)ethyl]-(9CI) (CA INDEX NAME)

885221-16-9 CAPLUS RN

Pyrazolo[1,5-a]pyrimidin-7-amine, 3-[6-(dimethylamino)-4-methyl-3-CN pyridiny1]-2,5-dimethyl-N-[(1S)-3-methyl-1-(3-methyl-1,2,4-oxadiazol-5yl)butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN

RN 885221-18-1 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-methoxy-2-methylphenyl)-2,5-dimethyl-N-[(1S)-1-(3-methyl-1,2,4-oxadiazol-5-yl)butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 885221-19-2 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-methoxy-2-methylphenyl)-2,5-dimethyl-N-[(1S)-1-(3-methyl-1,2,4-oxadiazol-5-yl)butyl]-, hydrochloride (9CI) (CA INDEX NAME)

•x HCl

RN 885221-20-5 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-methoxy-2-methylphenyl)-2,5-dimethyl-N-[(1S)-1-(3-methyl-1,2,4-oxadiazol-5-yl)propyl]-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

•x HCl

RN 885221-21-6 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-methoxy-2-methylphenyl)-2,5-dimethyl-N-[(1S)-2,2,2-trifluoro-1-[[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]methyl]- (9CI) (CA INDEX NAME)

RN 885221-22-7 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-methoxy-2-methylphenyl)-2,5-dimethyl-N-[(1S)-2,2,2-trifluoro-1-[[5-(trifluoromethyl)-1,2,4-oxadiazol-3-yl]methyl]ethyl]-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

•x HCl

RN 885221-23-8 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4-methylphenyl)-2,5-dimethyl-N-[1-(3-methyl-1,2,4-oxadiazol-5-yl)propyl]- (9CI) (CA INDEX NAME)

RN 885220-95-1 CAPLUS
CN Butanoic acid, 3-[[3-(4-methoxy-2-methylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

RN 885220-96-2 CAPLUS CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

RN 885220-97-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

RN 885220-98-4 CAPLUS

CN Ethanimidamide, N-[(2S)-2-[[3-(4-methoxy-2-methylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]-1-oxobutoxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 885220-99-5 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-methoxy-2-methylphenyl)-2,5-dimethyl-N-[(1S)-1-(3-methyl-1,2,4-oxadiazol-5-yl)propyl]-, methanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 885220-61-1 CMF C22 H26 N6 O2

Absolute stereochemistry.

CM 2

CRN 75-75-2 CMF C H4 O3 S

RN 885221-02-3 CAPLUS

CN Butanenitrile, 3-[[3-(4-methoxy-2-methylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 885221-03-4 CAPLUS

CN Butanoic acid, 4,4,4-trifluoro-3-[[3-(4-methoxy-2-methylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]-, ethyl ester, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 885221-04-5 CAPLUS

CN Butanoic acid, 4,4,4-trifluoro-3-[[3-(4-methoxy-2-methylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 885221-05-6 CAPLUS

CN Butanamide, 4,4,4-trifluoro-3-[[3-(4-methoxy-2-methylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 885221-06-7 CAPLUS

CN Butanenitrile, 4,4,4-trifluoro-3-[[3-(4-methoxy-2-methylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 885221-08-9 CAPLUS

CN Butanoic acid, 2-[[3-(4-methoxy-2-methylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]-, hydrazide (9CI) (CA INDEX NAME)

RN 885221-09-0 CAPLUS

CN Butanoic acid, 2-[[3-(4-methoxy-2-methylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]-, 2-formylhydrazide (9CI) (CA INDEX NAME)

RN 885221-10-3 CAPLUS

CN Butanoic acid, 2-[[3-(4-methoxy-2-methylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]-, 2-acetylhydrazide (9CI) (CA INDEX NAME)

RN 885221-11-4 CAPLUS

CN Butaneperoxoic acid, 3-[[3-(4-methoxy-2-methylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]-, methyl ester (9CI) (CA INDEX NAME)

RN 885221-12-5 CAPLUS

CN Butanoic acid, 3-[[3-(4-methoxy-2-methylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]-, hydrazide (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & Me \\ \parallel & \parallel \\ H_2N-NH-C-CH_2-CH-NH \\ \hline \\ Me & N \\ \hline \end{array}$$

RN 885221-13-6 CAPLUS

CN Butanoic acid, 3-[[3-(4-methoxy-2-methylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]-, 2-acetylhydrazide (9CI) (CA INDEX NAME)

RN 885221-14-7 CAPLUS

CN Butanoic acid, 2-[[3-(2-chloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]-, methyl ester (9CI) (CA INDEX NAME)

GI

$$R^2$$
?  $R^2$ ? Het  $N^{-N}$   $N^{-N}$   $Ar-(R^7)_p$  I

Title compds. [I; R1 = H, (substituted) alkyl, haloalkyl, alkoxyalkyl, aralkyl, heterocycloalkyl; R2a, R2b = H, (substituted) alkyl, haloalkyl, aralkyl, alkoxyalkyl, alkylsulfonylalkyl, aminoalkyl; R1R2a, R1R2b = atoms to form 4-7 membered ring; Y = bond, C(R4aR4b)m; m = 1, 2; R4a, R4b = H, (substituted) alkyl, aralkyl, alkoxyalkyl, alkylsulfonylalkyl, aminoalkyl; R4aR4bC = atoms to form a 3-7 membered ring; Het = (substituted) oxadiazolyl; n = 0-3; R6 = H, (substituted) alkyl; R7 = H, (substituted) alkyl, alkoxy, amino, alkylsulfonyl; p = 0-3; Ar = Ph, pyridyl], were prepared Thus, [3-(4-methoxy-2-methylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-[(S)-1-(3-methyl-1,2,4-oxadiazol-5-yl)propyl]amine (multistep preparation given) showed CRF receptor binding affinity with Ki <100 nM.

REFERENCE COUNT:

2

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 63 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2006:170572 CAPLUS

DOCUMENT NUMBER: 144:254146

TITLE: Preparation of novel pyrazolopyrimidines as cyclin

dependent kinase inhibitors

INVENTOR(S): Guzi, Timothy J.; Paruch, Kamil; Dwyer, Michael P.

PATENT ASSIGNEE(S): Schering Corporation, USA

SOURCE: U.S. Pat. Appl. Publ., 64 pp., Cont.-in-part of U.S.

Ser. No. 654,157.

CODEN: USXXCO DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE			
				_			
US 2006040958	A1	20060223	US 2005-244776		20051006		
US 2004102451	A1	20040527	US 2003-654157		20030903		
PRIORITY APPLN. INFO.:			US 2002-408030P	P	20020904		
			US 2003-654157	Α2	20030903		

OTHER SOURCE(S): MARPAT 144:254146

I 877380-20-6P 877380-21-7P 877380-23-9P

877380-24-0P 877380-25-1P 877380-26-2P

877380-27-3P 877380-28-4P 877380-29-5P

877380-30-8P 877380-31-9P 877380-32-0P

877380-33-1P 877380-34-2P 877380-35-3P

877380-36-4P 877380-37-5P 877380-38-6P

877380-39-7P 877380-40-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of novel pyrazolopyrimidines as cyclin dependent kinase inhibitors for treatment and prevention of diseases)

RN 877380-20-6 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-(3-methyl-5-isothiazolyl)-3-(1-methyl-1H-pyrazol-4-yl)-5-(3-piperidinyl)- (9CI) (CA INDEX NAME)

RN 877380-21-7 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(1-methyl-1H-pyrazol-4-yl)-5-(3-piperidinyl)-N-[3-(4-piperidinyl)-5-isothiazolyl]- (9CI) (CA INDEX NAME)

RN 877380-23-9 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(1-methyl-1H-pyrazol-4-yl)-5-(3-piperidinyl)-N-[3-(3-pyrrolidinyl)-5-isothiazolyl]- (9CI) (CA INDEX NAME)

RN 877380-24-0 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(1-methyl-1H-pyrazol-4-yl)-5-(3-piperidinyl)-N-[3-(2-pyrrolidinyl)-5-isothiazolyl]- (9CI) (CA INDEX NAME)

RN 877380-25-1 CAPLUS

CN 1-Pyrrolidinecarboxylic acid, 2-[5-[[3-(1-methyl-1H-pyrazol-4-yl)-5-(3-piperidinyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-3-isothiazolyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 877380-26-2 CAPLUS

CN 1-Pyrrolidinecarboxylic acid, 3-[5-[[3-(1-methyl-1H-pyrazol-4-yl)-5-(3-piperidinyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-3-isothiazolyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 877380-27-3 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(1-methyl-1H-pyrazol-4-yl)-5-(3-piperidinyl)-N-[3-(tetrahydro-2-furanyl)-5-isothiazolyl]- (9CI) (CA INDEX NAME)

RN 877380-28-4 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(1-methyl-1H-pyrazol-4-yl)-5-(3-piperidinyl)-N-[3-(tetrahydro-3-furanyl)-5-isothiazolyl]- (9CI) (CA INDEX NAME)

RN 877380-29-5 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(1-methyl-1H-pyrazol-4-yl)-5-(3-piperidinyl)-N-[3-(tetrahydro-3-thienyl)-5-isothiazolyl]- (9CI) (CA INDEX NAME)

RN 877380-30-8 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(1-methyl-1H-pyrazol-4-yl)-5-(3-piperidinyl)-N-[3-(tetrahydro-2-thienyl)-5-isothiazolyl]- (9CI) (CA INDEX NAME)

RN 877380-31-9 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(1-methyl-1H-pyrazol-4-yl)-5-(3-piperidinyl)-N-[3-(2-piperidinyl)-5-isothiazolyl]- (9CI) (CA INDEX NAME)

RN 877380-32-0 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(1-methyl-1H-pyrazol-4-yl)-5-(3-piperidinyl)-N-[3-(3-piperidinyl)-5-isothiazolyl]- (9CI) (CA INDEX NAME)

RN 877380-33-1 CAPLUS

CN 1-Piperidinecarboxylic acid, 2-[5-[[3-(1-methyl-1H-pyrazol-4-yl)-5-(3-piperidinyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-3-isothiazolyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 877380-34-2 CAPLUS

CN 1-Piperidinecarboxylic acid, 3-[5-[[3-(1-methyl-1H-pyrazol-4-yl)-5-(3-piperidinyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-3-isothiazolyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 877380-35-3 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(1-methyl-1H-pyrazol-4-yl)-5-(3-piperidinyl)-N-[3-(tetrahydro-2H-pyran-2-yl)-5-isothiazolyl]- (9CI) (CA INDEX NAME)

RN 877380-36-4 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(1-methyl-1H-pyrazol-4-yl)-5-(3-piperidinyl)-N-[3-(tetrahydro-2H-pyran-3-yl)-5-isothiazolyl]- (9CI) (CA INDEX NAME)

RN 877380-37-5 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(1-methyl-1H-pyrazol-4-yl)-5-(3-piperidinyl)-N-[3-(tetrahydro-2H-pyran-4-yl)-5-isothiazolyl]- (9CI) (CA INDEX NAME)

RN 877380-38-6 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(1-methyl-1H-pyrazol-4-yl)-5-(3-piperidinyl)-N-[3-(tetrahydro-2H-thiopyran-2-yl)-5-isothiazolyl]- (9CI) (CA INDEX NAME)

RN 877380-39-7 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(1-methyl-1H-pyrazol-4-yl)-5-(3-piperidinyl)-N-[3-(tetrahydro-2H-thiopyran-3-yl)-5-isothiazolyl]- (9CI) (CA INDEX NAME)

RN 877380-40-0 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(1-methyl-1H-pyrazol-4-yl)-5-(3-piperidinyl)-N-[3-(tetrahydro-2H-thiopyran-4-yl)-5-isothiazolyl]- (9CI) (CA INDEX NAME)

GI

AB The title compds. I [R18 = alkyl or heterocyclyl (wherein heterocyclyl is linked to the isothiazole ring via a carbon atom on heterocyclyl)], useful as inhibitors of cyclin dependent kinases, were prepared Thus, reacting II (preparation given) with 5-amino-3-methylisothiazole hydrochloride followed by treating with Boc2O, coupling of the resulting intermediate with 1-methyl-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-1H-pyrazole and Boc-deprotection afforded I [R18 = Me]. The compds. I are tested in in vitro cyclin E/CDK2 kinase assay (no data given). The invention also provides pharmaceutical compns. containing one or more compds. I, methods of preparing pharmaceutical formulations comprising one or more such compds., and methods of treatment, prevention, inhibition, or amelioration of one or more diseases associated with the CDKs using such compds. or pharmaceutical compns.

L4 ANSWER 3 OF 63 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2006:167936 CAPLUS

DOCUMENT NUMBER: 144:254145

TITLE: Preparation of novel pyrazolopyrimidines as cyclin

dependent kinase inhibitors

INVENTOR(S): Guzi, Timothy J.; Paruch, Kamil; Dwyer, Michael P.

PATENT ASSIGNEE(S): Schering Corporation, USA

SOURCE: U.S. Pat. Appl. Publ., 85 pp., Cont.-in-part of U.S.

Ser. No. 653,776. CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE			
				_			
US 2006041131	A1	20060223	US 2005-244772		20051006		
US 2004106624	A1	20040603	US 2003-653776		20030903		
PRIORITY APPLN. INFO.:			US 2002-408029P	Ρ	20020904		
			US 2003-653776	Α2	20030903		

OTHER SOURCE(S): MARPAT 144:254145

IT 676366-14-6P 877173-69-8P 877173-71-2P

877173-73-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of novel pyrazolopyrimidines as cyclin dependent kinase inhibitors for treatment and prevention of diseases)

RN 676366-14-6 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-cyclopropyl-N-[4-(methylsulfonyl)phenyl]-5-phenyl- (9CI) (CA INDEX NAME)

RN 877173-69-8 CAPLUS

CN 1,3-Benzenediamine, N,N-dimethyl-N'-[3-(1-methyl-1H-pyrazol-4-yl)pyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 877173-71-2 CAPLUS

CN Phenol, 4-[[3-(1-methyl-1H-pyrazol-4-yl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

RN 877173-73-4 CAPLUS

CN 1,3-Benzenediamine, N,N-dimethyl-N'-[3-(1-methyl-1H-pyrazol-4-yl)-5-(3-piperidinyl)pyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

GΙ

AB The title compds. I [R = aryl optionally substituted with one or more heteroaryl; R2 = alkyl, cycloalkyl, CF3, etc.; R3 = H, halo, alkyl, etc.; R4 = H, halo, alkyl], useful as inhibitors of cyclin dependent kinases, were prepared Thus, reacting II (preparation given) with 4-methylsulfonylaniline

hydrochloride in the presence of iPr2NEt afforded 23% III. The compds. I were tested in in vitro cyclin E/CDK2 kinase assay (biol. data given for representative compds. I). The invention also provides pharmaceutical compns. containing one or more compds. I, methods of preparing pharmaceutical formulations comprising one or more such compds., and methods of treatment, prevention, inhibition, or amelioration of one or more diseases associated with the CDKs using such compds. or pharmaceutical compns.

ANSWER 4 OF 63 CAPLUS COPYRIGHT 2006 ACS on STN L4

ACCESSION NUMBER: 2006:152759 CAPLUS

DOCUMENT NUMBER: 144:233090

TITLE: Preparation of pyrazolo[1,5-a]pyrimidine derivatives

as MAPKAP-K2 inhibitors

Kosugi, Tomomi; Imai, Minoru; Makino, Hiroaki; INVENTOR(S):

Takakuwa, Mika; Unoki, Gen; Kataoka, Kenichiro;

Mitchell, Dale Robert; Simpson, Donald James; Harris,

Clifford John; Le, Joelle; Yamakoshi, Yuko

PATENT ASSIGNEE(S): Teijin Pharma Limited, Japan

SOURCE: PCT Int. Appl., 124 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent Japanese LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PATENT NO.				KIN:	KIND DATE APPLIC									D	DATE		
	WO 2006016715					A1 20060216			WO 2005-JP15031						20050811			
		W:	ΑE,	ΑG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KM,	KP,	KR,	KΖ,
			LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,
			NG,	ΝI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,
			SL,	SM,	SY,	ΤJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UΖ,	VC,	VN,	YU,
			ZA,	ZM,	ZW													
		RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	IE,
			IS,	ΙT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	BJ,
			CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	ΤG,	BW,	GH,
			GM,	KΕ,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	ΑM,	ΑZ,	BY,
			KG,	KΖ,	MD,	RU,	ΤJ,	TM										
PRIO:				_							JP 2	004-	23603	35		A 2	0040	813
OTHE:						MAR:	PAT	144:	2330	90								
ΙT	876	389-	72-9	P														
		PAC				_					_		-				•	
	(Th	erap	euti	c us	e);	BIOL	(Bi	olog	ical	stu	dy);	PRE:	P (P:	repa:	rati	on);	USE	S
	(Us	es)																
		(pre	para	tion	of :	pyra	zolo	[1, 5]	-a]p	yrim	idin	e de:	rivs	. as	MAP:	KAP-	K2 i	nhibitors)
RN		389-																
CN	Pyr	azol	0[1,	5-a]	pyri:	midi	n-7-	amin	e, 5	-[(c	is-4	-ami	nocy	cloh	exyl	) oxy	] -3-	
	cyc	lopr	opyl	−N− (	4-et	hoxy:	phen	yl)-	6-me	thyl	- (90	CI)	(CA	IND:	EX N	AME)		

Relative stereochemistry.

$$R^{1}$$
 $R^{2}$ 
 $R^{2}$ 
 $R^{2}$ 
 $R^{3}$ 
 $R^{4}$ 
 $Y-R^{5}$ 
 $R^{2}$ 

The title compds. I [R1 = H, (un)substituted alkyl, (un)substituted alkenyl, etc.; R2 = H, halo, CN, etc.; R3 = (un)substituted alkyl, (un)substituted alkenyl, (un)substituted alkynyl, etc.; R4 = H, halo, (un)substituted alkyl, etc.; R5 = (un)substituted alkyl, (un)substituted alkenyl, (un)substituted alkynyl, etc.; Y = O, S; a proviso is given] are prepared I are useful in the treatment of MAPKAP-K2 mediated diseases, for example, inflammatory diseases, autoimmune diseases, osteoclastic disorder, etc. Thus, (d1)-(4-ethoxyphenyl)-[6-methyl-5-(trans-4-propylpiperidin-3-yloxy)pyrazolo[1,5-a]pyrimidin-7-yl]amine was prepared by catalytic hydrogenation of (d1)-trans-4-Allyl-3-[7-(4-ethoxyphenylamino)-6-methylpyrazolo[1,5-a]pyrimidin-5-yloxy]piperidine-1-carboxylic acid benzyl ester. Many compds. of this invention showed IC50 values  $\leq 2~\mu M$  against MAPKAP-K2 (mitogen activated protein kinase-activated protein kinase-2).

9

REFERENCE COUNT:

THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 63 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:1026952 CAPLUS

DOCUMENT NUMBER: 143:326389

TITLE: Preparation of tricyclic heterocyclic compound as CRF

antagonist

INVENTOR(S): Nakai, Hisao; Saito, Tetsuji; Katsumata, Seishi

PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 98 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PATENT NO.					KIND DATE					APPL	ICAT	DATE						
	WO	2005	0877	75		A1 20050922					WO 2	005-		20050314					
	W: AE, AG, AL,						AM, AT, AU, AZ,			BA, BB, BG, BR, BW,					BY,	SY, BZ, CA, CH,			
			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FΙ,	GB,	GD,	
			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KΡ,	KR,	KΖ,	LC,	
			LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	ΝΙ,	
			NO,	NΖ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	
			SY,	ΤJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW
		RW:	BW,	GH,	GM,	ΚE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	
			AZ,	BY,	KG,	KΖ,	MD,	RU,	ΤJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	
			EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	ΙE,	IS,	ΙT,	LT,	LU,	MC,	NL,	PL,	PT,	
			RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	${ m ML}$ ,	
			MR,	NE,	SN,	TD,	ΤG												
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OTHE																			
ΙΤ		188-																	
		188-						6518	8-60	-9P									
		188-	-			-													
		PAC																	
		nerap	euti	c us	e);	BIOL	(Bi	olog	ical	stu	dy);	PRE:	P (P:	repa:	rati	on);	USE	S	
	(Us	ses)																	
								ta[d											
		pyra				yrro	10[3	,2-e	]pyr	imid	ines	as	CRF .	anta	goni	st)			
		188-																	
CN		-Cycl	_					-											
		hoxy	-	yl)-	6 <b>,</b> 7-	dihy	dro-	2-me	thyl	-N-[	(1S)	-1-m	ethy	lpro	pyl]	- (90	CI)	(CA	
	INI	EX N	AME)																

Absolute stereochemistry.

RN 865188-56-3 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, N-(1-ethylpropyl)-6,7-dihydro-3-(4-methoxy-2-methylphenyl)-2,7-dimethyl- (9CI) (CA INDEX NAME)

RN 865188-57-4 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, 3-(2-chloro-4-methoxyphenyl)-6,7-dihydro-2-methyl-N-(1-methylbutyl)-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 865188-58-5 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, 3-(2-chloro-4-methoxyphenyl)-6,7-dihydro-2-methyl-N-[(1R)-1-methylpropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 865188-59-6 CAPLUS

CN 5H,7H-Furo[3,4-d]pyrazolo[1,5-a]pyrimidin-8-amine, 3-(2-chloro-4-methoxyphenyl)-2-methyl-N-[(1R)-1-methylpropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 865188-60-9 CAPLUS

CN 5H,7H-Furo[3,4-d]pyrazolo[1,5-a]pyrimidin-8-amine, 3-(2-chloro-4-methoxyphenyl)-2-methyl-N-[(1S)-1-methylpropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 865188-62-1 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, 3-(2-chloro-4-methoxyphenyl)-6,7-dihydro-2-methyl-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 865188-76-7 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, N-(1-ethylpropyl)-6,7-dihydro-3-(4-methoxy-2-methylphenyl)-2,6,6-trimethyl-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

GΙ

Title compds. represented by the formula I [wherein ring = (un)substituted tricyclic heterocyclyl; R1 = (un)substituted alkyl, alkenyl, alkynyl etc.; Z = (un)substituted amino, carbon, O, etc.; R2 = (un)substituted unsatd. cyclic group; or ZR2 = (un)substituted cyclyl; and their derivs., N-oxides, pharmaceutically acceptable salts or prodrugs thereof] were prepared as CRF (corticotropin-releasing corticotropin-releasing factor) antagonist. For example, II was produced in a multi-step synthesis starting from the reaction of 1H-pyrazole-3-amine with di-Et allylmalonate. In CRF (corticotropin-releasing factor) binding assays, compds. I exhibited the IC50 values of <1  $\mu$ M. Thus, I and their pharmaceutical compns. are useful for the treatment of neuropsychiatric diseases and digestive diseases (no data).

REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 6 OF 63 CAPLUS COPYRIGHT 2006 ACS on STN L4

ACCESSION NUMBER: 2005:962049 CAPLUS

DOCUMENT NUMBER: 143:254020

Therapeutic combinations of atypical antipsychotics TITLE:

with corticotropin releasing factor antagonists

INVENTOR(S): Romano, Steven Joseph PATENT ASSIGNEE(S): Pfizer Products Inc., USA SOURCE: PCT Int. Appl., 78 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PATENT NO.					KIND DATE					APPLICATION NO.						DATE				
	WO 2005079807						A1 20050901			WO 2005-IB251						20050201					
		W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	ВG,	BR,	BW,	BY,	BΖ,	CA,	CH,			
			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,			
			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KΖ,	LC,			
			LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,			
			NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,			
			ΤJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW			
		RW:	BW,	GH,	GM,	ΚE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,			
			AZ,	BY,	KG,	KΖ,	MD,	RU,	ΤJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,			
			EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	ΙE,	IS,	ΙΤ,	LT,	LU,	MC,	NL,	PL,	PT,			
			RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,			
			MR,	ΝE,	SN,	TD,	ΤG														
	US 2	005	2092	50		A1	1 20050922				US 2	005-	5832	20050214							
PRIO	RITY	APP	LN.	INFO	.:						US 2	004-	5447	31P		P 2	0040	213			
OTHE	R SOU	RCE	(S):			MAR:	PAT	143:	2540:	20											
ΙT	2025	79-	62-2	202	579-	64-4	203	924-	40 - 7												
	2039	24-	41-8																		
	RL:	THU	(Th	erap	euti	c us	e);	BIOL	(Bi	olog	ical	stu	dy);	USE	S (U	ses)					
	(	the	rape	utic	com	bina	tion	s of	aty	pica	l an	tips	ychot	tics	wit.	h co	rtic	otropin			
	r	ele	asin	g fa	ctor	ant	agon	ists	)												

202579-62-2 CAPLUS RN

Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dichlorophenyl)-N-(1-ethylpropyl)-CN 2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202579-64-4 CAPLUS

Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-N-(1-ethylpropyl)-CN 2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 203924-40-7 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-(1-ethylpropyl)-2,5-dimethyl-3-(2,4,6-trimethylphenyl)- (9CI) (CA INDEX NAME)

RN 203924-41-8 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-(1-ethylpropyl)-5-methyl-3-(2,4,6-trimethylphenyl)- (9CI) (CA INDEX NAME)

The present invention is directed to a pharmaceutical compns. for treating, for example, mood disorders or conditions, psychotic disorders or conditions, or a combination thereof, in a mammal such as a human, the composition comprising (a) an atypical antipsychotic, a prodrug thereof or a pharmaceutically acceptable salt of the atypical antipsychotic or prodrug thereof, (b) a corticotropin releasing factor antagonist, a prodrug thereof, or pharmaceutically acceptable salt of said corticotropin releasing factor antagonist or prodrug thereof, and optionally (c) a pharmaceutically acceptable vehicle, carrier or diluent. A pharmaceutical composition is prepared containing ziprasidone with a corticotropin releasing

antagonist such a 4-(1-ethylproppoxy)-3,6-dimethyl-2-(2,4,6-

trimethylphenoxy)pyridine.

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 7 OF 63 CAPLUS COPYRIGHT 2006 ACS on STN T. 4 2005:904340 CAPLUS ACCESSION NUMBER: DOCUMENT NUMBER: 143:248405 Preparation of pyrazolopyrimidines as agrochemical TITLE: fungicides Gebauer, Olaf; Gayer, Herbert; Heinemann, Ulrich; INVENTOR(S): Herrmann, Stefan; Hillebrand, Stefan; Elbe, Hans-ludwig; Ebbert, Ronald; Wachendorff-Neumann, Ulrike; Dahmen, Peter; Kuck, Karl-Heinz PATENT ASSIGNEE(S): Germany SOURCE: U.S. Pat. Appl. Publ., 71 pp. CODEN: USXXCO DOCUMENT TYPE: Patent LANGUAGE: English FAMILY ACC. NUM. COUNT: PATENT INFORMATION: APPLICATION NO. PATENT NO. KIND DATE DATE \_\_\_\_ \_\_\_\_\_ \_\_\_\_\_ \_\_\_\_\_ US 2005-63191 US 2005187224 A1 20050825 20050222 2005050 20050909 TII AZ, DE 102004008807 A1 DE 2004-102004008807 20040220 20050218 WO 2005-EP1694 WO 2005082907 A2 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG PRIORITY APPLN. INFO.: DE 2004-102004008807A 20040220 OTHER SOURCE(S): MARPAT 143:248405 ΙT 863425-91-6P RL: AGR (Agricultural use); BSU (Biological study, unclassified); RCT

RL: AGR (Agricultural use); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of pyrazolopyrimidines as agrochem. fungicides)

RN 863425-91-6 CAPLUS

CN 1,3,4-Oxathiazol-2-one, 5-[5-chloro-6-(2-chloro-4-fluorophenyl)-7-[[(1R)-1,2,2-trimethylpropyl]amino]pyrazolo[1,5-a]pyrimidin-3-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 863425-03-0P 863425-04-1P 863425-05-2P 863425-92-7P 863425-93-8P 863425-94-9P 863425-95-0P 863426-20-4P 863426-57-7P 863426-58-8P 863426-70-4P 863426-71-5P 863426-72-6P 863427-76-3P 863427-77-4P 863427-79-6P 863427-80-9P 863428-98-8P 863428-91-5P 863428-95-9P 863428-96-0P 863428-97-1P 863431-64-5P 863431-69-0P 863431-70-3P 863431-77-0P 863456-05-7P 863456-08-0P

RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrazolopyrimidines as agrochem. fungicides)  $863\,425-03-0$  CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-(2,4-dichlorophenyl)-3-(2-pyridinyl)-N-(1,2,2-trimethylpropyl)- (9CI) (CA INDEX NAME)

RN 863425-04-1 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-(2,4-dichlorophenyl)-3-(5-methyl-1H-1,2,4-triazol-3-yl)-N-(1,2,2-trimethylpropyl)- (9CI) (CA INDEX NAME)

RN

RN 863425-05-2 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-(2,4-dichlorophenyl)-3-(5-methyl-1,3,4-oxadiazol-2-yl)-N-(1,2,2-trimethylpropyl)- (9CI) (CA INDEX NAME)

RN 863425-92-7 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-(2-chloro-4-fluorophenyl)-3- (2-pyridinyl)-N-(1,2,2-trimethylpropyl)-(9CI) (CA INDEX NAME)

RN 863425-93-8 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-(2-chloro-4-fluorophenyl)-3-(5-methyl-1H-1,2,4-triazol-3-yl)-N-(1,2,2-trimethylpropyl)- (9CI) (CA INDEX NAME)

RN 863425-94-9 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(5-amino-1,3,4-thiadiazol-2-yl)-5-chloro-6-(2-chloro-4-fluorophenyl)-N-(1,2,2-trimethylpropyl)- (9CI) (CA INDEX NAME)

RN 863425-95-0 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-(2-chloro-4-fluorophenyl)-3-(5-methyl-1,3,4-oxadiazol-2-yl)-N-(1,2,2-trimethylpropyl)- (9CI) (CA INDEX NAME)

RN 863426-20-4 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-(2-chloro-4-fluorophenyl)-3- (2-oxazolyl)-N-[(1R)-1,2,2-trimethylpropyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 863426-57-7 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 5-chloro-3-(5-methyl-1H-1,2,4-triazol-3-yl)-6-phenyl-N-(1,2,2-trimethylpropyl)- (9CI) (CA INDEX NAME)

RN 863426-58-8 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 5-chloro-3-(5-methyl-1,3,4-oxadiazol-2-yl)-6-phenyl-N-(1,2,2-trimethylpropyl)- (9CI) (CA INDEX NAME)

RN 863426-70-4 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-(2,4-dichlorophenyl)-N-(1,2-dimethylpropyl)-3-(2-pyridinyl)- (9CI) (CA INDEX NAME)

RN 863426-71-5 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-(2,4-dichlorophenyl)-N-(1,2-dimethylpropyl)-3-(5-methyl-1H-1,2,4-triazol-3-yl)- (9CI) (CA INDEX NAME)

RN 863426-72-6 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-(2,4-dichlorophenyl)-N-(1,2-dimethylpropyl)-3-(5-methyl-1,3,4-oxadiazol-2-yl)- (9CI) (CA INDEX NAME)

RN 863427-76-3 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-(2-chloro-4-fluorophenyl)-N-(1,2-dimethylpropyl)-3-(2-pyridinyl)- (9CI) (CA INDEX NAME)

RN 863427-77-4 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-(2-chloro-4-fluorophenyl)-N- (1,2-dimethylpropyl)-3-(5-methyl-1H-1,2,4-triazol-3-yl)- (9CI) (CA INDEX NAME)

RN 863427-79-6 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(5-amino-1,3,4-thiadiazol-2-yl)-5-chloro-6-(2-chloro-4-fluorophenyl)-N-(1,2-dimethylpropyl)- (9CI) (CA INDEX NAME)

RN 863427-80-9 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-(2-chloro-4-fluorophenyl)-N-(1,2-dimethylpropyl)-3-(5-methyl-1,3,4-oxadiazol-2-yl)-(9CI) (CA INDEX NAME)

RN 863428-78-8 CAPLUS

CN 1,3,4-0xathiazol-2-one, 5-[5-chloro-7-[[(1R)-1,2-dimethylpropyl]amino]-6-(3-methyl-2-thienyl)pyrazolo[1,5-a]pyrimidin-3-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 863428-91-5 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 5-chloro-N-[(1R)-1,2-dimethylpropyl]-6-(3-methyl-2-thienyl)-3-(2-oxazolyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 863428-95-9 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 5-chloro-N-(1,2-dimethylpropyl)-6-phenyl-3-(2-pyridinyl)- (9CI) (CA INDEX NAME)

RN 863428-96-0 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 5-chloro-N-(1,2-dimethylpropyl)-3-(5-methyl-1H-1,2,4-triazol-3-yl)-6-phenyl- (9CI) (CA INDEX NAME)

RN 863428-97-1 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 5-chloro-N-(1,2-dimethylpropyl)-3-(5-methyl-1,3,4-oxadiazol-2-yl)-6-phenyl- (9CI) (CA INDEX NAME)

RN 863431-64-5 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-(2-chloro-4-fluorophenyl)-3-(5,6-dihydro-2H-1,2,4-oxadiazin-3-yl)-N-[(1R)-1,2,2-trimethylpropyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 863431-69-0 CAPLUS

CN 1,3,4-Oxathiazol-2-one, 5-[5-chloro-7-[(1,2-dimethylpropyl)amino]-6-(3-methyl-2-thienyl)pyrazolo[1,5-a]pyrimidin-3-yl]- (9CI) (CA INDEX NAME)

RN 863431-70-3 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 5-chloro-N-(1,2-dimethylpropyl)-6-(3-methyl-2-thienyl)-3-(2-oxazolyl)- (9CI) (CA INDEX NAME)

RN 863431-77-0 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-(2-chloro-4-fluorophenyl)-3-(5,6-dihydro-1,4,2-dioxazin-3-yl)-N-[(1R)-1,2,2-trimethylpropyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 863456-05-7 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-(2-chloro-4-fluorophenyl)-3- (2H-1,2,4-oxadiazin-3-yl)-N-[(1R)-1,2,2-trimethylpropyl]-, (6R)- (9CI) (CA INDEX NAME)

RN 863456-08-0 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-(2-chloro-4-fluorophenyl)-3- (2H-1,2,4-oxadiazin-3-yl)-N-[(1R)-1,2,2-trimethylpropyl]-, (6S)- (9CI) (CA INDEX NAME)

GI

$$R^2$$
 $R^1$ 
 $R^3$ 
 $N$ 
 $R^5$ 
 $R^4$ 
 $I$ 
 $CO_2Me$ 
 $II$ 

The invention relates to pyrazolopyrimidines I [R1 = H, OH, optionally AΒ substituted alkyl, alkenyl, alkynyl, cycloalkyl, heterocyclyl, alkoxy, amino; R2 = H, alkyl; NR1R2 may form heterocyclic ring; R3 = halo, optionally substituted aryl, heterocyclyl, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, aralkyl, amino, C1-8 alkoxy, C1-8 alkylthio, C6-10 aryloxy, C6-10 arylthio, heterocyclyloxy, etc.; R4 = CONR6R7, CONR7NR72, CONR7OR7, CO2R8, C(S)OR7, C(O)SR7, CS2R7, SR7, SOR7, SO2R7, SO3R7, SONR72, SO2NR72, PO3R72, NR7OR7, B(OR7)2, aromatic, heterocyclyl; X = halo, CN, OH, optionally substituted alkyl, alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl; R5 = H, halo, alkoxy, thioalkyl, sulfinylalkyl, sulfonylalkyl, optionally substituted alkyl, cycloalkyl; R7 = independently H, optionally substituted alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, aryl, arylalkyl; R8 = H, cation, optionally substituted alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, aralkyl] and agrochem. active salts thereof, a process for preparing these compds., and to their use for controlling unwanted microorganisms. Thus, cyclocondensation of di-Me cyclopentylmalonate with Me 5-amino-1H-pyrazole-3-carboxylate gave dihydroxypyrazolopyrimidine II. Chlorination of II with POC13 gave the dichloro derivative, which underwent substitution with (R)-3-methyl-2-butylamine, followed by hydrolysis to give title compound I [R1 = (R)-3-methyl-2-Bu, R2 = R5 = H, R3 =cyclopentyl, R4 = CO2H, X = Cl]. The prepared compds. were tested for fungicidal activity on apples, beans, rice, tomatoes, and wheat.

L4 ANSWER 8 OF 63 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:612294 CAPLUS

DOCUMENT NUMBER: 143:133390

TITLE: Preparation of pyrazolopyrimidines as CRF receptor

antagonists

INVENTOR(S): Luo, Zhiyong; Slee, Deborah; Tellew, John Edward;

Williams, John; Zhang, Xiahou

PATENT ASSIGNEE(S): SB Pharmco Puerto Rico Inc., USA; Neurocrine

Biosciences Inc.

SOURCE: PCT Int. Appl., 79 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.					KIND		DATE			APPLICATION NO.						DATE			
WO 2005063756				A1	_	2005	 0714	WO 2004-IB4293						20041220					
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,		
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,		
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KΕ,	KG,	KP,	KR,	KΖ,	LC,		
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NΑ,	ΝΙ,		
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,		
		ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW		
	RW:	BW,	GH,	GM,	ΚE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	ΑM,		
		ΑZ,	BY,	KG,	KΖ,	MD,	RU,	ТJ,	TM,	ΑT,	BE,	ВG,	CH,	CY,	CZ,	DE,	DK,		
		EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	ΙE,	IS,	ΙΤ,	LT,	LU,	MC,	NL,	PL,	PT,		
		RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,		
		MR,	NE,	SN,	TD,	ΤG													

PRIORITY APPLN. INFO.: US 2003-532044P P 20031222

OTHER SOURCE(S): MARPAT 143:133390

IT 858521-24-1P 858523-08-7P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of pyrazolopyrimidines as CRF receptor antagonists)

RN 858521-24-1 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-(2-methoxyethyl)-3-[2-methoxy-4-(1H-pyrazol-1-yl)phenyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 858523-08-7 CAPLUS

CN Butanoic acid, 2-[[3-[2-methoxy-4-(1H-pyrazol-1-yl)phenyl]-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]-, methyl ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ΙT 858520-82-8P 858520-95-3P 858520-97-5P 858520-99-7P 858521-01-4P 858521-02-5P 858521-18-3P 858521-42-3P 858521-51-4P 858521-52-5P 858521-53-6P 858521-57-0P 858521-58-1P 858521-59-2P 858521-60-5P 858521-61-6P 858521-62-7P 858521-63-8P 858521-64-9P 858521-65-0P 858521-66-1P 858521-67-2P 858521-68-3P 858521-69-4P 858521-70-7P 858521-71-8P 858521-72-9P 858521-73-0P 858521-74-1P 858521-75-2P 858521-76-3P 858521-77-4P 858521-78-5P 858521-79-6P 858521-80-9P 858521-81-0P 858521-82-1P 858521-83-2P 858521-84-3P 858521-85-4P 858521-86-5P 858521-87-6P 858521-88-7P 858521-89-8P 858521-90-1P 858521-91-2P 858521-92-3P 858521-93-4P 858521-94-5P 858521-95-6P 858521-96-7P 858521-99-0P 858522-04-0P 858522-05-1P 858522-06-2P 858523-09-8P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (preparation of pyrazolopyrimidines as CRF receptor antagonists)

2,5-dimethyl-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

NHPr-i
N Me

MeO

858520-82-8 CAPLUS

RN 858520-95-3 CAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-cyclopropyl-3-[2-methoxy-4-(1H-pyrazol-1-yl)phenyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

Pyrazolo[1,5-a]pyrimidin-7-amine, 3-[2-methoxy-4-(1H-pyrazol-1-yl)phenyl]-

RN

CN

RN 858520-97-5 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-(cyclopropylmethyl)-3-[2-methoxy-4-(1H-pyrazol-1-yl)phenyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 858520-99-7 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-cyclobutyl-3-[2-methoxy-4-(1H-pyrazol-1-yl)phenyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 858521-01-4 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-[2-methoxy-4-(1H-pyrazol-1-yl)phenyl]-2,5-dimethyl-N-(2-methylpropyl)- (9CI) (CA INDEX NAME)

RN 858521-02-5 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-(1,1-dimethylethyl)-3-[2-methoxy-4-(1H-pyrazol-1-yl)phenyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 858521-18-3 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-[2-methoxy-4-(1H-pyrazol-1-yl)phenyl]-2,5-dimethyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

RN 858521-42-3 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-[2-methoxy-4-(1H-pyrazol-1-yl)phenyl]-2,5-dimethyl-N-(2-phenylethyl)- (9CI) (CA INDEX NAME)

RN 858521-51-4 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-(2,6-dichlorophenyl)-3-[2-methoxy-4-(1H-pyrazol-1-yl)phenyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 858521-52-5 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-(2-chloro-6-methylphenyl)-3-[2-methoxy-4-(1H-pyrazol-1-yl)phenyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 858521-53-6 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-(2,6-difluorophenyl)-3-[2-methoxy-4-(1H-pyrazol-1-yl)phenyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 858521-57-0 CAPLUS

CN Benzenesulfonamide, 4-[[[3-[2-methoxy-4-(1H-pyrazol-1-y1)pheny1]-2,5-

RN 858521-58-1 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-[2-methoxy-4-(1H-pyrazol-1-yl)phenyl]-2,5-dimethyl-N-(2-pyridinylmethyl)- (9CI) (CA INDEX NAME)

RN 858521-59-2 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-(2-furanylmethyl)-3-[2-methoxy-4-(1H-pyrazol-1-yl)phenyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 858521-60-5 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-[2-methoxy-4-(1H-pyrazol-1-yl)phenyl]-2,5-dimethyl-N-(2-thienylmethyl)- (9CI) (CA INDEX NAME)

RN 858521-61-6 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-[(3-methoxyphenyl)methyl]-3-[2-methoxy-4-(1H-pyrazol-1-yl)phenyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 858521-62-7 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-[2-methoxy-4-(1H-pyrazol-1-yl)phenyl]-2,5-dimethyl-N-[(2-methylphenyl)methyl]- (9CI) (CA INDEX NAME)

RN 858521-63-8 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-[2-methoxy-4-(1H-pyrazol-1-yl)phenyl]-2,5-dimethyl-N-[[4-(trifluoromethoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 858521-64-9 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-[2-methoxy-4-(1H-pyrazol-1-yl)phenyl]-2,5-dimethyl-N-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)

RN 858521-65-0 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-[2-methoxy-4-(1H-pyrazol-1-yl)phenyl]-2,5-dimethyl-N-[[4-(methylsulfonyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 858521-66-1 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-[(4-methoxyphenyl)methyl]-3-[2-methoxy-4-(1H-pyrazol-1-yl)phenyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 858521-67-2 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-[(3-fluorophenyl)methyl]-3-[2-methoxy-4-(1H-pyrazol-1-yl)phenyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 858521-68-3 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-[2-methoxy-4-(1H-pyrazol-1-yl)phenyl]-2,5-dimethyl-N-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)

RN 858521-69-4 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-[(4-fluorophenyl)methyl]-3-[2-methoxy-4-(1H-pyrazol-1-yl)phenyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 858521-70-7 CAPLUS

CN 1-Butanol, 2-[[3-[2-methoxy-4-(1H-pyrazol-1-yl)phenyl]-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

RN 858521-71-8 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-[1-(methoxymethyl)propyl]-3-[2-methoxy-4-(1H-pyrazol-1-yl)phenyl]-2,5-dimethyl-(9CI) (CA INDEX NAME)

RN 858521-72-9 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-[2-methoxy-4-(1H-pyrazol-1-yl)phenyl]-2,5-dimethyl-N-[[3-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 858521-73-0 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-[[3-(difluoromethoxy)phenyl]methyl]-3- [2-methoxy-4-(1H-pyrazol-1-yl)phenyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 858521-74-1 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-[(3,4-difluorophenyl)methyl]-3-[2-methoxy-4-(1H-pyrazol-1-yl)phenyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 858521-75-2 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-[(3-chlorophenyl)methyl]-3-[2-methoxy-4-(1H-pyrazol-1-yl)phenyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 858521-76-3 CAPLUS

CN Benzonitrile, 3-chloro-4-[[3-[2-methoxy-4-(1H-pyrazol-1-yl)phenyl]-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]-5-methyl- (9CI) (CA INDEX NAME)

RN 858521-77-4 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-(2-chloro-4,6-dimethylphenyl)-3-[2-methoxy-4-(1H-pyrazol-1-yl)phenyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 858521-78-5 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-(2-chloro-4,6-dimethoxyphenyl)-3-[2-methoxy-4-(1H-pyrazol-1-yl)phenyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 858521-79-6 CAPLUS

CN Benzonitrile, 3,5-dichloro-2-[[3-[2-methoxy-4-(1H-pyrazol-1-yl)phenyl]-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

RN 858521-80-9 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-[2-fluoro-6-(trifluoromethyl)phenyl]-3-[2-methoxy-4-(1H-pyrazol-1-yl)phenyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 858521-81-0 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-[2-methoxy-4-(1H-pyrazol-1-yl)phenyl]-2,5-dimethyl-N-[[3-(trifluoromethoxy)phenyl]methyl]- (9CI) (CA INDEX NAME)

RN 858521-82-1 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-[(3-chloro-4-fluorophenyl)methyl]-3-[2-methoxy-4-(1H-pyrazol-1-yl)phenyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 858521-83-2 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-[(4-chlorophenyl)methyl]-3-[2-methoxy-4-(1H-pyrazol-1-yl)phenyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 858521-84-3 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-[[4-(difluoromethoxy)phenyl]methyl]-3- [2-methoxy-4-(1H-pyrazol-1-yl)phenyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 858521-85-4 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-[(3,4-dichlorophenyl)methyl]-3-[2-methoxy-4-(1H-pyrazol-1-yl)phenyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 858521-86-5 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-[2-methoxy-4-(1H-pyrazol-1-yl)phenyl]-2,5-dimethyl-N-[(1,3,5-trimethyl-1H-pyrazol-4-yl)methyl]- (9CI) (CA INDEX NAME)

RN 858521-87-6 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-[2-methoxy-4-(1H-pyrazol-1-yl)phenyl]-2,5-dimethyl-N-[(5-methyl-2-furanyl)methyl]- (9CI) (CA INDEX NAME)

RN 858521-88-7 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-[2-methoxy-4-(1H-pyrazol-1-yl)phenyl]-2,5-dimethyl-N-[[5-(2-pyridinyl)-2-thienyl]methyl]-(9CI) (CA INDEX NAME)

RN 858521-89-8 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-[2-methoxy-4-(1H-pyrazol-1-yl)phenyl]-2,5-dimethyl-N-(2-thiazolylmethyl)- (9CI) (CA INDEX NAME)

RN 858521-90-1 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-[2-methoxy-4-(1H-pyrazol-1-yl)phenyl]-2,5-dimethyl-N-[(3-methyl-2-thienyl)methyl]- (9CI) (CA INDEX NAME)

RN 858521-91-2 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-[2-methoxy-4-(1H-pyrazol-1-yl)phenyl]-2,5-dimethyl-N-[(1-methyl-1H-pyrrol-2-yl)methyl]- (9CI) (CA INDEX NAME)

RN 858521-92-3 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-[(2,5-dimethyl-3-furanyl)methyl]-3-[2-methoxy-4-(1H-pyrazol-1-yl)phenyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 858521-93-4 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-[2-methoxy-4-(1H-pyrazol-1-yl)phenyl]-2,5-dimethyl-N-[(5-methyl-3-isoxazolyl)methyl]- (9CI) (CA INDEX NAME)

RN 858521-94-5 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-(3,5-dimethyl-4-isoxazolyl)-3-[2-methoxy-4-(1H-pyrazol-1-yl)phenyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 858521-95-6 CAPLUS

CN 1-Butanol, 2-[[3-[2-methoxy-4-(1H-pyrazol-1-yl)phenyl]-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 858521-96-7 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-[2-methoxy-4-(1H-pyrazol-1-yl)phenyl]-5-methyl-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 858521-99-0 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-cyclobutyl-3-[2-methoxy-4-(1H-pyrazol-1-yl)phenyl]-5-methyl- (9CI) (CA INDEX NAME)

RN 858522-04-0 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-[4-(1H-imidazol-1-yl)-2-methoxyphenyl]-2,5-dimethyl-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 858522-05-1 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-[2-methoxy-4-[3-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2,5-dimethyl-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 858522-06-2 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-[1-(methoxymethyl)propyl]-3-[2-methoxy-4-[3-(trifluoromethyl)-1H-pyrazol-1-yl]phenyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 858523-09-8 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-[2-methoxy-4-(1H-pyrazol-1-yl)phenyl]-2,5-dimethyl-N-[(1S)-1-(3-methyl-1,2,4-oxadiazol-5-yl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 858523-42-9P 858523-43-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrazolopyrimidines as CRF receptor antagonists)

RN 858523-42-9 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-bromo-2-methoxyphenyl)-2,5-dimethyl-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 858523-43-0 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-iodo-2-methoxyphenyl)-2,5-dimethyl-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

GΙ

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. I [R1 = H, NH2, (un) substituted alkyl, etc.; R2 = NR5R6 or OR7; R3 = H, alkyl or absent if double bond is present; Y = CO, =(CR4)-; R4 = H, thioalkyl, (un) substituted alkyl, etc.; Ar = (un) substituted Ph or pyridyl; Het = (un) substituted heteroaryl; R5 = H, (un) substituted alkyl, heterocycle, etc.; R6 = (un) substituted alkyl, heterocyclealkyl, aryl, etc.; R7 = (un) substituted alkyl, arylalkyl, heteroarylalkyl, etc.] and their pharmaceutically acceptable salts, are prepared and disclosed as CRF receptor antagonists. Thus, e.g., II was prepared by cyclization of III (preparation given) with Et acetoacetate followed by chlorination and subsequent substitution with isopropylamine. The CRF receptor binding activity of I was evaluated using radioligand binding assay (no data). I as CRF receptor antagonists should prove useful in the treatment of stroke, depression and anxiety. Pharmaceutical compns. comprising I are disclosed.

7

REFERENCE COUNT:

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 9 OF 63 CAPLUS COPYRIGHT 2006 ACS on STN L4

ACCESSION NUMBER: 2005:588981 CAPLUS

DOCUMENT NUMBER: 143:115565

Preparation of tricyclic heterocyclic compound as CRF TITLE:

antagonist

INVENTOR(S): Nunoya, Kenichi; Matsumura, Naoya; Sugioka, Makiko;

> Moriguchi, Hideki; Katsumata, Seishi Ono Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 59 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT ASSIGNEE(S):

PA'	PATENT NO.						KIND DATE				APPLICATION NO.						DATE			
WO	WO 2005061508					A1 20050707			WO 2004-JP19658					20041221						
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	AZ,	BA,	BB,	ВG,	BR,	BW,	BY,	BZ,	CA,	CH,			
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FΙ,	GB,	GD,			
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KΡ,	KR,	KΖ,	LC,			
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,			
		NO,	NΖ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,			
		ТJ,	TM,	TN,	TR,	TT,	TΖ,	UA,	UG,	US,	UΖ,	VC,	VN,	YU,	ZA,	ZM,	ZW			
	RW:	BW,	GH,	GM,	KE,	LS,	MW,	${ m MZ}$ ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,			
		ΑZ,	BY,	KG,	KΖ,	MD,	RU,	ТJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,			
		EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	ΙE,	IS,	ΙT,	LT,	LU,	MC,	NL,	PL,	PT,			
		RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,			
		•	•	•	TD,	ΤG														
=	PRIORITY APPLN. INFO.:										JP 2003-425778 A 20031222									
OTHER SOURCE(S): MARPAT 143:115565																				
IT 857262-46-5P 857262-47-6P 857262-48-7P																				
857262-50-1P 857262-51-2P 857262-53-4P																				
	857262-54-5P 857262-55-6P 857262-63-6P																			
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic																				
pre	epara	tion	); T	HU (	Ther	apeu	tic	use)	; BI	OL (	Biol	ogic	al s	tudy	); P	REP				
(P:	repar	atio	n); [	RACT	(Rea	acta	nt o	r rea	agen	t); ¹	USES	(Us	es)							
	(pre	para	tion	of	cycl	open	ta[d	]pyra	azol	0[1,	5-a]	pyri	midi	ne d	eriv	s. a	s CRF 1			
	anta	goni	st)																	

857262-46-5 CAPLUS

(CA INDEX NAME)

RN 857262-47-6 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, 3-[2-chloro-4-methoxy-5-methoxy-(1-methylethoxy)phenyl]-N-(1-ethylpropyl)-6,7-dihydro-2-methyl- (9CI) (CA INDEX NAME)

5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, 3-(2-chloro-4-

methoxyphenyl)-N-(1-ethylpropyl)-6,7-dihydro-5-methoxy-2-methyl- (9CI)

RN CN

RN 857262-48-7 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-5-ol, 3-(2-chloro-4-methoxyphenyl)-8-[(1-ethylpropyl)amino]-6,7-dihydro-2-methyl- (9CI) (CA INDEX NAME)

RN 857262-50-1 CAPLUS

CN 7H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-7-one, 3-(2-chloro-4-methoxyphenyl)-8-[(1-ethylpropyl)amino]-5,6-dihydro-2-methyl- (9CI) (CA INDEX NAME)

RN 857262-51-2 CAPLUS

CN 7H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-7-one, 3-[2-chloro-4-methoxy-5-(1-methylethoxy)phenyl]-8-[(1-ethylpropyl)amino]-5,6-dihydro-2-methyl- (9CI) (CA INDEX NAME)

RN 857262-53-4 CAPLUS

CN 2-Pentanol, 3-[[3-(2-chloro-4-methoxyphenyl)-6,7-dihydro-2-methyl-5H-cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-yl]amino]- (9CI) (CA INDEX NAME)

RN 857262-54-5 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, 3-(2-chloro-4-methoxyphenyl)-N-[3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-1-ethylpropyl]-6,7-dihydro-2-methyl- (9CI) (CA INDEX NAME)

RN 857262-55-6 CAPLUS

CN 7H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-7-one, 3-(2-chloro-4-methoxyphenyl)-8-[(1-ethyl-2-oxopropyl)amino]-5,6-dihydro-2-methyl- (9CI) (CA INDEX NAME)

RN 857262-63-6 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-7-ol, 3-(2-chloro-4-methoxyphenyl)-8-[[3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-1-methoxyphenyl)

ethylpropyl]amino]-6,7-dihydro-2-methyl- (9CI) (CA INDEX NAME)

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-5-one, 3-(2-chloro-4-methoxyphenyl)-8-[(1-ethylpropyl)amino]-6,7-dihydro-2-methyl- (9CI) (CA INDEX NAME)

RN 857262-52-3 CAPLUS
CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-7-ol, 3-(2-chloro-4-

methoxyphenyl)-8-[(1-ethylpropyl)amino]-6,7-dihydro-2-methyl- (9CI) (CA INDEX NAME)

RN 857262-56-7 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-7-ol, 3-(2-chloro-4-methoxyphenyl)-8-[(1-ethyl-2-hydroxypropyl)amino]-6,7-dihydro-2-methyl-(9CI) (CA INDEX NAME)

RN 857262-57-8 CAPLUS

CN 7H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-7-one, 3-(2-chloro-5-hydroxy-4-methoxyphenyl)-8-[(1-ethylpropyl)amino]-5,6-dihydro-2-methyl- (9CI) (CA INDEX NAME)

RN 857262-58-9 CAPLUS

CN 7H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-7-one, 3-(2-chloro-4-hydroxyphenyl)-8-[(1-ethylpropyl)amino]-5,6-dihydro-2-methyl- (9CI) (CA INDEX NAME)

RN 857262-59-0 CAPLUS

CN 2-Pentanone, 3-[[3-(2-chloro-4-methoxyphenyl)-6,7-dihydro-2-methyl-5H-cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-yl]amino]- (9CI) (CA INDEX NAME)

RN 857262-60-3 CAPLUS

CN 7H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-7-one, 3-(2-chloro-4-methoxyphenyl)-8-[[3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-1-ethylpropyl]amino]-5,6-dihydro-2-methyl- (9CI) (CA INDEX NAME)

RN 857262-61-4 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-7-ol, 3-(2-chloro-5-hydroxy-4-methoxyphenyl)-8-[(1-ethylpropyl)amino]-6,7-dihydro-2-methyl- (9CI) (CA INDEX NAME)

RN 857262-62-5 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-7-ol, 3-(2-chloro-4-hydroxyphenyl)-8-[(1-ethylpropyl)amino]-6,7-dihydro-2-methyl- (9CI) (CA INDEX NAME)

RN 857262-64-7 CAPLUS

CN 1-Pentanol, 3-[[3-(2-chloro-4-methoxyphenyl)-6,7-dihydro-2-methyl-5H-cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-yl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Et} \\ \text{HO-CH}_2\text{-CH}_2\text{-CH-NH} \\ \\ \\ N \\ \\ \end{array} \begin{array}{c} \text{Me} \\ \\ \text{C1} \\ \end{array}$$

RN 857262-65-8 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-7-ol, 3-(2-chloro-4-methoxyphenyl)-8-[(1-ethyl-3-hydroxypropyl)amino]-6,7-dihydro-2-methyl-(9CI) (CA INDEX NAME)

RN 857262-66-9 CAPLUS

CN 2-Pentanone, 3-[[3-(2-chloro-4-methoxyphenyl)-6,7-dihydro-7-hydroxy-2-methyl-5H-cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-yl]amino]- (9CI) (CA INDEX NAME)

RN 857262-71-6 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-5-ol, 3-(2-chloro-4-methoxyphenyl)-6,7-dihydro-8-[[1-(hydroxymethyl)propyl]amino]-2-methyl-(9CI) (CA INDEX NAME)

RN 857262-72-7 CAPLUS

CN Phenol, 3-chloro-4-[8-[(1-ethylpropyl)amino]-6,7-dihydro-2-methyl-5H-cyclopenta[d]pyrazolo[1,5-a]pyrimidin-3-yl]- (9CI) (CA INDEX NAME)

IT 441060-02-2

RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of cyclopenta[d]pyrazolo[1,5-a]pyrimidine derivs. as CRF 1
 antagonist)

RN 441060-02-2 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, 3-(2-chloro-4-methoxyphenyl)-N-(1-ethylpropyl)-6,7-dihydro-2-methyl- (9CI) (CA INDEX NAME)

GΙ

$$R^3$$
n  $R^5$   $R^5$   $R^2$ m  $R^1$   $R^5$   $R^5$   $R^2$ m  $R^3$ n  $R^4$   $R^5$   $R^5$   $R^2$ m  $R^3$ n  $R^4$   $R^5$   $R^5$   $R^5$   $R^5$   $R^6$   $R^6$ 

AB Title compds. represented by the formula I [wherein R1, R2 = independently (protected) OH; R3, R = independently (protected) OH or oxo; R4 = R-substituted Et2CH; R5 = (un)oxidized Me; m, n = independently 0-3; and pharmaceutically acceptable salts, solvates, N-oxides, and pro-drugs thereof] were prepared as CRF antagonist. For example, II was given in a 3-step synthesis starting from 4-(2-chloro-4-methoxyphenyl)-3-methyl-1H-pyrazole-5-amine. I were tested for binding activity and antagonistic activity of human CRF 1 with IC50 value of less than 1 $\mu$ M, resp. Thus, I and their pharmaceutical compns. are useful as CRF antagonist for the prevention and/or treatment of psychoneurotic diseases or digestive diseases (no data).

REFERENCE COUNT:

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 10 OF 63 CAPLUS COPYRIGHT 2006 ACS on STN T.4

ACCESSION NUMBER: 2005:540581 CAPLUS

143:78198 DOCUMENT NUMBER:

TITLE: Preparation of pyrazolopyrimidines as antimicrobial

agents

INVENTOR(S): Gebauer, Olaf; Heinemann, Ulrich; Herrmann, Stefan;

Gayer, Herbert; Hillebrand, Stefan; Elbe, Hans-Ludwig; Ebbert, Ronald; Wachendorff-Neumann, Ulrike; Dahmen,

Peter; Kuck, Karl-Heinz

PATENT ASSIGNEE(S): Bayer Cropscience Aktiengesellschaft, Germany

SOURCE: PCT Int. Appl., 133 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PATENT	KIND		DATE		APPLICATION NO.						DATE						
•	 WO 2005	2005056555			A1		20050623		WO 2004-EP13930						20041208			
	W:	ΑE,	AG,	AL,	ΑM,	ΑT,	ΑU,	AZ,	ΒA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,	
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	KΖ,	LC,	
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,	
		NO,	NΖ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	
		ΤJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UΖ,	VC,	VN,	YU,	ZA,	ZM,	ZW	
	RW:	BW,	GH,	GM,	ΚE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	ΑM,	
		AZ,	BY,	KG,	KΖ,	MD,	RU,	ТJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	
		EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	ΙE,	IS,	ΙΤ,	LT,	LU,	MC,	NL,	PL,	PT,	
		RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	
		MR,	ΝE,	SN,	TD,	ΤG												
DE 10357566 A1 20050707 DE 2003-10357566												20031210						
PRIOR		DE :				E 2003-10357566				A 20031210								
IT 855503-23-0P 855503-39-8P 855503-55-8P																		
RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN																		

(Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrazolopyrimidines as antimicrobial agents)

RN 855503-23-0 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-(2-chloro-4-fluorophenyl)-3-(4-ethyl-1,3-dioxolan-2-yl)-N-(1,2,2-trimethylpropyl)- (9CI) (CA INDEX NAME)

RN 855503-39-8 CAPLUS

Pyrazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-(2-chloro-4-fluorophenyl)-N-CN (1,2-dimethylpropy1)-3-(4-ethyl-1,3-dioxolan-2-y1)-(9CI) (CA INDEX NAME)

RN 855503-55-8 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 5-chloro-6-(2-chloro-4-fluorophenyl)-3-(4-ethyl-1,3-dioxolan-2-yl)-N-[(1S)-2,2,2-trifluoro-1-methylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

GΙ

AB Title compds. I [R1 = alkyl, alkenyl, alkynyl, etc.; R2 = H, alkyl with provisos; R3 = H, halo, alkyl, etc.; R4 = H, alkyl, cycloalkyl, etc.; R5 =

H, alkyl, cycloalkyl, etc.; R6 = H, alkyl, cycloalkyl, etc.; R7 = halo, CN, alkoxy, etc.; R8 = (un)substituted aryl] were prepared For example, sodium borohydride reduction of formylpyrazolopyrimidine II (X = CHO) afforded pyrazolopyrimidine (X = CH2OH) in 64% yield. In botrytis cinerea inhibition assays, 2-examples of compds. I exhibited over 90% protection at an application rate of 500 g/ha (sic).

REFERENCE COUNT:

4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 63 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:461608 CAPLUS

DOCUMENT NUMBER: 143:185966

TITLE: The pharmacology of DMP696 and DMP904, non-peptidergic

CRF1 receptor antagonists

AUTHOR(S): Li, Yu-Wen; Fitzgerald, Lawrence; Wong, Harvey; Lelas,

Snjezana; Zhang, Ge; Lindner, Mark D.; Wallace, Tanya;

McElroy, John; Lodge, Nicholas J.; Gilligan, Paul;

Zaczek, Robert

CORPORATE SOURCE: Neuroscience Biology, Medicinal Chemistry, Metabolism

and Pharmacokinetics, Bristol-Myers Squibb

Pharmaceutical Research Institute, Wallingford, CT,

USA

SOURCE: CNS Drug Reviews (2005), 11(1), 21-52

CODEN: CDREFB; ISSN: 1080-563X

PUBLISHER: Neva Press

DOCUMENT TYPE: Journal; General Review

LANGUAGE: English

IT 202579-74-6, DMP904

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

(Biological study); USES (Uses)

(pharmacol. properties suggested that non-peptidergic CRF1 receptor antagonists DMP696 and DMP904 may be effective anxiolytics with low

behavioral side effect liabilities in rat model of anxiety)

RN 202579-74-6 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-(1-ethylpropyl)-3-(4-methoxy-2-methylphenyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

A review. CRF1 antagonists DMP696 and DMP904 were designed as drug AB development candidates for the treatment of anxiety and depression. Both compds. display nanomolar affinity for human CRF1 receptors, and exhibit > 1000-fold selectivity for CRF1 over CRF2 receptors and over a broad panel of other proteins. DMP696 and DMP904 block CRF-stimulated adenylyl cyclase activity in cortical homogenates and cell-lines expressing CRF1 receptors. Both compds. inhibit CRF-stimulated ACTH release from rat pituitary corticotropes. Binding and functional studies indicate that DMP696 and DMP904 behave as noncompetitive full antagonists. DMP696 and DMP904 exhibit anxiolytic-like efficacy in several rat anxiety models. In the defensive withdrawal test, both compds. reduce exit latency with lowest EDs of 3 and 1 mg/kg, resp. The anxiolytic-like effect is maintained over 14 days of repeated dosing. In the context of a novel environment used in this test, DMP696 and DMP904 reverse mild stress-induced increases in plasma CORT secretion but at doses 3-4-fold greater than those required for anxiolytic-like efficacy. DMP696 and DMP904 are ineffective in three depression models including the learned helplessness paradigm at doses up to 30 mg/kg. At lowest anxiolytic-like doses, DMP696 and DMP904 occupy >50% CRF1 receptors in the brain. The in vivo IC50 values (plasma concns. required for occupying 50% CRF1

receptors) estimated based upon free, but not total, plasma concns. are an excellent correlation with the in vitro IC50 values. Neither compound produces sedation, ataxia, chlordiazepoxide-like subjective effects or adverse effects on cognition at doses 10-fold higher than anxiolytic-like doses. Neither compound produces physiol. significant changes in cardiovascular, respiratory, gastrointestinal or renal functions at anxiolytic-like doses. DMP696 and DMP904 have favorable pharmacokinetic profiles with good oral bioavailabilities. The overall pharmacol. properties suggest that both compds. may be effective anxiolytics with low behavioral side effect liabilities.

108

REFERENCE COUNT:

THERE ARE 108 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L4 ANSWER 12 OF 63 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:268104 CAPLUS

DOCUMENT NUMBER: 143:19806

TITLE: Increased hepatobiliary clearance of unconjugated

thyroxine determines DMP 904-induced alterations in

thyroid hormone homeostasis in rats

AUTHOR(S): Wong, Harvey; Lehman-McKeeman, Lois D.; Grubb, Mary

F.; Grossman, Scott J.; Bhaskaran, Vasanthi M.; Solon, Eric G.; Shen, Helen S. L.; Gerson, Ronald J.; Car,

Bruce D.; Zhao, Bitao; Gemzik, Brian

CORPORATE SOURCE: Departments of Metabolism and Pharmacokinetics,

Discovery Toxicology and Biotransformation,

Pharmaceutical Candidate Optimization, 5 Research Parkway, Wallingford, Connecticut, Bristol-Myers

Squibb Company, Princeton, NJ, 08543, USA

SOURCE: Toxicological Sciences (2005), 84(2), 232-242

CODEN: TOSCF2; ISSN: 1096-6080

PUBLISHER: Oxford University Press

DOCUMENT TYPE: Journal LANGUAGE: English

IT 202579-74-6, DMP 904

RL: ADV (Adverse effect, including toxicity); BSU (Biological study,

unclassified); BIOL (Biological study)

(increased hepatobiliary clearance of unconjugated thyroxine dets. DMP

904-induced alterations in thyroid hormone homeostasis in rats)

RN 202579-74-6 CAPLUS

 $\texttt{CN} \quad \texttt{Pyrazolo[1,5-a]pyrimidin-7-amine, N-(1-ethylpropyl)-3-(4-methoxy-2-methox$ 

methylphenyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

AΒ 4-(3-Pentylamino)-2, 7-dimethyl-8-(2-methyl-4-methoxyphenyl)-pyrazolo-[1,5a]-pyrimidine (DMP 904) is a potent and selective antagonist of corticotropin releasing factor receptor-1 (CRF1 receptor) with an efficacious anxiolytic profile in preclin. animal models. In subchronic toxicity studies in Sprague-Dawley rats, DMP 904 produced thyroid follicular cell hypertrophy and hyperplasia, and a low incidence of follicular cell adenoma. The current investigations were designed to determine the mode of action by which DMP 904 disrupts thyroid homeostasis in male rats. Five-day treatment with DMP 904 (300 mg/kg/day) dramatically lowered serum thyroxine (T4) to levels below detectable limits (<1) $\mu q/dL$ ) by 72 h, with concurrent decreases in triiodothyronine (T3, about a 70% decrease) and increases in TSH (TSH; about a three-fold increase). DMP 904 increased [1251]T4 total body clearance (Cltb)  $(38.21\pm10.45 \text{ mL/h})$  compared to control  $(5.61\pm0.59 \text{ mL/h})$  and phenobarbital-treated rats  $(7.92\pm1.62~\text{mL/h})$ . This increase in Cltb was associated with a significant increase in biliary clearance (Clbile) of unconjugated [125I]T4 (nearly 80-times control rates) and increased liver:blood ratios of T4, suggestive of enhanced hepatic uptake of T4. A single dose of DMP 904 (200 mg/kg) increased mRNA levels of hepatic

cytochrome P450s (CYP 3A1 and CYP 2B1) and UDP-glucuronosyltransferases (UGT 1A1 and UGT 1A2). DMP 904 also induced mRNAs of the canalicular transporter, multi-drug resistance protein-2 (Mrp2) and sinusoidal transporters, organic anion transporting proteins (Oatp1 and Oatp2) within 24 h. Western blot anal. confirmed DMP 904 related increases in Oatp2 protein expression. Collectively, these data suggest that DMP 904 is an agonist of the constitutive androstane receptor (CAR) and pregnane X receptor (PXR) and that the decreased serum levels of T4 and T3 resulted from increased hepatobiliary clearance. However, DMP 904 is distinguished from other compds. associated with similar effects on thyroid hormone homeostasis because its effects were primarily related to increased biliary excretion of unconjugated T4.

REFERENCE COUNT: 41

THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 63 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:222553 CAPLUS

DOCUMENT NUMBER: 142:385821

TITLE: Effects of CRF1 receptor antagonists and

benzodiazepines in the Morris water maze and delayed

non-matching to position tests

AUTHOR(S): Hogan, John B.; Hodges, Donald B., Jr; Lelas,

Snjezana; Gilligan, Paul J.; McElroy, John F.;

Lindner, Mark D.

CORPORATE SOURCE: Neuroscience Drug Discovery, Bristol-Myers Squibb

Pharmaceutical Research Institute, Wallingford, CT,

06492, USA

SOURCE: Psychopharmacology (Berlin, Germany) (2005), 178(4),

410-419

CODEN: PSCHDL; ISSN: 0033-3158

PUBLISHER: Springer GmbH

DOCUMENT TYPE: Journal LANGUAGE: English

IT 202579-74-6, DMP-904

RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (CRF1 receptor antagonists and benzodiazepines on cognitive functions)

RN 202579-74-6 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-(1-ethylpropyl)-3-(4-methoxy-2-methylphenyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

AΒ Benzodiazepines continue to be widely used for the treatment of anxiety, but it is well known that benzodiazepines have undesirable side effects, including sedation, ataxia, cognitive deficits, and the risk of addiction and abuse. CRF1 receptor antagonists are being developed as potential novel anxiolytics, but while CRF1 receptor antagonists seem to have a better side-effect profile than benzodiazepines with respect to sedation and ataxia, the effects of CRF1 receptor antagonists on cognitive function were not well characterized. It is somewhat surprising that the potential cognitive effects of CRF1 receptor antagonists were not more fully characterized since there is some evidence to suggest that these compds. may impair cognitive function. The Morris water maze and the delayed non-matching to position test are sensitive tests of a range of cognitive functions, including spatial learning, attention, and short-term memory, so the objective of the present expts. was to assess the effects of benzodiazepines and CRF1 receptor antagonists in these tests. The benzodiazepines chlordiazepoxide and alprazolam disrupted performance in the Morris water maze and delayed non-matching to position at doses close to their therapeutic, anxiolytic doses. In contrast, the CRF1 receptor antagonists DMP-904 and DMP-696 produced little or no impairment in the Morris water maze or delayed non-matching to position test even at doses 10-fold higher than were necessary to produce anxiolytic effects. The results of the present expts. suggest that, with respect to their effects

on cognitive functions, CRF1 receptor antagonists seem to have a wider therapeutic index than benzodiazepines.
RENCE COUNT: 55 THERE ARE 55 CITED REFERENCES AVAILABLE FOR THIS

REFERENCE COUNT:

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 14 OF 63 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:86349 CAPLUS

DOCUMENT NUMBER: 142:253677

TITLE: Structure-guided design of pyrazolo[1,5-a]pyrimidines

as inhibitors of human cyclin-dependent kinase 2 Williamson, Douglas S.; Parratt, Martin J.; Bower,

Justin F.; Moore, Jonathan D.; Richardson, Christine M.; Dokurno, Pawel; Cansfield, Andrew D.; Francis, Geraint L.; Hebdon, Richard J.; Howes, Rob; Jackson, Philip S.; Lockie, Andrea M.; Murray, James B.; Nunns, Claire L.; Powles, Jenifer; Robertson, Alan; Surgenor,

Allan E.; Torrance, Christopher J.

CORPORATE SOURCE: Granta Park, Vernalis (R&D) Ltd., Cambridge, CB1 6GB,

UK

SOURCE: Bioorganic & Medicinal Chemistry Letters (2005),

15(4), 863-867

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 142:253677

IT 771507-72-3P

AUTHOR(S):

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(structure-guided design of pyrazolo[1,5-a]pyrimidines as CDK2 inhibitors)

RN 771507-72-3 CAPLUS

CN Benzenesulfonamide, 4-[[5-[(trans-4-aminocyclohexyl)amino]-3-cyclopentylpyrazolo[1,5-a]pyrimidin-7-yl]amino]-N,N-dimethyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

IT 845895-96-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(structure-guided design of pyrazolo[1,5-a]pyrimidines as CDK2 inhibitors)

RN 845895-96-7 CAPLUS

CN Benzenesulfonamide, 4-[(5-chloro-3-cyclopentylpyrazolo[1,5-a]pyrimidin-7-yl)amino]-N,N-dimethyl- (9CI) (CA INDEX NAME)

AB The protein structure guided design of a series of pyrazolo[1,5-a]pyrimidines with high potency for human cyclin-dependent kinase 2 (CDK2) is described. Some examples were shown to inhibit the growth of human colon tumor cells, were equipotent for CDK1 and were selective against GSK-3 $\beta$  and other kinases.

REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 15 OF 63 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:1154717 CAPLUS

DOCUMENT NUMBER: 142:93846

TITLE: Preparation of pyrazolopyrimidine derivatives as CRF

antagonists

INVENTOR(S): Hasegawa, Tomoyuki; Matsui, Toshiaki; Araki, Hiroshi;

Saito, Tetsuji; Obitsu, Tetsuo; Okamoto, Masaki;

Gemba, Yuichi; Mikami, Yutaka

PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 63 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA	PATENT NO.						DATE		APPLICATION NO.									
WO	2004	A1		20041229						20040624								
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,	
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FΙ,	GB,	GD,	
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	KΖ,	LC,	
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,	
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	
		ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW	
	RW:	BW,	GH,	GM,	KΕ,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	
		ΑZ,	BY,	KG,	KΖ,	MD,	RU,	ΤJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	
		EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	ΙE,	ΙΤ,	LU,	MC,	NL,	PL,	PT,	RO,	SE,	
		SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,	
			TD,															
AU	AU 2004249629										004 -	2496.						
CA	2529561				AA 20041229					CA 2	004 -	2529	20040624					
EP	1637531				A1 20060322			EP 2004-746732					20040624					
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙT,	LI,	LU,	NL,	SE,	MC,	PT,	
		ΙE,	SI,	FI,	RO,	CY,	TR,	BG,	CZ,	EE,	HU,	PL,	SK					
ИО	NO 2005006093						A 20060324			NO 2	005-	6093	20051221					
PRIORIT	PRIORITY APPLN. INFO.:									JP 2003-181908					A 20030625			
						WO 2	004-	JP92	63	Ī	W 2	0040	624					

OTHER SOURCE(S): MARPAT 142:93846

IT 817636-92-3P 817636-93-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of pyrazolopyrimidine derivs. as CRF antagonists)

RN 817636-92-3 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, 3-(2-chloro-4-methoxyphenyl)-N-(1-ethylpropyl)-6,7-dihydro-2-methyl-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 441060-02-2 CMF C22 H27 C1 N4 O

CM 2

CRN 75-75-2 CMF C H4 O3 S

RN 817636-93-4 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, 3-(2-chloro-4-methoxyphenyl)-N-(1-ethylpropyl)-6,7-dihydro-2-methyl-, mono(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

CM 1

CRN 441060-02-2 CMF C22 H27 C1 N4 O

CM 2

CRN 104-15-4 CMF C7 H8 O3 S

IT 441060-02-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of pyrazolopyrimidine derivs. as CRF antagonists)

RN 441060-02-2 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, 3-(2-chloro-4-methoxyphenyl)-N-(1-ethylpropyl)-6,7-dihydro-2-methyl- (9CI) (CA INDEX NAME)

GΙ

AB The title compds., such as 8-(3-Pentylamino)-2-methyl-3-(2-chloro-4-methoxy-phenyl)-6,7-dihydro-5H-cyclopenta[d]pyrazolo[1,5-a]-pyrimidine methanesulfonic acid salt (I $\bullet$ MeSO3H), are prepared as corticotropin-releasing factor (CRF) receptor antagonists. I $\bullet$ MeSO3H showed antagonistic activity with IC50 of <1  $\mu$ M against human CRF receptor. Formulations containing I $\bullet$ MeSO3H as an active ingredient were also described.

6

REFERENCE COUNT:

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 16 OF 63 CAPLUS COPYRIGHT 2006 ACS on STN ACCESSION NUMBER: 2004:878151 CAPLUS 141:366243 DOCUMENT NUMBER: Preparation of pyrazolopyrimidines as cyclin-dependent TITLE: kinase inhibitors Guzi, Timothy J.; Paruch, Kamil; Dwyer, Michael P.; INVENTOR(S): Doll, Ronald J.; Girijavallabhan, Viyyoor M.; Mallams, Alan; Alvarez, Carmen S.; Keertikar, Kartik M.; Rivera, Jocelyn; Chan, Tin-Yau; Madison, Vincent; Fischmann, Thierry O.; Dillard, Lawrence W.; Tran, Vinh D.; He, Zhen Min; James, Ray Anthony; Park, Haengsoon; Paradkar, Vidyadhar M.; Hobbs, Douglas Walsh PATENT ASSIGNEE(S): Schering Corporation, USA; Pharmacopeia, Inc. U.S. Pat. Appl. Publ., 1044 pp., Cont.-in-part of US SOURCE: Ser. No. 654,546 CODEN: USXXCO DOCUMENT TYPE: Patent LANGUAGE: English FAMILY ACC. NUM. COUNT: 4 PATENT INFORMATION: APPLICATION NO. PATENT NO. KIND DATE -----\_\_\_\_ \_\_\_\_\_ US 2004-776988 WO 2005-US3859 A1 US 2004209878 20041021 20040211 A2 WO 2005077954 20050825 WO 2005-US3859 WO 2005077954 А3 20051013 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG PRIORITY APPLN. INFO.: US 2002-408027P P 20020904 US 2002-421959P P 20021029 US 2003-654546 A2 20030903 US 2004-776988 A 20040211 OTHER SOURCE(S): MARPAT 141:366243 ΤТ 672315-06-9P 672318-10-4P 779353-03-6P 779353-05-8P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors) 672315-06-9 CAPLUS RN

Pyrazolo[1,5-a]pyrimidin-7-amine, 3-cyclopropyl-5-phenyl-N-(3-

pyridinylmethyl) - (9CI) (CA INDEX NAME)

CN

RN 672318-10-4 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 5-(2-fluorophenyl)-3-phenyl-N-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)

RN 779353-03-6 CAPLUS

CN 2-Piperidineethanol, 1-[3-cyclopropyl-7-[[(1-oxido-3-pyridinyl)methyl]amino]pyrazolo[1,5-a]pyrimidin-5-yl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 779353-05-8 CAPLUS

CN Cyclohexanemethanol, 2-[[3-cyclopropyl-7-[[(1-oxido-3-pyridinyl)methyl]amino]pyrazolo[1,5-a]pyrimidin-5-yl]amino]-, (1R,2S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 779353-66-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors)

RN 779353-66-1 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 5-chloro-3-cyclopropyl-N-[(1-oxido-3-pyridinyl)methyl]- (9CI) (CA INDEX NAME)

GΙ

$$\mathbb{R}^{2}$$
 $\mathbb{R}^{3}$ 
 $\mathbb{N}$ 
 $\mathbb{N}$ 
 $\mathbb{N}$ 
 $\mathbb{N}$ 
 $\mathbb{N}$ 
 $\mathbb{N}$ 
 $\mathbb{N}$ 
 $\mathbb{N}$ 
 $\mathbb{N}$ 
 $\mathbb{N}$ 

The title compds. [I; R = H, alkyl, cycloalkyl, etc.; R2 = alkyl, halo, aryl, etc.; R3 = H, halo, aryl, etc.; R4 = H, halo, alkyl], useful as inhibitors of cyclin dependent kinases for treatment, prevention, inhibition, or amelioration of one or more diseases associated with the CDKs such as cancer, were prepared Thus, reacting II (preparation given) with 4-aminomethylpyridine afforded 93% III which showed IC50 of 0.020  $\mu\text{M}$  and 0.029  $\mu\text{M}$  against CDK2 kinase (cyclin A or cyclin E-dependent). The pharmaceutical composition comprising the compound I is claimed. This is a Part

I of I-III series.

L4 ANSWER 17 OF 63 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:857603 CAPLUS

DOCUMENT NUMBER: 141:332189

TITLE: Pyrazolopyrimidine compounds and their use in medicine INVENTOR(S): Parratt, Martin; Bower, Justin Fairfield; Williamson,

Douglas; Cansfield, Andrew

PATENT ASSIGNEE(S): Vernalis Cambridge Limited, UK

SOURCE: PCT Int. Appl., 90 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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KIND DATE
    PATENT NO.
                                    APPLICATION NO.
                     ____
                                      _____
    WO 2004087707
                            20041014 WO 2004-GB1214
                      A1
                                                           20040318
        W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
           CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
           GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
           LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
       TD, TG
                           20051228
    EP 1608652
                      Α1
                                      EP 2004-721593
                                                           20040318
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
           IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK
                                       GB 2003-7389 A 20030331
PRIORITY APPLN. INFO.:
                                       GB 2003-12296
                                                       A 20030529
                                       GB 2003-19028
                                                       A 20030813
                                       GB 2003-25854
                                                       A 20031105
                                       WO 2004-GB1214
                                                       W 20040318
OTHER SOURCE(S):
                      MARPAT 141:332189
    771505-38-5P 771505-44-3P 771506-96-8P
    771507-07-4P 771507-48-3P 771507-72-3P
    RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
    (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
    (Uses)
       (drug candidate; preparation of aminopyrazolopyrimidines with kinase
       inhibitory activity)
    771505-38-5 CAPLUS
RN
    Benzenesulfonamide, 4-[(5-chloro-3-cyclopropylpyrazolo[1,5-a]pyrimidin-7-
CN
    yl)amino]-N,N-dimethyl- (9CI) (CA INDEX NAME)
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RN 771505-44-3 CAPLUS

CN Benzenesulfonamide, 4-[[5-[(trans-4-aminocyclohexyl)amino]-3-cyclopropylpyrazolo[1,5-a]pyrimidin-7-yl]amino]-N,N-dimethyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771506-96-8 CAPLUS

CN Benzenesulfonamide, 4-[[5-[(trans-4-aminocyclohexyl)amino]-3-(3-thienyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-N,N-dimethyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771507-07-4 CAPLUS

CN Benzenesulfonamide, 4-[[5-chloro-3-(3-thienyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]-N,N-dimethyl- (9CI) (CA INDEX NAME)

RN 771507-48-3 CAPLUS

CN Benzenesulfonamide, 4-[[5-[(trans-4-aminocyclohexyl)amino]-3-phenylpyrazolo[1,5-a]pyrimidin-7-yl]amino]-N,N-dimethyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 771507-72-3 CAPLUS

CN Benzenesulfonamide, 4-[[5-[(trans-4-aminocyclohexyl)amino]-3-cyclopentylpyrazolo[1,5-a]pyrimidin-7-yl]amino]-N,N-dimethyl-(9CI) (CA INDEX NAME)

Relative stereochemistry.

GI

Ι

Compds. of formula (I) or salts, N-oxides, hydrates or solvates thereof AB are disclosed as inhibitors of kinase activity, and useful for the treatment of, for example, cancer, psoriasis or restenosis: wherein ring A is an optionally substituted carbocyclic or heterocyclic radical. Alk represents an optionally substituted divalent C1-C6 alkylene radical; n is 0 or 1. Q represents a radical of formula -(Alk1)p (X)r-(Alk2)s -Z wherein in any compatible combination Z is hydrogen or an optionally substituted carbocyclic or heterocyclic ring; Alk1 and Alk2 are optionally substituted divalent C1-C6 alkylene radicals which may contain a -0-, -Sor -NRA- link, wherein RA is hydrogen or C1-C6 alkyl; X represents -O-, -S-, -(C=0)-, -(C=S)-, -SO2-, -SO-, -C(=0)O-, -OC(=O)-, -C(=O)NRA-, -NRAC(=0)-,-C(=S)NRA-, -NRAC(=S)-, -SO2NRA-, -NRASO2-, -OC(=O)NRA-, -NRAC(=0)0-, or -NRA- wherein RA is hydrogen or C1-C6 alkyl; p, r and s are independently 0 or 1. R1 represents a radical -(Alk3)a-(Y)b-(Alk4)d-B wherein a, b and d are independently 0 or 1; Alk3 and Alk4 are optionally substituted divalent C1-C3 alkylene radicals; Y represents a monocyclic divalent carbocyclic or heterocyclic radical having from 5 to 8 ring atoms, -O-, -S-, or -NRA- wherein RA is hydrogen or C1-C6 alkyl; B represents hydrogen or halo, or an optionally substituted monocyclic carbocyclic or heterocyclic ring having from 5 to 8 ring atoms, or in the case where Y is -NRA- and b is 1, then RA and the radical -(Alk4)d-B taken together with the nitrogen to which they are attached may form an optionally substituted heterocyclic ring. R represents H, halo, C1-C6 alkyl, C1-C6 alkoxy, C1-C6 alkylthio, Ph, benzyl, cycloalkyl with 3 to 6 ring atoms, or a monocyclic heterocyclic group having 5 or 6 ring atoms. Preparation of I is also disclosed; thus, e.g., the HCl salt of II was prepared from 5,7-dichloropyrazolo[1,5-a]pyrimidine via substitution with 4-fluoroaniline followed by N-protection with di-t-Bu dicarbonate, coupling with Ph boronic acid, and deprotection. I were assayed for CDK2 inhibition and were identified to possess IC50 values ranging from 0.004-24.866  $\mu M.$  Data for assays of CHK1 kinase activity and PDK dependent kinase activity were given as well as growth inhibition assay data for select example compds.

L4 ANSWER 18 OF 63 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:750991 CAPLUS

DOCUMENT NUMBER: 141:271427

TITLE: Antidepressant-like activity of corticotropin-

releasing factor type-1 receptor antagonists in mice

Nielsen, Darci M.; Carey, Galen J.; Gold, Lisa H.

CORPORATE SOURCE: Pfizer, Kalamazoo, MI, 49007, USA

SOURCE: European Journal of Pharmacology (2004), 499(1-2),

135-146

CODEN: EJPHAZ; ISSN: 0014-2999

PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal LANGUAGE: English

IT 202579-74-6, DMP 904

AUTHOR(S):

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

(Biological study); USES (Uses)

 $(antidepressant-like\ activity\ of\ corticotropin-releasing\ factor\ type-1$ 

receptor antagonists in mice)

RN 202579-74-6 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-(1-ethylpropyl)-3-(4-methoxy-2-methylphenyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

AΒ The development of selective corticotropin-releasing factor type-1 (CRF1) receptor antagonists represents a potential novel treatment for depression. These studies evaluated CRF1 receptor antagonists for antidepressant-like activity in mice. Subchronic dosing of both R 121919 (3-[6-(dimethylamino)-4-methyl-pyrid-3-yl]-2,5-dimethyl-N,N-dipropylpyrazolo[2,3-a]pyrimidin-7-amine) and DMP 696 (4-(1,3-dimethoxyprop-2ylamino)-2,7-dimethyl-8-(2,4-dichlorophenyl)-pyrazolo[1,5-a]-1,3,5triazine) significantly decreased immobility time in the tail suspension test (at 30 and at 3 and 10 mg/kg, i.p., resp.). These antidepressant-like effects were observed at doses that did not impair general locomotor activity. Neither antalarmin (N-butyl-N-ethyl-[2,5,6trimethyl-7-(2,4,6)trimethylphenyl]-7H-pyrrolo[2,3-d]pyrimidin-4-ylamine) nor DMP 904 (4-(3-pentylamino)-2,7-dimethyl-8-(2-methyl-4-methoxyphenyl)pyrazolo-[1,5-a]-pyrimidine) had an effect indicative of antidepressant-like activity. These results suggest that the tail suspension assay may have utility to identify CRF1 receptor antagonists with antidepressant-like activity. Moreover, the results lend support to the theory that some nonpeptidic CRF1 receptor antagonists may possess antidepressant-like activity and therefore represent a promising novel pharmacotherapeutic strategy in the treatment of depression.

REFERENCE COUNT: 78 THERE ARE 78 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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ANSWER 19 OF 63 CAPLUS COPYRIGHT 2006 ACS on STN
T.4
                            2004:740331 CAPLUS
ACCESSION NUMBER:
                             141:260763
DOCUMENT NUMBER:
                            Preparation of pyrazolo[1,5-a]pyrimidines for treating
TITLE:
                             or preventing protein kinase mediated disorders
                            Kataoka, Kenichiro; Suzuki, Naotaka; Kosugi, Tomomi;
INVENTOR(S):
                             Imai, Minoru; Makino, Hiroaki; Takakuwa, Mika; Unoki,
                             Gen; Fujino, Aiko; Oue, Yasuhiro; Yamakoshi, Yuko;
                             Sugiura, Satoshi; Mitchell, Dale Robert; Simpson,
                             Donald James; Harris, Clifford John; Le, Joelle
PATENT ASSIGNEE(S):
                             Teijin Pharma Limited, Japan
                            PCT Int. Appl., 380 pp.
SOURCE:
                            CODEN: PIXXD2
DOCUMENT TYPE:
                            Patent
LANGUAGE:
                            English
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
     PATENT NO.
                           KIND DATE
                                                 APPLICATION NO.
                                                                            DATE
                            ____
                                    _____
                                                  _____
                                    20040910 WO 2004-JP2522
                                                                            20040301
     WO 2004076458
                            A1
          W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
          CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, MI, MB, NE, SN, TD, TC
               GN, GQ, GW, ML, MR, NE, SN, TD, TG
     AU 2004215481
                             A1
                                    20040910
                                                AU 2004-215481
                                                                             20040301
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                             AA
                                    20040910
                                                  CA 2004-2516824
                                                                             20040301
                                    20051130
                                                EP 2004-716064
     EP 1599482
                             Α1
                                                                             20040301
          R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
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     BR 2004007834
                            Α
                                    20060214
                                                 BR 2004-7834
                                                                             20040301
     CN 1780840
                             Α
                                    20060531
                                                  CN 2004-80011183
                                                                             20040301
                                                  NO 2005-3955
     NO 2005003955
                             Α
                                    20050922
                                                                             20050825
PRIORITY APPLN. INFO.:
                                                  GB 2003-4665
                                                                        A 20030228
                                                                       P 20030908
A 20031219
                                                  US 2003-500695P
                                                  GB 2003-29446
                                                                    A 20040301
                                                   WO 2004-JP2522
OTHER SOURCE(S):
                            MARPAT 141:260763
     754205-87-3P 754206-42-3P 754206-79-6P
     754206-80-9P 754208-54-3P 754208-55-4P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
      (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
      (Uses)
         (preparation of pyrazolo[1,5-a]pyrimidines for treating or preventing
         protein kinase mediated disorders)
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Pyrazolo[1,5-a]pyrimidine-5,7-diamine, N5-(trans-4-aminocyclohexyl)-3-(1,3-

dioxan-2-yl)-N7-(4-ethoxyphenyl)-6-methyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

754205-87-3 CAPLUS

RN CN

RN 754206-42-3 CAPLUS

CN Pyrazolo[1,5-a]pyrimidine-5,7-diamine, N5-(trans-4-aminocyclohexyl)-3-(5,5-dimethyl-1,3-dioxan-2-yl)-N7-(4-ethoxyphenyl)-6-methyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 754206-79-6 CAPLUS

CN Pyrazolo[1,5-a]pyrimidine-5,7-diamine, N5-(trans-4-aminocyclohexyl)-3-cyclopropyl-N7-(4-ethoxyphenyl)-6-methyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 754206-80-9 CAPLUS

CN Pyrazolo[1,5-a]pyrimidine-5,7-diamine, 3-cyclopropyl-N7-(4-ethoxyphenyl)-6-methyl-N5-3-piperidinyl- (9CI) (CA INDEX NAME)

RN 754208-54-3 CAPLUS

CN Pyrazolo[1,5-a]pyrimidine-5,7-diamine, N5-(trans-4-aminocyclohexyl)-3-cyclohexyl-N7-(4-ethoxyphenyl)-6-methyl- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 754208-55-4 CAPLUS

CN Pyrazolo[1,5-a]pyrimidine-5,7-diamine, 3-cyclohexyl-N7-(4-ethoxyphenyl)-6-

GΙ

AB The tile compds. [I; R1 = H, alkyl, alkenyl, cycloalkyl, etc.; R2 = H, halo, CN, NO2, CHO, etc.; R3 = alkyl, cycloalkyl, aryl, etc.; R4 = H, halo, alkyl, cycloalkyl, etc.; R5 = alkyl, alkenyl, cycloalkyl, heterocyclyl, etc.; R6 = H, alkyl, cycloalkyl, aryl, etc.; with the provisos] which exhibit excellent kinase inhibiting activity (particularly MAPKAP-K2 inhibiting activity) and therefore are expected to be useful as therapeutic or prophylactic agents for a protein kinase mediated disorder in which kinase is implicated, such as inflammatory disease, autoimmune disease, destructive bone disorder, cancer and/or tumor growth, were prepared E.g., a multi-step synthesis of II which was active at 1-100  $\mu$ M against MAPKAP-K2, was given.

REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 20 OF 63 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:654772 CAPLUS

DOCUMENT NUMBER: 141:190798

TITLE: Preparation of pyrazolo[1,5-a]pyrimidine derivatives

as cannabinoid receptor ligands

INVENTOR(S): Griffith, David A. PATENT ASSIGNEE(S): Pfizer Inc, USA

SOURCE: U.S. Pat. Appl. Publ., 67 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PATENT NO.							DATE			APPL	ICAT	ION 1	DATE						
	US	2004	A1 2004083			0812		US 2	004-	7629		20040121								
	CA	2515			AA 20040819				CA 2	004 -		20040128								
	WO	2004		A1		2004		WO 2	004-	IB28	6		20040128							
		W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,		
			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FΙ,	GB,	GD,		
			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	KΖ,	LC,		
			LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI		
		RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AT,	BE,		
			BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	IE,	IT,	LU,		
			MC,	NL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,		
			GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG										
	ΕP	1594	872			A1		2005	1116		EP 2	004-	7058	62		20040128				
		R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,		
			IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	HU,	SK			
	BR 2004007305					A		2006	0207	•	BR 2	004-	7305							
PRIOF	RIORITY APPLN. INFO.:										US 2	003-	4464	]	P 20030210					
											WO 2	004-	IB28	Ţ	W 20040128					

OTHER SOURCE(S): MARPAT 141:190798

IT 737827-88-2P 737827-89-3P 737827-90-6P

737827-91-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrazolo[1,5-a]pyrimidine derivs. as cannabinoid receptor ligands (antagonists) for treating diseases mediated by cannabinoid receptors)

RN 737827-88-2 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-butyl-2-(2-chlorophenyl)-3-(4-chlorophenyl)-5-methyl- (9CI) (CA INDEX NAME)

RN 737827-89-3 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 2-(2-chlorophenyl)-3-(4-chlorophenyl)-N-(2-methoxyethyl)-5-methyl- (9CI) (CA INDEX NAME)

RN 737827-90-6 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 2-(2-chlorophenyl)-3-(4-chlorophenyl)-N-[2-(4-fluorophenyl)ethyl]-5-methyl- (9CI) (CA INDEX NAME)

RN 737827-91-7 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 2-(2-chlorophenyl)-3-(4-chlorophenyl)-5-methyl-N-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)

GI

AB Compds. of formula (I) [wherein R, R1 = each (un)substituted aryl or heteroaryl; R2, R3 = H, halo, C1-4alkyl, halo-C1-4 alkyl, C1-4 alkoxy; R4 = Q, Q1, OR5 (where R5 taken together with R3 forms a 5- to 6-membered partially saturated heterocyclic ring optionally containing an addnl. oxygen, or a

5-membered heteroaryl, said heterocyclic ring and said heteroaryl being optionally substituted with one or more substituents); R4a = H, C1-3 alkyl; R4b, R4b', R4f, R4f'= H, cyano, H0, NH2, C0NH2, C1-6 alkyl, C1-6 alkoxy, acyloxy, acyl, C1-3 alkoxycarbonyl, mono- or di(C1-4 alkyl)carbamoyl, mono- or di(C1-6 alkyl)amino, C3-6 cycloalkylamino, acylamino, aryl(C1-4 alkyl)amino, heteroaryl(C1-4 alkyl)amino, aryl, heteroaryl, each (un)substituted and partially or fully saturated 3-6 membered heterocycle or carbocyclic ring; or either R4b or R4b' taken together with R4e, R4e', R4f, or R4f' forms a bond, a methylene bridge, or an ethylene bridge; X, Z = a bond, (un)substituted CH2CH2; Y = O, S, CO, each (un)substituted CH2CH2 or NH] or pharmaceutically acceptable salt thereof, prodrugs of said compds. or said salts, or solvates or hydrates of said compds., said salts or said prodrugs are prepared. These compds. act as

cannabinoid receptor ligands and are useful for treating disease, condition or disorder modulated by a cannabinoid receptor antagonist which is selected from the group consisting of weight loss, obesity, bulimia, depression, atypical depression, bipolar disorders, psychoses, schizophrenia, behavioral addictions, suppression of reward-related behaviors, alcoholism, tobacco abuse, dementia, seizure disorders, epilepsy, attention deficit disorder, Parkinson's disease, inflammation, gastrointestinal disorders, and type II diabetes. Thus, 1-[2-(2-chlorophenyl)-3-iodopyrazolo[1,5-a]pyrimidin-7-yl]-4ethylaminopiperidine-4-carboxylic acid amide (90 mg, 0.17 mmol) was coupled with 4-chlorophenylboronic acid (41 mg, 0.26 mmol) in ethanol (2 mL), toluene (2 mL) and 2 M aqueous Na2CO3 (1 mL) in the presence of tetrakis(triphenylphosphine)palladium (27 mg, 0.023 mmol) at 80° for 1 h to give 1-[3-(4-Chloropheny1)-2-(2-chloropheny1)pyrazolo[1,5a]pyrimidin-7-yl]-4-ethylaminopiperidine-4-carboxylic acid amide (62 mg, 72%).

L4 ANSWER 21 OF 63 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:629705 CAPLUS

DOCUMENT NUMBER: 141:295971

TITLE: Design of 2,5-dimethyl-3-(6-dimethyl-4-methylpyridin-3-

y1)-7-dipropylaminopyrazolo[1,5-a]pyrimidine (NBI 30775/R121919) and structure-activity relationships of

30//5/RI21919) and structure-activity relationship

a series of potent and orally active

corticotropin-releasing factor receptor antagonists Chen, Chen; Wilcoxen, Keith M.; Huang, Charles Q.; Xie, Yun-Feng; McCarthy, James R.; Webb, Thomas R.; Zhu, Yun-Fei; Saunders, John; Liu, Xin-Jun; Chen,

Ta-Kung; Bozigian, Haig; Grigoriadis, Dimitri E.

CORPORATE SOURCE: Neurocrine Biosciences Inc., San Diego, CA, 92121, USA

SOURCE: Journal of Medicinal Chemistry (2004), 47(19),

4787-4798

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 141:295971
IT 195055-53-9P 764651-76-5P 764651-77-6P
764651-78-7P 764651-79-8P 764651-80-1P

RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic

preparation); BIOL (Biological study); PREP (Preparation)

(preparation, corticotropin-releasing factor receptor activity, and structure-activity relationship of dimethyl(pyridinyl)aminopyrazolopyri midine derivs.)

RN 195055-53-9 CAPLUS

AUTHOR(S):

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-[6-(dimethylamino)-4-methyl-3-pyridinyl]-N-(1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 764651-76-5 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-[6-(dimethylamino)-4-methyl-3-pyridinyl]-N-(1,2-dimethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 764651-77-6 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-[6-(dimethylamino)-4-methyl-3-pyridinyl]-N-(1,4-dimethylpentyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me}_2\text{CH}-\text{CH}_2-\text{CH}_2-\text{CH}-\text{NH} \\ \\ \text{Me} \\ \\ \text{N} \end{array}$$

RN 764651-78-7 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-[6-(dimethylamino)-4-methyl-3-pyridinyl]-2,5-dimethyl-N-(1-propylbutyl)- (9CI) (CA INDEX NAME)

RN 764651-79-8 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-[6-(dimethylamino)-4-methyl-3-pyridinyl]-N-[1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 764651-80-1 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-[6-(dimethylamino)-4-methyl-3-pyridinyl]-N-[(1S)-1-(methoxymethyl)-2-phenylethyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

GI

AΒ It has been shown that 3-phenylpyrazolo[1,5-a]pyrimidines were potent antagonists of the human corticotropin-releasing factor-1 receptor. A series of 3-pyridylpyrazolo[1,5-a]pyrimidines, e.g., I, containing a weakly basic pyridine ring at the 3-position of the bicyclic nucleus was designed to reduce lipophilicity from the initial lead compound These 3-pyridyl compds. exhibited potent antagonists at the human CRF1 receptor. Moreover, the hydrophilic and weakly basic pyridine moiety increased the water solubility of some analogs. I exhibited good binding affinity at the human CRF1 receptor with a Ki value of 3.5 nM. As a functional antagonist, it dose-dependently inhibited CRF-stimulated cAMP production in cells expressing the CRF1 receptor (IC50 = 50 nM), and CRF-stimulated ACTH release from cultured rat pituitary cells (IC50 = 20 nM). I had a log P value of 4.9 and water solubility of greater than 10 mg/mL. Pharmacokinetic studies in rats showed that I was orally bioavailable and able to penetrate into the brain. I has been demonstrated in vivo efficacy in animal behavioral models that measure anxiolytic activity. These results suggest that analogs from this series were potent CRF1 receptor antagonists with proper physicochem. properties and good pharmacokinetic profiles. I was developed into a clin. compound and exhibited efficacy in patients with major depression.

L4 ANSWER 22 OF 63 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:523288 CAPLUS

DOCUMENT NUMBER: 141:225452

TITLE: Design and synthesis of 3-(2-pyridyl)pyrazolo[1,5-

a]pyrimidines as potent CRF1 receptor antagonists Huang, Charles Q.; Wilcoxen, Keith M.; Grigoriadis,

Dimitri E.; McCarthy, James R.; Chen, Chen

CORPORATE SOURCE: Department of Medicinal Chemistry and Department of

Pharmacology, Neurocrine Biosciences, Inc., San Diego,

CA, 92129, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (2004),

14(15), 3943-3947

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 141:225452

IT 202579-74-6, DMP 904 RL: PRP (Properties)

AUTHOR(S):

(calculated lipophilicity of corticotropin-releasing factor receptor

antagonists)

RN 202579-74-6 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-(1-ethylpropyl)-3-(4-methoxy-2-

methylphenyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

GΙ

AB A series of 3-(2-pyridyl)pyrazolo[1,5-a]pyrimidines I [R1 = C1, O2N, Me, F3C, H2N, H0, etc.; R2 = H, Me, C1, O2N, H2N, MeO, NO, etc.; R3, R4 = n-Pr, n-Bu, MeOCH2CH2, cyclopropylmethyl, PhCH2] was designed and synthesized as antagonists for the corticotropin-releasing factor-1 (CRF1) receptor. Several compds. such as I [R1 = Me2N; R2 = Me; R3 = R4 = n-Pr; (II)] (Ki = 10 nM) exhibited good binding affinities at the CRF1 receptor. In addition, II had adequate solubility in water.

L4 ANSWER 23 OF 63 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:498562 CAPLUS

DOCUMENT NUMBER: 141:207163

TITLE: Optimization of 3-phenylpyrazolo[1,5-a]pyrimidines as

potent corticotropin-releasing factor-1 antagonists
with adequate lipophilicity and water solubility

AUTHOR(S): Chen, Chen; Wilcoxen, Keith M.; Huang, Charles Q.;

McCarthy, James R.; Chen, Takung; Grigoriadis, Dimitri

Ε.

CORPORATE SOURCE: Department of Medicinal Chemistry, Neurocrine

Biosciences, Inc., San Diego, CA, 92121, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (2004),

14(14), 3669-3673

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 141:207163

IT 744222-22-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL

(Biological study); PREP (Preparation)

(optimization of 3-phenylpyrazolo[1,5-a]pyrimidines as potent corticotropin-releasing factor-1 antagonists with adequate

lipophilicity and water solubility)

RN 744222-22-8 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethoxyphenyl)-N-(1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

GΙ

AB In an effort to identify potent CRF1 antagonists with proper physicochem. properties, a series of 3-phenylpyrazolo[1,5-a]pyrimidines bearing polar groups, such as amino, hydroxyl, methoxy, sulfoxide, were designed and synthesized. Several positions of the core structure were identified, where a polar group was tolerated with slight reduction in receptor binding. NBI 30545 (I) was found to have good binding affinity and potent

Ι

antagonistic activity at the human CRF1 receptor. Moreover, this compound had proper lipophilicity (log D = 2.78) and good solubility in water (>10 mg/mL), and exhibited good plasma and brain exposure when given orally.

REFERENCE COUNT:

21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 24 OF 63 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:292574 CAPLUS

DOCUMENT NUMBER: 140:368553

TITLE: Anxiolytic-like effects of the corticotropin-releasing

factor1 (CRF1) antagonist DMP904 [4-(3-pentylamino)2,7-dimethyl-8-(2-methyl-4-methoxyphenyl)-pyrazolo-

[1,5-a]-pyrimidine] administered acutely or

chronically at doses occupying central CRF1 receptors

in rats

AUTHOR(S): Lelas, Snjezana; Wong, Harvey; Li, Yu-Wen; Heman,

Karen L.; Ward, Kathryn A.; Zeller, Kim L.; Sieracki, Kristine K.; Polino, Joseph L.; Godonis, Helen E.; Ren, Shelly X.; Yan, Xiao-Xin; Arneric, Stephen P.; Robertson, David W.; Hartig, Paul R.; Grossman, Scott; Trainor, George L.; Taub, Rebecca A.; Zaczek, Robert;

Gilligan, Paul J.; McElroy, John F.

CORPORATE SOURCE: Neuroscience Biology, Bristol-Myers Squibb Company,

Wallingford, CT, USA

SOURCE: Journal of Pharmacology and Experimental Therapeutics

(2004), 309(1), 293-302

CODEN: JPETAB; ISSN: 0022-3565

PUBLISHER: American Society for Pharmacology and Experimental

Therapeutics

DOCUMENT TYPE: Journal LANGUAGE: English

IT 202579-74-6, DMP 904

RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological

activity); BIOL (Biological study)

(anxiolytic-like effects of chronic or acute corticotropin-releasing

factor1 (CRF1) antagonist DMP904)

RN 202579-74-6 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-(1-ethylpropyl)-3-(4-methoxy-2-

methylphenyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

AB Corticotropin-releasing factor1 (CRF1) antagonists may be effective in the treatment of anxiety disorders with fewer side effects compared with classic benzodiazepines. The behavioral effects of DMP904 [4-(3-pentylamino)-2,7-dimethyl-8-(2-methyl-4-methoxyphenyl)-pyrazolo-[1,5-a]-pyrimidine] and its effects on the hypothalamic-pituitary-adrenal (HPA) axis were related to its levels in plasma and estimated occupancy of central CRF1 receptors. DMP904 (10-30 mg/kg, p.o.) and alprazolam (10 mg/kg, p.o.) increased time spent in open arms of an elevated-plus maze. In addition, acutely or chronically (14 days) administered DMP904 (1.0-30 mg/kg, p.o.) and acute alprazolam (1.0-3.0 mg/kg, p.o.) significantly reduced exit latency in the defensive withdrawal model of anxiety in rats, suggesting that tolerance may not develop to the anxiolytic-like effects of DMP904 in this model of anxiety. Acutely, DMP904 reversed the stress-induced increase in plasma corticosterone levels in defensive

withdrawal at doses of 3.0 mg/kg and higher. These doses also resulted in levels of DMP904 in plasma similar to (for anxiolytic-like effects) or 4-fold higher (for effects on the HPA axis) than the in vitro IC50 value for binding affinity at CRF1 receptors and greater than 50% occupancy of CRF1 receptors. Unlike alprazolam, DMP904 did not produce sedation, ataxia, or chlordiazepoxide-like subjective effects (as measured by locomotor activity, rotorod performance, and chlordiazepoxide discrimination assays, resp.) at doses at least 3-fold higher than anxiolytic-like doses. In conclusion, anxiolytic-like effects and effects on the stress-activated HPA axis of DMP904 in the defensive withdrawal model of anxiety required 50% or greater occupancy of central CRF1 receptors. This level of CRF1 receptor occupancy resulted in fewer motoric side effects compared with classic benzodiazepines.

REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 25 OF 63 CAPLUS COPYRIGHT 2006 ACS on STN T. 4 2004:269996 CAPLUS ACCESSION NUMBER: DOCUMENT NUMBER: 140:303691 Preparation and pharmaceutical compositions of novel TITLE: pyrazolopyrimidines as cyclin dependent kinase inhibitors INVENTOR(S): Guzi, Timothy J.; Paruch, Kamil; Dwyer, Michael P.; Doll, Ronald J.; Girijavallabhan, Viyyoor Moopil; Alvarez, Carmen S.; Chan, Tin-Yau; Knutson, Chad; Madison, Vincent; Fischmann, Thierry O.; Dillard, Lawrence W.; Tran, Vinh D.; He, Zhen Min; James, Ray Anthony; Park, Haengsoon PATENT ASSIGNEE(S): Schering Corporation, USA; Pharmacopeia, Inc. SOURCE: PCT Int. Appl., 91 pp. CODEN: PIXXD2 DOCUMENT TYPE: Patent English LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO. KIND DATE APPLICATION NO. DATE \_\_\_\_\_ \_\_\_\_\_ \_\_\_\_ A2 WO 2003-US27491 20030903 WO 2004026229 20040401 20040617 WO 2004026229 АЗ W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NI, NO, NZ, PG, PH, PL, PT, RO, RU, SC, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UZ, VC, VN, YU, ZA, RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG CA 2497544 AA 20040401 CA 2003-2497544 20030903 AU 2003298571 Α1 20040408 AU 2003-298571 20030903 EP 1534712 Α2 20050601 EP 2003-796321 20030903 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK JP 2006502184 Т2 20060119 JP 2004-537708 20030903 ZA 2005001846 ZA 2005-1846 20050912 20050303 PRIORITY APPLN. INFO.: P 20020904 US 2002-408029P WO 2003-US27491 W 20030903 MARPAT 140:303691 OTHER SOURCE(S): ΤТ 676366-14-6P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of pyrazolopyrimidines as cyclin dependent kinase inhibitors)

676366-14-6 CAPLUS RN

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-cyclopropyl-N-[4-(methylsulfonyl)phenyl]-5-phenyl- (9CI) (CA INDEX NAME)

GΙ

$$\mathbb{R}^3$$
 $\mathbb{R}^4$ 
 $\mathbb{R}^4$ 
 $\mathbb{R}^2$ 
 $\mathbb{R}^2$ 
 $\mathbb{R}^3$ 
 $\mathbb{R}^4$ 
 $\mathbb{R}^4$ 

AB In its many embodiments, the present invention provides a novel class of pyrazolo[1,5-a]pyrimidine compds. I [R = (un)substituted aryl; R2 = halo, CN, (un)substituted alkyl, etc.; R3 = H, halo, (un)substituted-alkyl, -alkynyl, -aryl, etc.; R4 = H, halo or alkyl] as inhibitors of cyclin dependent kinases, methods of preparing such compds., pharmaceutical compns. containing one or more such compds., methods of preparing pharmaceutical formulations comprising one or more such compds., and methods of treatment, prevention, inhibition, or amelioration of one or more diseases associated with the CDKs using such compds. or pharmaceutical compns. Thus, e.g., II was prepared by substitution of 3-bromo-7-chloro-5-(2-chlorophenyl)-pyrazolo[1,5-a]pyrimidine (preparation given) with aniline. I exhibit excellent CDK inhibitory properties as demonstrated by II which possessed a IC50 value of 0.51 μM in kinase activity assays.

L4 ANSWER 26 OF 63 CAPLUS COPYRIGHT 2006 ACS on STN ACCESSION NUMBER: 2004:220336 CAPLUS DOCUMENT NUMBER: 140:270873

TITLE: Preparation of pyrazolopyrimidines as cyclin-dependent

kinase inhibitors

INVENTOR(S): Guzi, Timothy J.; Paruch, Kamil; Dwyer, Michael P.;

Doll, Ronald J.; Girijavallabhan, Viyyoor Moopil; Mallams, Alan; Alvarez, Carmen S.; Keertikar, Kartik M.; Rivera, Jocelyn; Chan, Tin-yau; Madison, Vincent; Fischmann, Thierry O.; Dillard, Lawrence W.; Tran, Vinh D.; He, Zhen Min; James, Ray Anthony; Park, Haengsoon; Paradkar, Vidyadhar M.; Hobbs, Douglas

Walsh

PATENT ASSIGNEE(S): Schering Corporation, USA; Pharmacopeia, Inc.

SOURCE: PCT Int. Appl., 609 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PA:	KIND DATE				APPL	ICAT	ION 1		DATE										
WO	2004022561				A1 20040318				WO 2	003-	us27.	 555	20030903						
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,		
		CO,	CR,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FΙ,	GB,	GD,	GΕ,	HR,	HU,		
		ID,	IL,	IN,	IS,	JP,	KG,	KR,	KΖ,	LC,	LK,	LR,	LT,	LU,	LV,	MA,	MD,		
		MG,	MK,	MN,	MX,	ΜZ,	NΙ,	NO,	NZ,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SE,		
		SG,	SK,	SL,	SY,	ΤJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UZ,	VC,	VN,	YU,	ZA,	ZM	
	RW:	GH,	GM,	KΕ,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	ΑM,	ΑZ,	BY,		
		KG,	KΖ,	MD,	RU,	ΤJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,		
		FΙ,	FR,	GB,	GR,	HU,	IE,	ΙΤ,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,	TR,		
		BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	$\mathrm{ML}$ ,	MR,	ΝE,	SN,	TD,	ΤG		
CA	2497	440			AA		2004	0318		CA 2	003-	2497	440	20030903					
AU 2003263071				A1		2004	0329		AU 2	003-	2630	20030903							
EP	1537	116			A1 20050608				EP 2	003-	7945	92	20030903						
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙT,	LI,	LU,	NL,	SE,	MC,	PT,		
								MK,											
														20030903					
	2006				Т2									20030903					
_	1735	-			Α			0215		-		-							
NO 2005001647					A 20050603				NO 2005-1647					20050404					
ORITY APPLN. INFO.:								US 2002-408027P						P 20020904					
										US 2002-421959P					P 20021029				
						WO 2	003-	US27	555	1	W 2	0030	903						
IFR SOURCE(S).					MAR.	PZT	140 •	2708	73										

OTHER SOURCE(S): MARPAT 140:270873

IT 672315-06-9P 672318-10-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors)  ${\rm RN} - 672315 - 06 - 9 - {\rm CAPLUS}$ 

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-cyclopropyl-5-phenyl-N-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)

RN 672318-10-4 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 5-(2-fluorophenyl)-3-phenyl-N-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)

GΙ

$$\mathbb{R}^{3}$$
 $\mathbb{N}$ 
 $\mathbb{N}$ 
 $\mathbb{N}$ 
 $\mathbb{N}$ 
 $\mathbb{N}$ 
 $\mathbb{N}$ 
 $\mathbb{N}$ 
 $\mathbb{N}$ 
 $\mathbb{N}$ 

AB The title compds. [I R = H, alkyl, cycloalkyl, etc.; R2 = alkyl, halo, aryl, etc.; R3 = H, halo, aryl, etc.; R4 = H, halo, alkyl], useful as inhibitors of cyclin dependent kinases for treatment, prevention, inhibition, or amelioration of one or more diseases associated with the CDKs such as cancer, were prepared Thus, reacting II (preparation given) with 4-aminomethylpyridine afforded 93% III which showed IC50 of 0.020  $\mu\text{M}$  and 0.029  $\mu\text{M}$  against CDK2 kinase (cyclin A or cyclin E-dependent). The pharmaceutical composition comprising the compound I is claimed. This is a Part

I of I-III series.

REFERENCE COUNT:

4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 27 OF 63 CAPLUS COPYRIGHT 2006 ACS on STN T.4 2004:220335 CAPLUS ACCESSION NUMBER: DOCUMENT NUMBER: 140:270872 Preparation of pyrazolo[1,5-a]pyrimidines as cyclin TITLE: dependent kinase inhibitors and anticancer agents Guzi, Timothy J.; Paruch, Kamil; Dwyer, Michael P.; INVENTOR(S): Doll, Ronald J.; Girijavallabhan, Viyyoor Moopil; Dillard, Lawrence W.; Tran, Vinh D.; He, Zhen Min; James, Ray Anthony; Park, Haengsoon Schering Corporation, USA; Pharmacopeia, Inc.; PATENT ASSIGNEE(S): Pharmacopeia Drug Discovery, Inc. PCT Int. Appl., 82 pp. SOURCE: CODEN: PIXXD2 Patent DOCUMENT TYPE: LANGUAGE: English FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO. KIND DATE APPLICATION NO. DATE \_\_\_\_ \_\_\_\_\_ \_\_\_\_\_ WO 2004022560 Α1 20040318 WO 2003-US27502 20030903 WO 2004022560 C2 20050707 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NI, NO, NZ, PG, PH, PL, PT, RO, RU, SC, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UZ, VC, VN, YU, ZA, RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG CA 2497450 20040318 CA 2003-2497450 20030903 AA AU 2003268385 Α1 20040329 AU 2003-268385 20030903 US 2003-653868 US 2004116442 Α1 20040617 20030903 EP 1534710 20050601 EP 2003-749347 Α1 20030903 AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK JP 2006502161 T2 20060119 JP 2004-534459 20030903 ZA 2005001852 20050908 ZA 2005-1852 20050303 Α PRIORITY APPLN. INFO.: US 2002-407999P P 20020904 WO 2003-US27502 W 20030903 OTHER SOURCE(S): MARPAT 140:270872 673475-04-2P 673475-05-3P 673475-06-4P 673475-07-5P 673475-12-2P 673475-13-3P 673475-17-7P 673475-18-8P 673475-26-8P 673475-27-9P 673475-28-0P 673475-29-1P 673475-30-4P 673475-31-5P 673475-32-6P 673475-33-7P 673475-34-8P 673475-35-9P 673475-36-0P 673475-37-1P 673475-39-3P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of pyrazolo[1,5-a]pyrimidines as cyclin dependent kinase inhibitors and anticancer agents)

4-Pyridinecarboxamide, N-(3-cyclopropyl-5-phenylpyrazolo[1,5-a]pyrimidin-7-

RN CN 673475-04-2 CAPLUS

yl)- (9CI) (CA INDEX NAME)

RN 673475-05-3 CAPLUS

CN 3-Pyridinecarboxamide, N-(3-cyclopropyl-5-phenylpyrazolo[1,5-a]pyrimidin-7-yl)- (9CI) (CA INDEX NAME)

RN 673475-06-4 CAPLUS

CN 3-Pyridinecarboxamide, N-(3-cyclopropyl-5-phenylpyrazolo[1,5-a]pyrimidin-7-yl)-, 1-oxide (9CI) (CA INDEX NAME)

RN 673475-07-5 CAPLUS

CN 4-Pyridinecarboxamide, N-(3-cyclopropyl-5-phenylpyrazolo[1,5-a]pyrimidin-7-

yl)-, 1-oxide (9CI) (CA INDEX NAME)

RN 673475-12-2 CAPLUS

CN 3-Pyridinecarboxamide, N-(3-cyclopentyl-5-phenylpyrazolo[1,5-a]pyrimidin-7-yl)- (9CI) (CA INDEX NAME)

RN 673475-13-3 CAPLUS

CN 3-Pyridinecarboxamide, N-(5-chloro-3-cyclopropylpyrazolo[1,5-a]pyrimidin-7-yl)- (9CI) (CA INDEX NAME)

RN 673475-17-7 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[3-cyclopropyl-7-[(3-pyridinylcarbonyl)amino]pyrazolo[1,5-a]pyrimidin-5-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

RN 673475-18-8 CAPLUS

CN 1-Piperidinecarboxylic acid, 3-[3-cyclopropyl-7-[(3-pyridinylcarbonyl)amino]pyrazolo[1,5-a]pyrimidin-5-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

RN 673475-26-8 CAPLUS

CN 3-Pyridinecarboxamide, N-[5-(cyclopentylamino)-3-cyclopropylpyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 673475-27-9 CAPLUS

CN 3-Pyridinecarboxamide, N-[5-(cyclohexylamino)-3-cyclopropylpyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 673475-28-0 CAPLUS

CN 3-Pyridinecarboxamide, N-[3-cyclopropyl-5-[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]pyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 673475-29-1 CAPLUS

CN 3-Pyridinecarboxamide, N-[3-cyclopropyl-5-[(2R)-2-(hydroxymethyl)-1-pyrrolidinyl]pyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 673475-30-4 CAPLUS

CN 3-Pyridinecarboxamide, N-[3-cyclopropyl-5-[[(1S)-1-(hydroxymethyl)-2-methylpropyl]amino]pyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 673475-31-5 CAPLUS

CN 3-Pyridinecarboxamide, N-[3-cyclopropyl-5-[[(1R)-1-(hydroxymethyl)-2-methylpropyl]amino]pyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 673475-32-6 CAPLUS

CN 3-Pyridinecarboxamide, N-[3-cyclopropyl-5-[2-(hydroxymethyl)-1-piperidinyl]pyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 673475-33-7 CAPLUS
CN 3-Pyridinecarboxamide, N-[3-cyclopropyl-5-[2-(2-hydroxyethyl)-1-piperidinyl]pyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 673475-34-8 CAPLUS
CN 3-Pyridinecarboxamide, N-[3-cyclopropyl-5-[[1(hydroxymethyl)cyclopentyl]amino]pyrazolo[1,5-a]pyrimidin-7-yl]- (9CI)
(CA INDEX NAME)

RN 673475-35-9 CAPLUS

CN 3-Pyridinecarboxamide, N-[3-cyclopropyl-5-[[(1R,2S)-2-(hydroxymethyl)cyclohexyl]amino]pyrazolo[1,5-a]pyrimidin-7-yl]-, rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 673475-36-0 CAPLUS

CN 3-Pyridinecarboxamide, N-[3-cyclopropyl-5-[[(1R,2R)-2-(hydroxymethyl)cyclohexyl]amino]pyrazolo[1,5-a]pyrimidin-7-yl]-, rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 673475-37-1 CAPLUS

CN 3-Pyridinecarboxamide, N-[3-cyclopropyl-5-(4-piperidinyl)pyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 673475-39-3 CAPLUS

CN 3-Pyridinecarboxamide, N-[3-cyclopropyl-5-(3-piperidinyl)pyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

The title compds. [I; Q = SO2, CO; R = each (un)substituted aryl or AΒ heteroaryl; R2 = cyano, NR5R6, CO2R6, CONR5R6, OR6, SR6, SO2R7, SO2NR5R6, -N(R5)SO2R7, N(R5)COR7, N(R5)CONR5R6, alkynyl, heteroaryl, CF3, heterocyclyl, alkynylalkyl, cycloalkyl, (un)substituted alkyl; R3 = H, halogen, NR5R6, CONR5R6, each (un) substituted alkyl, alkynyl, cycloalkyl, aryl, arylalkyl, heterocyclyl, heterocyclylalkyl, heteroaryl, or heteroarylalkyl, etc.; R4 = H, halo, alkyl; R5 = H, alkyl; R6 = H, each (un) substituted alkyl, aryl, arylalkyl, cycloalkyl, heterocyclyl, heterocyclylalkyl, heteroaryl, or heteroarylalkyl; or R5 and R6 in the moiety -NR5R6, may be joined together to form an (un)substituted cycloalkyl or heterocyclyl] or pharmaceutically acceptable salts or solvates thereof are prepared In its many embodiments, the present invention also provides methods of preparing such compds., pharmaceutical compns. containing one or more such compds. I, methods of preparing pharmaceutical formulations comprising one or more such compds., and methods of treatment, prevention, inhibition, or amelioration of one or more diseases associated with cyclin dependent kinase using such compds. I or pharmaceutical compns. The disease associated with cyclin dependent kinase is selected from the group consisting of; (1) cancer of the bladder, breast, colon, kidney, liver, lung, small cell lung cancer, esophagus, gall bladder, ovary, pancreas, stomach, cervix, thyroid, prostate, and skin, including squamous cell carcinoma; (2) leukemia, acute lymphocytic leukemia, acute lymphoblastic leukemia, B-cell lymphoma, T-cell lymphoma, Hodgkin's lymphoma, non-Hodgkin's lymphoma, hairy cell lymphoma and Burkitt's lymphoma; (3) acute and chronic myelogenous leukemia, myelodysplastic syndrome and promyelocytic leukemia; (4) fibrosarcoma and rhabdomyosarcoma; (5) astrocytoma, neuroblastoma, glioma and schwannomas; and (6) melanoma, seminoma, teratocarcinoma, osteosarcoma, xeroderma pigmentosum, keratoacanthoma, thyroid follicular cancer and Kaposi's sarcoma.

REFERENCE COUNT:

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 28 OF 63 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:220334 CAPLUS

DOCUMENT NUMBER: 140:270871

TITLE: Preparation of pyrazolo[1,5-a]pyrimidines as cyclin

> dependent kinase inhibitors and anticancer agents Guzi, Timothy J.; Paruch, Kamil; Dwyer, Michael P.; Doll, Ronald J.; Girijavallabhan, Viyyoor Moopil;

Dillard, Lawrence W.; Tran, Vinh D.; He, Zhen Min;

James, Ray Anthony; Park, Haengsoon

PATENT ASSIGNEE(S): Schering Corporation, USA; Pharmacopeia, Inc.

SOURCE: PCT Int. Appl., 83 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

INVENTOR(S):

PAT	PATENT NO.						KIND DATE					ION I	DATE					
WO	WO 2004022559				A1 20040318							20030903						
	W:							AZ,										
		CO,	CR,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FΙ,	GB,	GD,	GE,	HR,	HU,	
		,		,				KR,						,		,		
		MG,	MK,	MN,	MX,	MZ,	NI,	NO,	NZ,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SE,	
		,		,				TN,						,		,		ZM
	RW:							SD,										
		KG,	KΖ,	MD,	RU,	ΤJ,	TM,	AT,	BE,	ВG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	
		FΙ,	FR,	GB,	GR,	HU,	IE,	IT,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,	TR,	
		BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG	
CA	CA 2497444				AA	·	2004	0318		CA 2	003-	2497	20030903					
AU	2003	2683	57		A1 20040329					AU 2	003-	2683	20030903					
EP	1534	709			A1 20050601					EP 2	003-	7493	20030903					
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,	
		ΙE,	SI,	LT,	LV,	FΙ,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	HU,	SK		
JP	JP 2006501260						2006	0112		JP 2	004-	5344.	' '					
CN	CN 1738821						A 20060222				003-	8244	20030903					
ZA	ZA 2005001851						2005	0908		ZA 2	005-	1851						
PRIORITY	RIORITY APPLN. INFO.:										002-	4080		P 20020904				
										WO 2	003-	US27	1	W 20030903				

OTHER SOURCE(S): MARPAT 140:270871

674334-56-6P 674334-87-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrazolo[1,5-a]pyrimidines as cyclin dependent kinase inhibitors and anticancer agents for treating diseases, in particular various cancers, associated with cyclin dependent kinase)

674334-56-6 CAPLUS RN

Pyrazolo[1,5-a]pyrimidin-7-amine, 3-cyclopropyl-5-phenyl-N-3-pyridinyl-CN (9CI) (CA INDEX NAME)

RN 674334-87-3 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 5-(2-fluorophenyl)-3-phenyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)

GΙ

$$\mathbb{R}^{2}$$
 $\mathbb{R}^{3}$ 
 $\mathbb{N}$ 
 $\mathbb{N}$ 
 $\mathbb{N}$ 
 $\mathbb{N}$ 
 $\mathbb{N}$ 
 $\mathbb{N}$ 

AB The title compds. [I; R = (un)substituted heteroaryl; R2 = (un)substituted alkyl, alkynyl, aryl, heteroaryl, alkynylalkyl, CF3, heterocyclylalkyl, alkynylalkyl, cycloalkyl, CO2R4, etc., wherein aryl is optionally substituted; R3 = H, halogen, NR5R6, CO2R4, CONR5R6, each (un)substituted alkyl, alkynyl, cycloalkyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, heterocyclyl, heterocyclylalkyl, or heteroaryl, etc.; R4 = H, halo, alkyl; R5 = H, alkyl; R6 = H, each (un)substituted alkyl, aryl, arylalkyl, cycloalkyl, heterocyclyl, heterocyclylalkyl, heteroaryl, or heteroarylalkyl; or R5 and R6 in the moiety -NR5R6, may be joined together

to form an (un)substituted cycloalkyl or heterocyclyl] or pharmaceutically acceptable salts or solvates thereof are prepared In its many embodiments, the present invention also provides methods of preparing such compds., pharmaceutical compns. containing one or more such compds. I, methods of preparing pharmaceutical formulations comprising one or more such compds., and methods of treatment, prevention, inhibition, or amelioration of one or more diseases associated with cyclin dependent kinase using such compds. I or pharmaceutical compns. The disease associated with cyclin dependent kinase is selected from the group consisting of; (1) cancer of the bladder, breast, colon, kidney, liver, lung, small cell lung cancer, esophagus, gall bladder, ovary, pancreas, stomach, cervix, thyroid, prostate, and skin, including squamous cell carcinoma; (2) leukemia, acute lymphocytic leukemia, acute lymphoblastic leukemia, B-cell lymphoma, T-cell lymphoma, Hodgkin's lymphoma, non-Hodgkin's lymphoma, hairy cell lymphoma and Burkitt's lymphoma; (3) acute and chronic myelogenous leukemia, myelodysplastic syndrome and promyelocytic leukemia; (4) fibrosarcoma and rhabdomyosarcoma; (5) astrocytoma, neuroblastoma, glioma and schwannomas; and (6) melanoma, seminoma, teratocarcinoma, osteosarcoma, xeroderma pigmentosum, keratoacanthoma, thyroid follicular cancer and Kaposi's sarcoma.

REFERENCE COUNT:

2

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 29 OF 63 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:913167 CAPLUS

DOCUMENT NUMBER: 139:381505

TITLE: Preparation of pyrazolopyrimidines for preventing or

treating herpes virus infection

INVENTOR(S): Gudmundsson, Kristjan S.; Johns, Brian A.

PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA

SOURCE: PCT Int. Appl., 61 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA	TENT	NO.			KIND DA			TE APPLICATION NO.						DATE				
	2003095455 2003095455								WO 2	003-		20030430						
	W:						AU,		BA,	BB.	BG.	BR,	BY,	BZ.	CA,	CH.	CN.	
							DK,				•							
							IN,											
		•	•		•	•	MD,	•		•	•	•	•		,	•	•	
							sc,											
		TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW	·	•	·	•	•	
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,	
		KG,	KZ,	MD,	RU,	ΤJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	
		FI,	FR,	GB,	GR,	HU,	IE,	ΙΤ,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,	TR,	
		BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	ΤG	
AU	2003	2287	70		A1		2003	1111		AU 2	003-	2287	20030430					
EP	1504	004			A2 20050209					EP 2	003-	7265	20030430					
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙΤ,	LI,	LU,	NL,	SE,	MC,	PT,	
		ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	HU,	SK		
JP	JP 2005529919						2005	1006		JP 2	004 -	5034	20030430					
US	US 2005203106						A1 2005091			US 2	004 -	5129	16		2	0041	029	
PRIORIT	RIORITY APPLN. INFO.:									US 2	002-	3794:	21P		P 20020510			
										WO 2	003-	US13:	395	,	W 20030430			
OTHER S	OTHER SOURCE(S):						MARPAT 139:381505											

OTHER SOURCE(S): MARPAT 139:381505 IT 625095-79-6P 625095-80-9P 625095-81-0P

625095-82-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrazolopyrimidines for preventing or treating herpes virus infection)

RN 625095-79-6 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-cyclopentyl-3-[2-(cyclopentylamino)-4-pyridinyl]-2-phenyl- (9CI) (CA INDEX NAME)

RN 625095-80-9 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-cyclopentyl-3-[2-(cyclopentylamino)-4-pyridinyl]-2-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)

RN 625095-81-0 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-cyclopentyl-3-[2-(cyclopentylamino)-4-pyridinyl]-2-(4-fluorophenyl)- (9CI) (CA INDEX NAME)

RN 625095-82-1 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-cyclopentyl-3-[2-(cyclopentylamino)-4-pyrimidinyl]-2-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)

IT 625095-87-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrazolopyrimidines for preventing or treating herpes virus infection)

RN 625095-87-6 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-cyclopentyl-2-(4-methoxyphenyl)-3-[2-(methylthio)-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

GΙ

$$\begin{bmatrix} R5 \\ \hline q \\ \hline R4 \\ \hline R3 \\ \hline N \\ R2 \\ \hline I \\ F \\ \hline \end{bmatrix}$$

AB The title compds. [I; p = 1-3; R1 = halo, alkyl, cycloalkyl, etc.; Y = N, CH; R2 = aryl, 5-6 membered heterocyclyl or heteroaryl, aryloxy, etc.; R3, R4 = H, halo, alkyl, aryl, etc.; ring A = aryl, 5-10 membered heterocyclyl or heteroaryl; q = 0-5; R5 = halo, alkyl, cycloalkyl, aryl, etc.], useful for the prophylaxis or treatment of a herpes viral infection in an animal, were prepared Thus, coupling 3-bromo-2-phenyl-7-(pyrrolidin-1-

yl)pyrazolo[1,5-a]pyrimidine with 2-fluoropyridin-4-ylboronic acid (prepns. given) in the presence of PdCl2(PPh3)2, Na2CO3 and a few drops of H2O in DMF afforded 32% II which showed IC50 of 28  $\mu\text{M}$  against HSV-1. The pharmaceutical compns. containing the compds. I are claimed.

L4 ANSWER 30 OF 63 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:875291 CAPLUS

DOCUMENT NUMBER: 139:350751

TITLE: Preparation of pyrazolo[1,5-a]pyrimidine derivatives

as NAD(P)H oxidase inhibitors

INVENTOR(S): Seno, Kaoru; Nishi, Koichi; Matsuo, Yoshiyuki;

Fujishita, Toshio

PATENT ASSIGNEE(S): Shionogi & Co., Ltd., Japan SOURCE: PCT Int. Appl., 240 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

Р	PATENT NO.						D	DATE				ICAT		DATE					
W	10	2003	 56		A1	_	20031106						20030418						
		W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,	
			CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	
			GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KΖ,	LC,	LK,	LR,	
			LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NI,	NO,	NZ,	OM,	
			PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	ΤJ,	TM,	TN,	TR,	TT,	
			TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW	•	•	,	·	,	
		RW:	GH,	GM,	KE,	LS,	MW.	MZ,	SD.	SL,	SZ,	TZ.	UG,	ZM,	ZW.	AM,	AZ,	BY,	
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В	BR 2003009475						LV, FI, RO, MK, A 20050301							· ·					
	CN 1662537																		
	US 2006089362																		
	PRIORITY APPLN. INFO.:						111 20000127								A 20020423				
11/101/1											WO 2003-JP5024								
											2		J L J U	77 20000410					

OTHER SOURCE(S): MARPAT 139:350751

IT 619305-80-5 619306-08-0 619306-32-0

RL: PAC (Pharmacological activity); BIOL (Biological study)

(preparation of pyrazolo[1,5-a]pyrimidine derivs. as NAD(P)H oxidase inhibitors)

RN 619305-80-5 CAPLUS

CN Pyrazolo[1,5-a]pyrimidine-5-carboxamide, 3-(3-chlorophenyl)-7-(methylamino)-N-[2-(1-piperazinyl)phenyl]- (9CI) (CA INDEX NAME)

RN 619306-08-0 CAPLUS

CN Pyrazolo[1,5-a]pyrimidine-5-carboxamide, 3-(3-chlorophenyl)-7- (cyclopropylamino)-N-[3-(1-piperazinyl)phenyl]- (9CI) (CA INDEX NAME)

RN 619306-32-0 CAPLUS

CN Pyrazolo[1,5-a]pyrimidine-5-carboxamide, 3-(3-chlorophenyl)-7-(cyclopentylamino)-N-[2-(1-piperazinyl)phenyl]-(9CI) (CA INDEX NAME)

IT 619304-52-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrazolo[1,5-a]pyrimidine derivs. as NAD(P)H oxidase inhibitors)

RN 619304-52-8 CAPLUS

CN Pyrazolo[1,5-a]pyrimidine-5-carboxamide, 3-(3-chlorophenyl)-7-[(4-fluorophenyl)amino]-N-[2-(1-piperazinyl)phenyl]- (9CI) (CA INDEX NAME)

GΙ

$$R^{2}$$
 $N$ 
 $R^{4}$ 
 $R^{5}$ 
 $R^{5}$ 

AB Title compds. I (R1, R2, R3, R4, R5 = H, halo, alkyl, alkenyl, alkynyl, cycloalkyl, , aryl, heteroaryl, etc.) and their pharmaceutically acceptable salts, useful in the prevention of or treatments for diseases relating to NAD(P)H, are prepared Thus, N-2-cyclohexylphenyl 3-(3-chlorophenyl)pyrazolo[1,5-a]pyrimidin-5-amide was prepared in several steps from Et 7-chloropyrazolo[1,5-a]pyrimidine-5-carboxylate.

REFERENCE COUNT: 109 THERE ARE 109 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 31 OF 63 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:262469 CAPLUS

DOCUMENT NUMBER: 139:173737

TITLE: Pharmacological characterization of a novel nonpeptide

antagonist radioligand,  $(\pm)-N-[2-methyl-4-$ 

methoxyphenyl]-1-(1-(methoxymethyl)

propyl)-6-methyl-1H-1,2,3-triazolo[4,5-c]pyridin-4amine ([3H]SN003) for corticotropin-releasing factor1

receptors

AUTHOR(S): Zhang, Ge; Huang, Ning; Li, Yu-Wen; Qi, Xiaoping;

Marshall, Anne P.; Yan, Xiao-Xin; Hill, Geraldine;

Rominger, Cynthia; Prakash, Shimoga R.;

Bakthavatchalam, Rajagopal; Rominger, David H.;

Gilligan, Paul J.; Zaczek, Robert

CORPORATE SOURCE: CNS Diseases Research, Bristol-Myers Squibb

Pharmaceutical Research Institute, Wilmington, DE, USA

SOURCE: Journal of Pharmacology and Experimental Therapeutics

(2003), 305(1), 57-69

CODEN: JPETAB; ISSN: 0022-3565

PUBLISHER: American Society for Pharmacology and Experimental

Therapeutics

DOCUMENT TYPE: Journal LANGUAGE: English

IT 202579-74-6, DMP 904

RL: PAC (Pharmacological activity); BIOL (Biological study) (pharmacol. characterization of a novel nonpeptide antagonist radioligand, (±)-N-[2-Me-4-methoxyphenyl]-1-(1-(methoxymethyl) propyl)-6-Me-1H-1,2,3-triazolo[4,5-c]pyridin-4-amine ([3H]SN003) for

corticotropin-releasing factor1 receptors)

RN 202579-74-6 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-(1-ethylpropyl)-3-(4-methoxy-2-methylphenyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

The in vitro pharmacol. profile of a novel small mol. corticotropin-AB releasing factor 1 (CRF1) receptor antagonist,  $(\pm)$ -N-[2-methyl-4methoxyphenyl]-1-(1-(methoxymethyl)propyl)-6-methyl-1H-1,2,3-triazolo[4,5c]pyridin-4-amine (SN003), and the characteristics of its radioligand ([3H]SN003) are described. SN003 has high affinity and selectivity for CRF1 receptors expressed in rat cortex, pituitary, and recombinant HEK293EBNA (HEK293e) cells with resp. radiolabeled ovine CRF ([125I]oCRF) binding Ki values of 2.5, 7.9, and 6.8 nM. SN003 was shown to be a CRF1 receptor antagonist inasmuch as it inhibited CRF-induced cAMP accumulation in human CRF1HEK293e cells and CRF-stimulated ACTH hormone release from rat pituitary cells without agonist activities. Significant decreases in the Bmax of [125I]oCRF binding by SN003 suggest that this antagonist is not simply competitive. To further explore the interaction of SN003 with the CRF1 receptors, [3H]SN003 binding to rat cortex and human CRF1HEK293e cell membranes was characterized and shown to be reversible and saturable,

with KD values of 4.8 and 4.6 nM, and Bmax values of 0.142 and 7.42 pmol/mg protein, resp. The association and dissociation rate consts. of [3H]SN003

(k+1~0.292~nM-1~min-1~and~k-1~0.992+10-2~min-1) were also assessed using human CRF1HEK293e cell membranes, giving an equilibrium dissociation constant.

of 3.4 nM. Moreover, [3H]SN003 binding displayed a single affinity state and insensitivity to 5'-guanylylimidodiphosphate, consistent with characteristics of antagonist binding. Incomplete inhibition of [3H]SN003 binding by CRF peptides also suggests that SN003 is not simply competitive with CRF at CRF1 receptors. The distribution of [3H]SN003 binding sites was consistent with the expression pattern of CRF1 receptors in rat brain regions. Small mol. CRF1 antagonist radioligands like [3H]SN003 should enable a better understanding of small mol. interactions with the CRF1 receptor.

REFERENCE COUNT:

40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 32 OF 63 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:716091 CAPLUS

DOCUMENT NUMBER: 137:232665

TITLE: A process for the preparation of a homochiral

corticotropin releasing factor receptor ligand

INVENTOR(S): Gilligan, Paul J.

PATENT ASSIGNEE(S): Bristol-Myers Squibb Pharma Company, USA

SOURCE: PCT Int. Appl., 44 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.						KIND DATE				APPLICATION NO.						DATE			
	WO 20		A1 20020919				,	WO 2002-US6834						20020306					
	W	: AE	AG,	AL,	AM,	ΑT,	AU,	ΑZ,	BA,	BB,	ВG,	BR,	BY,	BZ,	CA,	CH,	CN,		
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FΙ,	GB,	GD,	GE,	GH,		
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KΕ,	KG,	KP,	KR,	KΖ,	LC,	LK,	LR,		
		LS	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,		
		PL	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ΤJ,	TM,	TN,	TR,	TT,	TZ,		
			UG,															TM	
	R	V: GH																	
			DE,																
			BJ,		CG,	CI,	CM,	GA,											
PRIORITY APPLN. INFO.: US 2001-275331P P 20010313																			
OTHER SOURCE(S): CASREACT 137:232665 IT 457911-55-6P, (-)-7-((R)-Butan-2-ylamino)-2,5-dimethyl-3-(2-methyl-																			
ΙT								_			,5-d	imet	hyl-	3-(2	-met	hyl-			
4-methoxyphenyl)pyrazolo[1,5-a]pyrimidine																			
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU																			
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES																			
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releasing factor receptor ligand) RN 457911-55-6 CAPLUS																			
RN						. 7		- 3		1 1.		<u> </u>	. 1. 1	_ 1	7.1	о г			
CN Pyrazolo[1,5-a]pyrimidin-7-amine, $3-(4-methoxy-2-methylphenyl)-2,5-dimethyl-N-[(1R)-1-methylpropyl]- (9CI) (CA INDEX NAME)$												Z,5-							

Absolute stereochemistry. Rotation (-).

GΙ

1

AB A process for the preparation of corticotropin releasing factor receptor I was disclosed. 2-Methyl-4-methoxybenzyl bromide (prepn given) was converted to the nitrile (DMF/EtOHaq, NaCN) and acetylated (EtOAc, Na°, reflux) and reacted with hydrazine to give an intermediate pyrazole. This was reacted with Et acetoacetate (HOAc, reflux) to form 7-hydroxy-2,5-dimethyl-3-(2-methyl-4-methoxyphenyl)pyrazolo[1,5-a]pyrimidine (II). II was treated with POCl3 (PhMe, DIEA) and the chloride displaced with (R)-2-butylamine to afford I. I is used in treating anxiety, depression, and other psychiatric, neurol. disorders as well as treatment of immunol., cardiovascular or heart-related diseases and colonic hypersensitivity associated with psychopathol. disturbance and stress.

REFERENCE COUNT:

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 33 OF 63 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:521741 CAPLUS

DOCUMENT NUMBER: 137:93768

TITLE: Preparation of tricyclic heterocyclic derivative

compounds as antagonists of corticotropin release factor receptor and drugs containing these compounds

as the active ingredient

INVENTOR(S): Nakai, Hisao; Kagamiishi, Yoshifumi PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 456 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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KIND DATE APPLICATION NO.
       PATENT NO.
                                                                                                    DATE
                                                _____
                                    ____
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       WO 2002053565
                                     A1 20020711 WO 2001-JP11581
                                                                                                     20011227
             W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
                   CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA,
                   UG, US, UZ, VN, YU, ZA, ZW
             RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
                   BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                     AA 20020711 CA 2001-2432148 20011227
A1 20031022 EP 2001-995808 20011227
       CA 2432148
       EP 1354884
             R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
                   IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
       CN 1491225
                                    A
                                              20040421 CN 2001-822720
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       JP 3528968
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                                            20040524 JP 2002-555088
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                                    A 20050215 BR 2001-16609
A 20050324 NZ 2001-526712
A 20030828 NO 2003-2956
A 20050527 ZA 2003-5003
A1 20040415 US 2003-250328
B2 20060425
       BR 2001016609
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       NZ 526712
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       NO 2003002956
ZA 2003005003
                                                                                                     20030626
       US 2004072833
                                                                 US 2003-250328
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       US 7034153

      JP 2003-406938
      20031205

      US 2005-219736
      20050907

      JP 2000-402517
      A 20001228

      JP 2002-555088
      A3 20011227

      WO 2001-JP11581
      W 20011227

      US 2003-250328
      A1 20030630

       JP 2004083597 A2 20040318
US 2006122392 A1 20060608
PRIORITY APPLN. INFO.:
                                     MARPAT 137:93768
OTHER SOURCE(S):
       441056-88-8P 441056-91-3P 441056-92-4P
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441057-37-0P 441057-38-1P 441057-39-2P
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
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(preparation of tricyclic heterocyclic derivative compds. as antagonists of corticotropin release factor receptor and drugs containing them as active

ingredient)

RN 441056-88-8 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, N-(1-ethylpropyl)-6,7-dihydro-3-(4-methoxy-2-methylphenyl)-2-methyl- (9CI) (CA INDEX NAME)

RN 441056-91-3 CAPLUS

CN 5H,7H-Pyrazolo[1,5-a]thieno[3,4-d]pyrimidin-8-amine, N-(1-ethylpropyl)-3-(4-methoxy-2-methylphenyl)-2-methyl- (9CI) (CA INDEX NAME)

RN 441056-92-4 CAPLUS

CN Pyrazolo[1,5-a]thieno[3,2-d]pyrimidin-9-amine, N-(1-ethylpropyl)-2,3-dihydro-5-(4-methoxy-2-methylphenyl)-6-methyl- (9CI) (CA INDEX NAME)

RN 441056-93-5 CAPLUS

CN Furo[3,2-d]pyrazolo[1,5-a]pyrimidin-9-amine, N-(1-ethylpropyl)-2,3-dihydro-5-(4-methoxy-2-methylphenyl)-6-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

RN 441056-94-6 CAPLUS

CN 5H-Pyrano[3,2-d]pyrazolo[1,5-a]pyrimidin-9-amine, N-(1-ethylpropyl)-6,7-dihydro-3-(4-methoxy-2-methylphenyl)-2-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 441056-96-8 CAPLUS

CN 1H-Pyrazolo[1,5-a]pyrrolo[3,2-d]pyrimidin-9-amine, N-(1-ethylpropyl)-2,3-dihydro-5-(4-methoxy-2-methylphenyl)-6-methyl- (9CI) (CA INDEX NAME)

RN 441056-97-9 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, N-(1-ethylpropyl)-6,7-dihydro-3-(4-methoxy-2-methylphenyl)-2-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

RN 441057-00-7 CAPLUS

CN 5H,7H-Furo[3,4-d]pyrazolo[1,5-a]pyrimidin-8-amine, 3-(4-methoxy-2-methylphenyl)-2-methyl-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 441057-01-8 CAPLUS

CN 5H,7H-Furo[3,4-d]pyrazolo[1,5-a]pyrimidin-8-amine, N-[(1S)-1- (dimethoxymethyl)propyl]-3-(4-methoxy-2-methylphenyl)-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 441057-02-9 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, N-[(1S)-1-(dimethoxymethyl)propyl]-6,7-dihydro-3-(4-methoxy-2-methylphenyl)-2-methyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 441057-03-0 CAPLUS

CN 5H,7H-Furo[3,4-d]pyrazolo[1,5-a]pyrimidin-8-amine, N-[2-methoxy-1-(methoxymethyl)ethyl]-3-(4-methoxy-2-methylphenyl)-2-methyl-(9CI) (CA INDEX NAME)

RN 441057-04-1 CAPLUS

CN 5H,7H-Furo[3,4-d]pyrazolo[1,5-a]pyrimidin-8-amine, N-[3-methoxy-1-(2-methoxyethy1)propy1]-3-(4-methoxy-2-methylpheny1)-2-methyl- (9CI) (CA INDEX NAME)

RN 441057-05-2 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, 6,7-dihydro-N-[2-methoxy-1-(methoxymethyl)ethyl]-3-(4-methoxy-2-methylphenyl)-2-methyl- (9CI) (CA INDEX NAME)

RN 441057-06-3 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, 6,7-dihydro-N-[3-methoxy-1-(2-methoxyethyl)propyl]-3-(4-methoxy-2-methylphenyl)-2-methyl- (9CI) (CA INDEX NAME)

RN 441057-07-4 CAPLUS

CN 5H,7H-Furo[3,4-d]pyrazolo[1,5-a]pyrimidin-8-amine, N-(1-ethylpropyl)-3-(4-methoxy-2-methylphenyl)-2,5-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 441057-08-5 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, 3-(2,4-dichlorophenyl)-N-(1-ethylpropyl)-6,7-dihydro-2-methyl- (9CI) (CA INDEX NAME)

RN 441057-09-6 CAPLUS

CN 5H-Pyrazolo[1,5-a]pyrrolo[3,4-d]pyrimidin-8-amine, N-(1-ethylpropyl)-6,7-dihydro-3-(4-methoxy-2-methylphenyl)-2-methyl- (9CI) (CA INDEX NAME)

RN 441057-12-1 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, N-(dicyclopropylmethyl)-6,7-dihydro-3-(4-methoxy-2-methylphenyl)-2-methyl- (9CI) (CA INDEX NAME)

RN 441057-14-3 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, N-(1-ethylpropyl)-6,7-dihydro-2-(methoxymethyl)-3-(4-methoxy-2-methylphenyl)- (9CI) (CA INDEX NAME)

RN 441057-15-4 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, 3-(1,3-benzodioxol-5-yl)-N-(1-ethylpropyl)-6,7-dihydro-2-methyl- (9CI) (CA INDEX NAME)

RN 441057-16-5 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, 3-(3,4-dimethoxyphenyl)-N-(1-ethylpropyl)-6,7-dihydro-2-methyl- (9CI) (CA INDEX NAME)

RN 441057-17-6 CAPLUS

CN 5H,7H-Furo[3,4-d]pyrazolo[1,5-a]pyrimidin-8-amine, N-cyclopropyl-3-(4-methoxy-3-methylphenyl)-2-methyl- (9CI) (CA INDEX NAME)

RN 441057-18-7 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, 2-cyclobutyl-N-(1-ethylpropyl)-6,7-dihydro-3-(4-methoxy-3-methylphenyl)- (9CI) (CA INDEX

NAME)

RN 441057-19-8 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, 2-ethyl-N-(1-ethylpropyl)-6,7-dihydro-3-(4-methoxy-3-methylphenyl)- (9CI) (CA INDEX NAME)

RN 441057-20-1 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, N-(1-ethylpropyl)-6,7-dihydro-3-(4-methoxy-3-methylphenyl)-2-(1-methylethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 441057-21-2 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, N-(2-ethylbutyl)-6,7-dihydro-3-(4-methoxy-3-methylphenyl)-2-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

RN 441057-22-3 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, N-(1-ethylpropyl)-6,7-dihydro-3-(4-methoxy-3-methylphenyl)-2-(methylthio)-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 441057-24-5 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, N-(1-ethylpropyl)-6,7-dihydro-3-(4-methoxy-3-methylphenyl)-2-methyl- (9CI) (CA INDEX NAME)

RN 441057-25-6 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, 3-(2,5-dimethylphenyl)-N-(1-ethylpropyl)-6,7-dihydro-2-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

RN 441057-26-7 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, N-cyclobutyl-6,7-dihydro-3-(4-methoxy-2-methylphenyl)-2-methyl- (9CI) (CA INDEX NAME)

RN 441057-28-9 CAPLUS

CN 1,3-Propanediol, 2-[[6,7-dihydro-3-(4-methoxy-2-methylphenyl)-2-methyl-5H-cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-yl]amino]- (9CI) (CA INDEX NAME)

RN 441057-29-0 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, N-(1-ethylpropyl)-2-(2-furanyl)-6,7-dihydro-3-(4-methoxy-2-methylphenyl)- (9CI) (CA INDEX NAME)

RN 441057-30-3 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, N-(1-ethylpropyl)-6,7-dihydro-3-(4-methoxy-2-methylphenyl)-2-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 441057-31-4 CAPLUS

CN 1,2-Ethanediamine, N'-[6,7-dihydro-3-(4-methoxy-2-methylphenyl)-2-methyl-5H-cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-yl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

RN 441057-34-7 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, N-(1-ethylbutyl)-6,7-dihydro-3-(4-methoxy-2-methylphenyl)-2-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

RN 441057-35-8 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, 6,7-dihydro-3-(4-methoxy-2-methylphenyl)-2-methyl-N-(1-methylpropyl)-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 441057-37-0 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, 6,7-dihydro-3-(4-methoxy-2-methyl)-N-[(1R)-1-(methoxymethyl)propyl]-2-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 441057-38-1 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, 6,7-dihydro-3-(4-methoxy-2-methylphenyl)-N-[(1S)-1-(methoxymethyl)propyl]-2-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

● HCl

RN 441057-39-2 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, N-cyclopentyl-6,7-dihydro-3-(4-methoxy-2-methylphenyl)-2-methyl- (9CI) (CA INDEX NAME)

RN 441057-40-5 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, 3-(2,4-difluorophenyl)-N- (1-ethylpropyl)-6,7-dihydro-2-methyl- (9CI) (CA INDEX NAME)

RN 441057-41-6 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, N-(1-ethylpropyl)-6,7-dihydro-3-(4-methoxy-2-methylphenyl)-2-(trifluoromethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 441057-43-8 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, N-cyclohexyl-6,7-dihydro-3-(4-methoxy-2-methylphenyl)-2-methyl- (9CI) (CA INDEX NAME)

RN 441057-45-0 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, N-(1-ethylpropyl)-6,7-dihydro-3-(4-methoxyphenyl)-2-methyl- (9CI) (CA INDEX NAME)

RN 441057-46-1 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, N-(1-ethylpropyl)-6,7-dihydro-3-(4-methoxyphenyl)-2-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 441057-47-2 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, N-(1,1-dimethylethyl)-6,7-dihydro-3-(4-methoxy-2-methylphenyl)-2-methyl- (9CI) (CA INDEX NAME)

RN 441057-48-3 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, N-(1-ethylpropyl)-6,7-dihydro-3-(2,4,6-trimethylphenyl)-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 441057-49-4 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, N-(1-cyclobutylethyl)-6,7-dihydro-3-(4-methoxy-2-methylphenyl)-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 441057-50-7 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, N-(1-ethylpropyl)-6,7-dihydro-3-(4-methoxy-2,3-dimethylphenyl)- (9CI) (CA INDEX NAME)

RN 441057-51-8 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, N-(1-ethylpropyl)-6,7-dihydro-3-(4-methoxy-2,5-dimethylphenyl)- (9CI) (CA INDEX NAME)

RN 441057-53-0 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, 6,7-dihydro-3-(4-methoxy-2-methylphenyl)-2-methyl-N-(2,2,2-trifluoroethyl)- (9CI) (CA INDEX NAME)

RN 441057-54-1 CAPLUS

CN 5H,7H-Furo[3,4-d]pyrazolo[1,5-a]pyrimidin-8-amine, 3-(4-methoxy-2-methylphenyl)-N-[(1R)-1-(methoxymethyl)propyl]-2-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 441057-55-2 CAPLUS

CN Furo[3,2-d]pyrazolo[1,5-a]pyrimidin-9-amine, 2,3-dihydro-5-(4-methoxy-2-methylphenyl)-N-[(1R)-1-(methoxymethyl)propyl]-6-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

● HCl

RN 441057-56-3 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, N-(1-ethylpropyl)-6,7-dihydro-3-(4-methoxy-2,6-dimethylphenyl)-, monohydrochloride (9CI) (CA INDEX NAME)

RN 441057-57-4 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, N-(1-ethylpropyl)-6,7-dihydro-3-(2-methoxy-4,6-dimethylphenyl)-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 441057-58-5 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, N-(1-ethylpropyl)-6,7-dihydro-3-(4-methoxy-2,6-dimethylphenyl)-2-methyl- (9CI) (CA INDEX NAME)

RN 441057-59-6 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, N-(1-ethylpropyl)-6,7-dihydro-3-(2-methoxy-4,6-dimethylphenyl)-2-methyl- (9CI) (CA INDEX NAME)

RN 441057-60-9 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, N-(1-ethyl-1-methylpropyl)-6,7-dihydro-3-(4-methoxy-2-methylphenyl)-2-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 441057-62-1 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, 3-[2-chloro-4-(trifluoromethoxy)phenyl]-N-(1-ethylpropyl)-6,7-dihydro-2-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 441057-63-2 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, 3-(2-chloro-4-

methoxyphenyl)-N-(1-ethylpropyl)-6,7-dihydro-2-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 441057-66-5 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, N-(1-ethylpropyl)-6,7-dihydro-3-(2-methoxy-4,5-dimethylphenyl)-2-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 441057-68-7 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, 6,7-dihydro-3-(4-methoxy-2-methylphenyl)-2-methyl-N-phenyl- (9CI) (CA INDEX NAME)

RN 441057-69-8 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, 6,7-dihydro-3-(4-methoxy-2-methylphenyl)-2-methyl-N-(2-methylphenyl)- (9CI) (CA INDEX NAME)

RN 441057-70-1 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, 6,7-dihydro-3-(4-methoxy-2-methylphenyl)-2-methyl-N-(3-methylphenyl)- (9CI) (CA INDEX NAME)

RN 441057-71-2 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, 6,7-dihydro-3-(4-methoxy-2-methylphenyl)-2-methyl-N-(4-methylphenyl)- (9CI) (CA INDEX NAME)

RN 441057-75-6 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, 3-[4-(dimethylamino)-2-methylphenyl]-N-(1-ethylpropyl)-6,7-dihydro-2-methyl- (9CI) (CA INDEX NAME)

RN 441057-76-7 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, 6,7-dihydro-3-(4-methoxy-2-methylphenyl)-2-methyl-N-(1-phenylpropyl)-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 441057-80-3 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, 6,7-dihydro-3-(4-methoxy-2-methylphenyl)-2-methyl-N-[1-(phenylmethyl)propyl]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 441057-81-4 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, N-(1-ethyl-4-phenylbutyl)-6,7-dihydro-3-(4-methoxy-2-methylphenyl)-2-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 441057-89-2 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, 3-[6-(dimethylamino)-4-methyl-3-pyridinyl]-N-(1-ethylpropyl)-6,7-dihydro-2-methyl-, dihydrochloride (9CI) (CA INDEX NAME)

●2 HC1

RN 441057-90-5 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, 3-[6-(dimethylamino)-4-methyl-3-pyridinyl]-6,7-dihydro-N-[(1S)-1-(methoxymethyl)-2-phenylethyl]-2-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 441058-03-3 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, N-(1-butylpentyl)-6,7-dihydro-3-(4-methoxy-2-methylphenyl)-2-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 441058-17-9 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, 3-(2-chloro-4-methoxyphenyl)-6,7-dihydro-2-methyl-N-(1-propylbutyl)-, monohydrochloride (9CI) (CA INDEX NAME)

RN 441058-20-4 CAPLUS

CN 5H,7H-Furo[3,4-d]pyrazolo[1,5-a]pyrimidin-8-amine, N-2-butynyl-3-(4-methoxy-2-methylphenyl)-2-methyl- (9CI) (CA INDEX NAME)

RN 441058-27-1 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, 3-(2-chloro-4-ethoxyphenyl)-N-(1-ethylpropyl)-6,7-dihydro-2-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 441058-28-2 CAPLUS

CN 5H,7H-Furo[3,4-d]pyrazolo[1,5-a]pyrimidin-8-amine, 3-(2-chloro-4-ethoxyphenyl)-N-(1-ethylpropyl)-2-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

RN 441058-43-1 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, 3-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]-N-(1-ethylpropyl)-6,7-dihydro-2-methyl-, dihydrochloride (9CI) (CA INDEX NAME)

●2 HC1

RN 441058-46-4 CAPLUS

CN 5H,7H-Furo[3,4-d]pyrazolo[1,5-a]pyrimidin-8-amine, 3-(2-chloro-4-methoxyphenyl)-2-methyl-N-(1-propylbutyl)-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 441058-51-1 CAPLUS

CN 5H,7H-Furo[3,4-d]pyrazolo[1,5-a]pyrimidin-8-amine, 3-(2-chloro-4-methoxyphenyl)-N-(dicyclopropylmethyl)-2-methyl- (9CI) (CA INDEX NAME)

RN 441058-52-2 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, 3-(2-chloro-4-methoxyphenyl)-N-(dicyclopropylmethyl)-6,7-dihydro-2-methyl- (9CI) (CA INDEX NAME)

RN 441058-61-3 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, 3-(3,5-dichloro-2-pyridinyl)-N-(1-ethylpropyl)-6,7-dihydro-2-methyl- (9CI) (CA INDEX NAME)

RN 441058-64-6 CAPLUS

CN 5H,7H-Furo[3,4-d]pyrazolo[1,5-a]pyrimidin-8-amine, 3-(2-chloro-4-methoxyphenyl)-N-(1-ethylpropyl)-2-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

RN 441058-87-3 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, N-(1-ethylpropyl)-6,7-dihydro-2-methyl-3-(2-methylphenyl)- (9CI) (CA INDEX NAME)

RN 441058-88-4 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, N-(1-ethylpropyl)-6,7-dihydro-2-methyl-3-(3-methylphenyl)- (9CI) (CA INDEX NAME)

RN 441058-89-5 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, N-(1-ethylpropyl)-6,7-dihydro-2-methyl-3-(4-methylphenyl)- (9CI) (CA INDEX NAME)

RN 441058-90-8 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, N-(1-ethylpropyl)-6,7-dihydro-3-[4-methoxy-2-(methylthio)phenyl]-2-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 441058-97-5 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, N-(1-ethylpropyl)-3-(4-fluoro-2-methylphenyl)-6,7-dihydro-2-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 441058-98-6 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, 3-(2,5-dichlorophenyl)-N-(1-ethylpropyl)-6,7-dihydro-2-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 441058-99-7 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, 3-(2,4-dimethoxyphenyl)-N-(1-ethylpropyl)-6,7-dihydro-2-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 441059-00-3 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, N-(1-ethylpropyl)-3-(2-fluoro-4-methylphenyl)-6,7-dihydro-2-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 441059-02-5 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, N-(1,2-dimethylpropyl)-6,7-dihydro-3-(4-methoxy-2-methylphenyl)-2-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

RN 441059-03-6 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, N-(1-cyclohexylethyl)-6,7-dihydro-3-(4-methoxy-2-methylphenyl)-2-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 441059-04-7 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, 6,7-dihydro-3-(4-methoxy-2-methylphenyl)-2-methyl-N-(1-methylbutyl)-, monohydrochloride (9CI) (CA INDEX NAME)

RN 441059-05-8 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, 6,7-dihydro-3-(4-methoxy-2-methylphenyl)-2-methyl-N-(1-methylpentyl)-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 441059-06-9 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, 6,7-dihydro-N-(2-methoxy-1-methylethyl)-3-(4-methoxy-2-methylphenyl)-2-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

RN 441059-07-0 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, 6,7-dihydro-3-(4-methoxy-2-methylphenyl)-2-methyl-N-(1-methylhexyl)-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 441059-08-1 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, 6,7-dihydro-3-(4-methoxy-2-methylphenyl)-2-methyl-N-(1,2,3,4-tetrahydro-1-naphthalenyl)- (9CI) (CA INDEX NAME)

RN 441059-09-2 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, 6,7-dihydro-3-(4-methoxy-2-methylphenyl)-2-methyl-N-[(1S,2S,3S,5R)-2,6,6-trimethylbicyclo[3.1.1]hept-3-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 441059-10-5 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, 3-(4-chloro-2-methylphenyl)-N-(1-ethylhexyl)-6,7-dihydro-2-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 441059-11-6 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, 3-(2,5-dimethoxyphenyl)-N-(1-ethylpropyl)-6,7-dihydro-2-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

RN 441059-12-7 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, N-(1-ethylpropyl)-6,7-dihydro-3-(2-methoxyphenyl)-2-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 441059-13-8 CAPLUS

CN 5H,7H-Furo[3,4-d]pyrazolo[1,5-a]pyrimidin-8-amine, N-(dicyclopropylmethyl)-3-(4-methoxy-2-methylphenyl)-2-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 441059-19-4 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, 6,7-dihydro-3-[2-methoxy-5-(1-methylethyl)phenyl]-2-methyl-N-(1-methylpropyl)-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 441059-20-7 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, 3-(5-fluoro-2-methoxyphenyl)-6,7-dihydro-2-methyl-N-(1-methylpropyl)-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 441059-24-1 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, 3-(5-chloro-2-methoxyphenyl)-6,7-dihydro-2-methyl-N-(1-methylpropyl)-, monohydrochloride (9CI) (CA INDEX NAME)

RN 441059-39-8 CAPLUS

CN Benzonitrile, 4-[8-[(1-ethylpropyl)amino]-6,7-dihydro-2-methyl-5H-cyclopenta[d]pyrazolo[1,5-a]pyrimidin-3-yl]-3-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 441059-44-5 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, N-(1-ethylpropyl)-6,7-dihydro-2-methyl-3-[4-(methylthio)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 441059-45-6 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, 3-[4- (dimethylamino)phenyl]-N-(1-ethylpropyl)-6,7-dihydro-2-methyl-,

monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 441059-52-5 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, 3-[2-chloro-4-(methylthio)phenyl]-N-(1-ethylpropyl)-6,7-dihydro-2-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 441059-63-8 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, 3-(4-bromo-2-chlorophenyl)-N-(1-ethylpropyl)-6,7-dihydro-2-methyl- (9CI) (CA INDEX NAME)

RN 441059-64-9 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, 3-(2,5-dichloro-4-methoxyphenyl)-N-(1-ethylpropyl)-6,7-dihydro-2-methyl-(9CI) (CA INDEX NAME)

RN 441059-65-0 CAPLUS

CN 5H,7H-Furo[3,4-d]pyrazolo[1,5-a]pyrimidin-8-amine, 3-(2,5-dichloro-4-methoxyphenyl)-N-(1-ethylpropyl)-2-methyl- (9CI) (CA INDEX NAME)

RN 441059-85-4 CAPLUS

CN Benzoic acid, 3-chloro-4-[8-[(1-ethylpropyl)amino]-6,7-dihydro-2-methyl-5H-cyclopenta[d]pyrazolo[1,5-a]pyrimidin-3-yl]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 441059-95-6 CAPLUS

CN Benzamide, 3-chloro-4-[8-[(1-ethylpropyl)amino]-6,7-dihydro-2-methyl-5H-cyclopenta[d]pyrazolo[1,5-a]pyrimidin-3-yl]- (9CI) (CA INDEX NAME)

RN 441059-96-7 CAPLUS

CN Benzamide, 3-chloro-4-[8-[(1-ethylpropyl)amino]-6,7-dihydro-2-methyl-5H-cyclopenta[d]pyrazolo[1,5-a]pyrimidin-3-yl]-N-methyl- (9CI) (CA INDEX NAME)

RN 441059-97-8 CAPLUS

CN Benzamide, 3-chloro-4-[8-[(1-ethylpropyl)amino]-6,7-dihydro-2-methyl-5H-cyclopenta[d]pyrazolo[1,5-a]pyrimidin-3-yl]-N,N-dimethyl-(9CI) (CA INDEX NAME)

RN 441059-98-9 CAPLUS

CN 5H,7H-Furo[3,4-d]pyrazolo[1,5-a]pyrimidin-8-amine, N-(1-ethylpropyl)-3-(4-methoxy-2,6-dimethylphenyl)-2-methyl- (9CI) (CA INDEX NAME)

RN 441060-02-2 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, 3-(2-chloro-4-methoxyphenyl)-N-(1-ethylpropyl)-6,7-dihydro-2-methyl- (9CI) (CA INDEX NAME)

RN 441060-03-3 CAPLUS

CN 5H,7H-Furo[3,4-d]pyrazolo[1,5-a]pyrimidin-8-amine, 3-(2-chloro-4,6-dimethoxyphenyl)-N-(1-ethylpropyl)-2-methyl- (9CI) (CA INDEX NAME)

RN 441060-04-4 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, 3-(4-amino-2-chlorophenyl)-N-(1-ethylpropyl)-6,7-dihydro-2-methyl- (9CI) (CA INDEX NAME)

RN 441060-05-5 CAPLUS

CN 5H,7H-Furo[3,4-d]pyrazolo[1,5-a]pyrimidin-8-amine, 3-(4-methoxy-2-methylphenyl)-2-methyl-N-(1-propylbutyl)- (9CI) (CA INDEX NAME)

RN 441060-06-6 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, 3-[2-chloro-4-(methylamino)phenyl]-N-(1-ethylpropyl)-6,7-dihydro-2-methyl- (9CI) (CA INDEX NAME)

RN 441060-07-7 CAPLUS

CN Benzaldehyde, 2-[8-[(1-ethylpropyl)amino]-6,7-dihydro-2-methyl-5H-cyclopenta[d]pyrazolo[1,5-a]pyrimidin-3-yl]-5-methoxy- (9CI) (CA INDEX NAME)

RN 441060-08-8 CAPLUS

CN Benzonitrile, 2-[8-[(1-ethylpropyl)amino]-6,7-dihydro-2-methyl-5H-cyclopenta[d]pyrazolo[1,5-a]pyrimidin-3-yl]-5-methoxy- (9CI) (CA INDEX NAME)

RN 441060-09-9 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, 3-(2-ethyl-4-methoxyphenyl)-N-(1-ethylpropyl)-6,7-dihydro-2-methyl- (9CI) (CA INDEX NAME)

RN 441060-10-2 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, 3-(2-chloro-4-methoxyphenyl)-6,7-dihydro-N-(1-propylbutyl)-, monohydrochloride (9CI) (CA INDEX NAME)

## ● HCl

RN 441060-17-9 CAPLUS

CN Benzoic acid, 2-[8-[(1-ethylpropyl)amino]-6,7-dihydro-2-methyl-5H-cyclopenta[d]pyrazolo[1,5-a]pyrimidin-3-yl]-5-methoxy-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

RN 441060-19-1 CAPLUS

CN 5H,7H-Furo[3,4-d]pyrazolo[1,5-a]pyrimidin-8-amine, 3-(2-chloro-4-methoxyphenyl)-N-(1-ethylpropyl)- (9CI) (CA INDEX NAME)

RN 441060-28-2 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, 3-(2-chloro-4-methoxyphenyl)-N-(dicyclopropylmethyl)-6,7-dihydro-(9CI) (CA INDEX NAME)

RN 441060-31-7 CAPLUS

CN 5H,7H-Furo[3,4-d]pyrazolo[1,5-a]pyrimidin-8-amine, 3-(2-chloro-4-methoxyphenyl)-N-(dicyclopropylmethyl)- (9CI) (CA INDEX NAME)

RN 441060-35-1 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, 3-(2-chloro-4-methoxyphenyl)-N-(1-ethylpropyl)-6,7-dihydro-(9CI) (CA INDEX NAME)

RN 441060-37-3 CAPLUS

CN Benzenemethanol, 2-[8-[(1-ethylpropyl)amino]-6,7-dihydro-2-methyl-5H-cyclopenta[d]pyrazolo[1,5-a]pyrimidin-3-yl]-5-methoxy- $\alpha$ ,  $\alpha$ -dimethyl- (9CI) (CA INDEX NAME)

RN 441060-39-5 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, N-(1-ethylpropyl)-6,7-dihydro-3-(6-methoxy-4-methyl-3-pyridinyl)-2-methyl- (9CI) (CA INDEX NAME)

RN 441060-47-5 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, 6,7-dihydro-3-(4-methoxy-2,6-dimethylphenyl)-N-(1-propylbutyl)- (9CI) (CA INDEX NAME)

RN 441060-53-3 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, N-(dicyclopropylmethyl)-6,7-dihydro-3-(4-methoxy-2,6-dimethylphenyl)- (9CI) (CA INDEX NAME)

RN 441060-61-3 CAPLUS

CN Butanal, 2-[[6,7-dihydro-3-(4-methoxy-2-methylphenyl)-2-methyl-5H-cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-yl]amino]-, O-methyloxime, (2S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

RN 441060-62-4 CAPLUS

CN Butanal, 2-[[3-(4-methoxy-2-methylphenyl)-2-methyl-5H,7H-furo[3,4-d]pyrazolo[1,5-a]pyrimidin-8-yl]amino]-, O-methyloxime, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

RN 441060-63-5 CAPLUS

CN Butanenitrile, 2-[[6,7-dihydro-3-(4-methoxy-2-methylphenyl)-2-methyl-5H-cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-yl]amino]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 441060-65-7 CAPLUS

CN Furo[3,2-d]pyrazolo[1,5-a]pyrimidin-9-amine, N-(1-ethylpropyl)-5-(4-methoxy-2-methylphenyl)-6-methyl- (9CI) (CA INDEX NAME)

RN 441061-04-7 CAPLUS

CN 5H-Pyrano[3,2-d]pyrazolo[1,5-a]pyrimidin-9-amine, N-(1-ethylpropyl)-6,7-dihydro-3-(4-methoxy-2-methylphenyl)-2-methyl- (9CI) (CA INDEX NAME)

RN 441061-05-8 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, N-(1-ethylpropyl)-6,7-dihydro-3-(4-methoxy-3-methylphenyl)-2-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 441061-06-9 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, N-(2-ethylbutyl)-6,7-dihydro-3-(4-methoxy-3-methylphenyl)-2-methyl- (9CI) (CA INDEX NAME)

RN 441061-07-0 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, N-(1-ethylpropyl)-6,7-dihydro-3-(4-methoxy-3-methylphenyl)-2-(methylthio)- (9CI) (CA INDEX NAME)

RN 441061-08-1 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, 3-(2,5-dimethylphenyl)-N-(1-ethylpropyl)-6,7-dihydro-2-methyl- (9CI) (CA INDEX NAME)

RN 441061-10-5 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, N-(1-ethylpropyl)-6,7-

dihydro-3-(4-methoxy-2-methylphenyl)-2-phenyl- (9CI) (CA INDEX NAME)

RN 441061-12-7 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, N-(1-ethylbutyl)-6,7-dihydro-3-(4-methoxy-2-methylphenyl)-2-methyl- (9CI) (CA INDEX NAME)

RN 441061-13-8 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, 6,7-dihydro-3-(4-methoxy-2-methylphenyl)-2-methyl-N-(1-methylpropyl)- (9CI) (CA INDEX NAME)

RN 441061-15-0 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, 6,7-dihydro-3-(4-methoxy-2-methyl)-N-[(1R)-1-(methoxymethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 441061-16-1 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, 6,7-dihydro-3-(4-methoxy-2-methylphenyl)-N-[(1S)-1-(methoxymethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 441061-17-2 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, N-(1-ethylpropyl)-6,7-dihydro-3-(4-methoxy-2-methylphenyl)-2-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 441061-20-7 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, N-(1-ethylpropyl)-6,7-dihydro-3-(2,4,6-trimethylphenyl)- (9CI) (CA INDEX NAME)

RN 441061-21-8 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, N-(1-cyclobutylethyl)-6,7-dihydro-3-(4-methoxy-2-methylphenyl)- (9CI) (CA INDEX NAME)

RN 441061-22-9 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, N-(1-ethylpropyl)-6,7-dihydro-3-(4-methoxy-2,6-dimethylphenyl)- (9CI) (CA INDEX NAME)

RN 441061-23-0 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, N-(1-ethylpropyl)-6,7-dihydro-3-(2-methoxy-4,6-dimethylphenyl)- (9CI) (CA INDEX NAME)

RN 441061-25-2 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, N-(1-ethyl-1-methylpropyl)-6,7-dihydro-3-(4-methoxy-2-methylphenyl)-2-methyl- (9CI) (CA INDEX NAME)

RN 441061-28-5 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, 3-[2-chloro-4-(trifluoromethoxy)phenyl]-N-(1-ethylpropyl)-6,7-dihydro-2-methyl-(9CI)(CA INDEX NAME)

RN 441061-32-1 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, N-(1-ethylpropyl)-6,7-dihydro-3-(2-methoxy-4,5-dimethylphenyl)-2-methyl- (9CI) (CA INDEX NAME)

RN 441061-36-5 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, 6,7-dihydro-3-(4-methoxy-2-methylphenyl)-2-methyl-N-(1-phenylpropyl)- (9CI) (CA INDEX NAME)

RN 441061-39-8 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, 6,7-dihydro-3-(4-methoxy-2-methylphenyl)-2-methyl-N-[1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

RN 441061-40-1 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, N-(1-ethyl-4-phenylbutyl)-6,7-dihydro-3-(4-methoxy-2-methylphenyl)-2-methyl- (9CI) (CA INDEX NAME)

RN 441061-53-6 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, 3-[6-(dimethylamino)-4-methyl-3-pyridinyl]-N-(1-ethylpropyl)-6,7-dihydro-2-methyl- (9CI) (CA INDEX NAME)

RN 441061-55-8 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, 3-[6-(dimethylamino)-4-methyl-3-pyridinyl]-6,7-dihydro-N-[(1S)-1-(methoxymethyl)-2-phenylethyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 441061-70-7 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, N-(1-butylpentyl)-6,7-dihydro-3-(4-methoxy-2-methylphenyl)-2-methyl- (9CI) (CA INDEX NAME)

RN 441061-74-1 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, 3-(2-chloro-4-methoxyphenyl)-6,7-dihydro-2-methyl-N-(1-propylbutyl)- (9CI) (CA INDEX NAME)

RN 441061-81-0 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, 3-(2-chloro-4-ethoxyphenyl)-N-(1-ethylpropyl)-6,7-dihydro-2-methyl- (9CI) (CA INDEX NAME)

RN 441061-90-1 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, 3-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]-N-(1-ethylpropyl)-6,7-dihydro-2-methyl-(9CI) (CA INDEX NAME)

RN 441062-02-8 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, N-(1-ethylpropyl)-6,7-dihydro-3-[4-methoxy-2-(methylthio)phenyl]-2-methyl- (9CI) (CA INDEX NAME)

RN 441062-05-1 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, N-(1-ethylpropyl)-3-(4-fluoro-2-methylphenyl)-6,7-dihydro-2-methyl- (9CI) (CA INDEX NAME)

RN 441062-06-2 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, 3-(2,5-dichlorophenyl)-N-(1-ethylpropyl)-6,7-dihydro-2-methyl- (9CI) (CA INDEX NAME)

RN 441062-07-3 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, 3-(2,4-dimethoxyphenyl)-N-(1-ethylpropyl)-6,7-dihydro-2-methyl- (9CI) (CA INDEX NAME)

RN 441062-08-4 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, N-(1-ethylpropyl)-3-(2-fluoro-4-methylphenyl)-6,7-dihydro-2-methyl- (9CI) (CA INDEX NAME)

RN 441062-09-5 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, N-(1,2-dimethylpropyl)-6,7-dihydro-3-(4-methoxy-2-methylphenyl)-2-methyl- (9CI) (CA INDEX NAME)

RN 441062-10-8 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, N-(1-cyclohexylethyl)-6,7-dihydro-3-(4-methoxy-2-methylphenyl)-2-methyl- (9CI) (CA INDEX NAME)

RN 441062-11-9 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, 6,7-dihydro-3-(4-methoxy-2-methylphenyl)-2-methyl-N-(1-methylbutyl)- (9CI) (CA INDEX NAME)

RN 441062-12-0 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, 6,7-dihydro-3-(4-methoxy-2-methylphenyl)-2-methyl-N-(1-methylpentyl)- (9CI) (CA INDEX NAME)

RN 441062-13-1 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, 6,7-dihydro-N-(2-methoxy-1-methylethyl)-3-(4-methoxy-2-methylphenyl)-2-methyl- (9CI) (CA INDEX NAME)

RN 441062-14-2 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, 6,7-dihydro-3-(4-methoxy-2-methylphenyl)-2-methyl-N-(1-methylhexyl)- (9CI) (CA INDEX NAME)

RN 441062-15-3 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, 3-(4-chloro-2-methylphenyl)-N-(1-ethylhexyl)-6,7-dihydro-2-methyl- (9CI) (CA INDEX NAME)

RN 441062-16-4 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, 3-(2,5-dimethoxyphenyl)-N-(1-ethylpropyl)-6,7-dihydro-2-methyl- (9CI) (CA INDEX NAME)

RN 441062-17-5 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, N-(1-ethylpropyl)-6,7-dihydro-3-(2-methoxyphenyl)-2-methyl- (9CI) (CA INDEX NAME)

RN 441062-19-7 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, 6,7-dihydro-3-[2-methoxy-5-(1-methylethyl)phenyl]-2-methyl-N-(1-methylpropyl)- (9CI) (CA INDEX NAME)

RN 441062-20-0 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, 3-(5-fluoro-2-methoxyphenyl)-6,7-dihydro-2-methyl-N-(1-methylpropyl)- (9CI) (CA INDEX NAME)

RN 441062-22-2 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, 3-(5-chloro-2-methoxyphenyl)-6,7-dihydro-2-methyl-N-(1-methylpropyl)- (9CI) (CA INDEX NAME)

RN 441062-24-4 CAPLUS

CN Benzonitrile, 4-[8-[(1-ethylpropyl)amino]-6,7-dihydro-2-methyl-5H-cyclopenta[d]pyrazolo[1,5-a]pyrimidin-3-yl]-3-methyl- (9CI) (CA INDEX NAME)

RN 441062-27-7 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, N-(1-ethylpropyl)-6,7-dihydro-2-methyl-3-[4-(methylthio)phenyl]- (9CI) (CA INDEX NAME)

RN 441062-28-8 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, 3-[4- (dimethylamino)phenyl]-N-(1-ethylpropyl)-6,7-dihydro-2-methyl- (9CI) (CA INDEX NAME)

RN 441062-29-9 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, 3-[2-chloro-4-(methylthio)phenyl]-N-(1-ethylpropyl)-6,7-dihydro-2-methyl-(9CI) (CA INDEX NAME)

RN 441062-39-1 CAPLUS

CN Benzoic acid, 3-chloro-4-[8-[(1-ethylpropyl)amino]-6,7-dihydro-2-methyl-5H-cyclopenta[d]pyrazolo[1,5-a]pyrimidin-3-yl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 441062-41-5 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, 3-(2-chloro-4-

methoxyphenyl)-6,7-dihydro-N-(1-propylbutyl)- (9CI) (CA INDEX NAME)

RN 441062-42-6 CAPLUS

CN Benzoic acid, 2-[8-[(1-ethylpropyl)amino]-6,7-dihydro-2-methyl-5H-cyclopenta[d]pyrazolo[1,5-a]pyrimidin-3-yl]-5-methoxy-, methyl ester (9CI) (CA INDEX NAME)

RN 441062-44-8 CAPLUS

CN Furo[3,2-d]pyrazolo[1,5-a]pyrimidin-9-amine, N-(1-ethylpropyl)-2,3-dihydro-5-(4-methoxy-2-methylphenyl)-6-methyl- (9CI) (CA INDEX NAME)

RN 441062-45-9 CAPLUS

CN 5H,7H-Furo[3,4-d]pyrazolo[1,5-a]pyrimidin-8-amine, N-(1-ethylpropyl)-3-(4-methoxy-2-methylphenyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 441062-47-1 CAPLUS

CN 5H,7H-Furo[3,4-d]pyrazolo[1,5-a]pyrimidin-8-amine, 3-(4-methoxy-2-methylphenyl)-N-[(1R)-1-(methoxymethyl)propyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 441062-48-2 CAPLUS

CN Furo[3,2-d]pyrazolo[1,5-a]pyrimidin-9-amine, 2,3-dihydro-5-(4-methoxy-2-methylphenyl)-N-[(1R)-1-(methoxymethyl)propyl]-6-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 441062-50-6 CAPLUS

CN 5H, 7H-Furo[3, 4-d]pyrazolo[1,5-a]pyrimidin-8-amine, 3-(2-chloro-4-

ethoxyphenyl)-N-(1-ethylpropyl)-2-methyl- (9CI) (CA INDEX NAME)

RN 441062-57-3 CAPLUS

CN 5H,7H-Furo[3,4-d]pyrazolo[1,5-a]pyrimidin-8-amine, 3-(2-chloro-4-methoxyphenyl)-2-methyl-N-(1-propylbutyl)- (9CI) (CA INDEX NAME)

RN 441062-59-5 CAPLUS

CN 5H,7H-Furo[3,4-d]pyrazolo[1,5-a]pyrimidin-8-amine, 3-(2-chloro-4-methoxyphenyl)-N-(1-ethylpropyl)-2-methyl- (9CI) (CA INDEX NAME)

RN 441062-62-0 CAPLUS

CN 5H,7H-Furo[3,4-d]pyrazolo[1,5-a]pyrimidin-8-amine, N-(dicyclopropylmethyl)-3-(4-methoxy-2-methylphenyl)-2-methyl- (9CI) (CA INDEX NAME)

RN 441063-79-2 CAPLUS

CN 5H-Cyclopenta[d]pyrazolo[1,5-a]pyrimidin-8-amine, 3-(6-chloro-1,3-benzodioxol-5-yl)-N-(1-ethylpropyl)-6,7-dihydro-2-methyl- (9CI) (CA INDEX NAME)

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$$\begin{bmatrix} A & & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & \\ & & \\ & & & \\ & & \\ & & & \\ & & \\ & & \\ & & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\$$

AB Tricyclic heterocyclic derivs. such as 6,7-dihydro-5H-cyclopenta[d]pyrazolo[1,5-a]pyrimidine, 5,7-dihydrofuro[3,4-d]pyrazolo[1,5-a]pyrimidine, and 6,7-dihydro-5H-cyclopenta[e]pyrrolo[2,3-b]pyridine derivs. represented by the following general formula (I) and pharmaceutically acceptable salts thereof [wherein X, Y = C or N, provided that both X and Y are not simultaneously N; W = C, N; U, Z = (un)substituted CH or NH, N, O, S, CO, C(:S); ring A = optionally substituted C4-6 carbocyclic ring or 4 to 5-membered heterocyclic ring possessing at least one of N, O, and S atom; R1 = (un)substituted C1-8 alkyl, C2-8 alkynyl, C2-8 alkenyl, NH2, or OH, SH, S(O)nR7, etc. (wherein n = 0-2; R7 = C1-8 alkyl, optionally substituted C3-10 bicyclic carbocyclyl, 3- to 10-membered ring bicyclic heterocyclyl, mono or bicyclic heterocyclyl-C1-4 alkyl, mono or bicyclic heterocyclyl-C1-4 alkyl, etc.); R3 = 5 to 10-membered mono or bicyclic heterocyclyl containing

1-4 N, 1 or 2 O and/or 1 or 2 O S atoms substituted by 1-5 groups selected from C1-8 alkyl, C2-8 alkenyl, C2-8 alkynyl, halo, etc.] or pharmacol. acceptable salts thereof or hydrates thereof are prepared Because of having a corticotropin release factor (CRF) receptor antagonism, the compds. I are useful in preventing and/or treating diseases caused by unusual secretion of corticotropin release factor, including depression (single episode, recurrent, post-delivery, or child abuse-induced depression), anxiety, anxiety disorders (panic disorder, specific phobia, acrophobia, social phobia, or obsessive-compulsive disorder), emotional disorder, dipolar disorder, post-traumatic stress, digestive ulcer, diarrhea, constipation, irritable bowel syndrome, inflammatory bowel diseases (ulcerous colitis or Crohn's disease), gastrointestinal function disorder accompanied by stress, neurol. vomiting, eating disorder [neurol. anorexia (anorexia nervosa) or overeating], obesity, stress-induced sleep disorder, fibromuscular pain-induced sleep disorder, stress-induced immunosuppression, stress-induced headache, stress-induced fever, stress-induced pain, operation invasion stress, chronic articular rheumatism, osteoarthritis, osteoporosis, psoriasis, and thyroid gland malfunction syndrome. The above diseases also include uveitis, asthma, diseases based on inappropriate antidiarrheic hormone, pain, inflammation, allergy, head trauma, spinal cord injury, ischemic neuron damage, Cushing's disease, seizure (attack), spasm, muscle spasm, epileptic ischemia, Parkinson's disease, Huntington's disease, urinary incontinence, Alzheimer's disease, Alzheimer-type senile dementia, multi-infarction dementia, amyotrophic lateral sclerosis, hypoglycemia, cardiovascular or cardiac diseases (hypertension, tachycardia, or ischemic heart failure), and alc. or drug withdrawal. Thus, a mixture of 150 mg 8-chloro-2-methyl-3-(2-methyl-4-methoxyphenyl)-6,7-dihydro-5H-cyclopenta[d]pyrazolo[1,5a]pyrimidine and 0.60 mL 3-pentylamine was heated at  $140\,^{\circ}$  for 1 h to give 8-(3-pentylamino)-2-methyl-3-(2-methyl-4-methoxyphenyl)-6,7dihydro-5H-cyclopenta[d]pyrazolo[1,5-a]pyrimidine (II). The compds. I inhibited the binding of 125I-CRF to human CRF receptor with IC50 of <1  $\mu M$ . A tablet and an ampule formulation containing II were prepared REFERENCE COUNT: THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS 16 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 34 OF 63 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:184863 CAPLUS

DOCUMENT NUMBER: 136:221516

TITLE: Hair growth stimulants containing CRF1 receptor

antagonists

INVENTOR(S): Ikeda, Akiko; Okuyama, Shigeru; Shibasaki, Tamotsu;

Kawana, Seiji; Kaneko, Katsumi

PATENT ASSIGNEE(S): Taisho Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 39 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PATENT NO.					KIN	D	DATE APPLICATION NO.						DATE				
	WO 2	0020	0199	 75		A1	_	2002	0314		 WO 2	001-	 JP75	 37		2	0010	831
	,	W:	ΑE,	AG,	AL,	ΑM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	ВG,	BR,	BY,	BZ,	CA,	CH,	CN,
			CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FΙ,	GB,	GD,	GE,	GH,
			GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KR,	KΖ,	LC,	LK,	LR,	LS,
			LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	PH,	PL,	PT,
			RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,
			UZ,	VN,	YU,	ZA,	ZW,	ΑM,	ΑZ,	BY,	KG,	KΖ,	MD,	RU,	ΤJ,	TM		
		RW:	GH,	GM,	KΕ,	LS,	MW,	${ m MZ}$ ,	SD,	SL,	SZ,	TZ,	UG,	ZW,	AT,	BE,	CH,	CY,
			DE,	DK,	ES,	FΙ,	FR,	GB,	GR,	ΙE,	ΙΤ,	LU,	MC,	NL,	PT,	SE,	TR,	BF,
			ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	ΤG	
	AU 2	0010	0844	17		Α5		2002	0322		AU 2	001-	8441	7		2	0010	831
PRIO	RITY .	APP1	LN.	INFO	.:						JP 2	000-	2692	91		A 2	0000	905
											WO 2	001-	JP75	37	1	W 2	0010	831

OTHER SOURCE(S): MARPAT 136:221516

IT 202579-74-6

RL: COS (Cosmetic use); BIOL (Biological study); USES (Uses) (hair growth stimulants containing CRF1 receptor antagonists)

RN 202579-74-6 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-(1-ethylpropyl)-3-(4-methoxy-2-methylphenyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

AB Disclosed are hair growth stimulants containing a corticotropin release factor (CRF) 1 receptor antagonist as the active ingredient. A CRF1 receptor antagonist 2-[N-(2-methylthio-4-isopropylphenyl)-N-ethylamino]-4-[4-(3-fluorophenyl)-1,2,3,6-tetrahydropyridine-1-yl]-6-methylpyrimidine showed keratinocyte cell proliferation promoting effect in cultured human epidermal keratinocyte cells.

REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 35 OF 63 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:813420 CAPLUS

DOCUMENT NUMBER: 135:344507

TITLE: Preparation of azolotriazines and -pyrimidines as corticotropin releasing factor (CRF) antagonists

INVENTOR(S): He, Liqi; Gilligan, Paul; Chorvat, Robert; Arvanitis,

Argyrios Georgios

PATENT ASSIGNEE(S): Dupont Pharmaceuticals Company, USA

SOURCE: U.S., 57 pp., Cont.-in-part of U.S. Ser. No. 899,242.

CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

PA	PATENT NO.						DATE		APPLICATION NO.							DATE			
CA US ZA US LT CA	6313 2532 6124 9706 6136 4680 2314 9938 W:	124 1925 1289 1603 1809 1613 1868 AU, RO,	BR, SG,	CA,	B1 AA A A B AA A1 CN, SK,	CZ,	2001 1998 2000 1999 2000 2000 1999 1999 EE, VN,	1106 0129 0926 0125 1024 0725 0805 0805 HU, AM,	IL,	CA US ZA US LT CA WO JP BY	199 199 199 199 199 199	97-2 97-8 97-6 98-1 99-2 99-1 KR, KG,	3992 6603 1499 3 2314 US18 LT, KZ,	925 42 9 613 24 LV, MD,	MX, RU,	NO,	19980 19970 19970 19970 19980 19990 19990 , NZ,	128 723 723 724 128 125 128 128 PL,	
	RW:	AT, PT,		CH,	CY,	DE,	DK,	ES,	FI,	FR	₹, (	GB,	GR,	IE,	IT,	LU	, MC,	NL,	
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EP	1049	699			A1 B1		2000	1108	E	EΡ	199	99-9	9043	82			19990	128	
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BR	9908						2000	1205	E	BR	199	99-8	3206				19990	128	
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PRIORIT	2005 Y APF				A2		2005	0414	Ţ	JP JS	199	04-2 96-2	2329	83 OP		P :	20040 20040 19960	724	
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									Ţ	JS	199	96-6	6860	47		A :	19960	724	
										CA	199	97-2	2259.	583		A3 :	19960 19970	723	
										JP	199	98-5	5072	33		A3 :	19970	723	

US 1998-14734 A 19980128 US 1998-15001 A 19980128 US 1998-15002 A 19980128 EP 1999-904382 A3 19990128 WO 1999-US1824 W 19990128

OTHER SOURCE(S): MARPAT 135:344507

IT 202579-57-5P 202579-60-0P 202579-62-2P
202579-63-3P 202579-64-4P 202579-65-5P
202579-69-9P 202579-73-5P 202579-74-6P
202579-75-7P 202579-77-9P 202579-78-0P
202579-80-4P 202579-81-5P 202579-82-6P
202579-84-8P 202579-87-1P 202579-91-7P
202579-92-8P 202579-94-0P 202579-95-1P
202580-25-4P 202580-26-5P 202580-28-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of azolotriazines and -pyrimidines as corticotropin releasing factor (CRF) antagonists)

RN 202579-57-5 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4-methylphenyl)-N-(1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202579-60-0 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dichlorophenyl)-N-[1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202579-62-2 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dichlorophenyl)-N-(1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202579-63-3 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dichlorophenyl)-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5-dimethyl-(9CI) (CA INDEX NAME)

RN 202579-64-4 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-N-(1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202579-65-5 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5-dimethyl-(9CI) (CA INDEX NAME)

RN 202579-69-9 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4-methylphenyl)-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202579-73-5 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-N-[1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202579-74-6 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-(1-ethylpropyl)-3-(4-methoxy-2-methylphenyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202579-75-7 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-[2-methoxy-1-(methoxymethyl)ethyl]-3-(4-methoxy-2-methylphenyl)-2,5-dimethyl-(9CI) (CA INDEX NAME)

RN 202579-77-9 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-[(1S)-3-methoxy-1- (methoxymethyl)propyl]-3-(4-methoxy-2-methylphenyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 202579-78-0 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-N-[(1S)-3-methoxy-1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 202579-80-4 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-N-ethyl-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202579-81-5 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-chloro-2-methylphenyl)-N-(1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202579-82-6 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-chloro-2-methylphenyl)-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202579-84-8 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-chloro-2-methylphenyl)-N-[(1S)-3-methoxy-1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 202579-87-1 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4-methylphenyl)-N-[(1S)-3-methoxy-1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 202579-91-7 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-methoxy-2-methylphenyl)-N-[1-(methoxymethyl)propyl]-2,5-dimethyl-(9CI) (CA INDEX NAME)

RN 202579-92-8 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4-methylphenyl)-N-[1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202579-94-0 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4,5-dimethoxyphenyl)-N-(1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202579-95-1 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-bromo-4,5-dimethoxyphenyl)-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202580-25-4 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-bromo-4,5-dimethoxyphenyl)-N-(1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202580-26-5 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-bromo-4-methoxyphenyl)-N-(1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202580-28-7 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-bromo-4-methoxyphenyl)-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

GΙ

AB The title compds. [I or II; A = N, CR; Z = N, CR2; Ar = (un) substituted Ph, naphthyl, pyridyl, etc.; R = H, alkyl, alkenyl, etc.; R1 = H, alkyl, alkenyl, etc.; R3 = H, SH, OH, etc.; R14 = H

C1-10 alkyl, C3-10 alkenyl, C3-10 alkynyl, etc.], corticotropin releasing factor (CRF) antagonists (no data) which are useful in treating anxiety, depression, and other psychiatric, neurol. disorders as well as in treatment of immunol., cardiovascular or heart-related diseases and colonic hypersensitivity associated with psychopathol. disturbance and stress, were prepared and formulated. Thus, treatment of 2,7-dimethyl-8-(2,4-dimethylphenyl)[1,5-a]pyrazolo-1,3,5-triazin-4-one with POCl3 and N,N-dimethylaniline, followed by reaction of the resulting 4-chloro-2,7-dimethyl-8-(2,4-dichlorophenyl)[1,5-a]pyrazolo-1,3,5-triazine with 1,3-dimethoxy-2-aminopropane in EtOH afforded I [A = N; Z = C(Me); R1 = Me; R3 = NHCH(CH2OMe)2; Ar = 2,4-Cl2C6H3].

103

REFERENCE COUNT:

THERE ARE 103 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L4 ANSWER 36 OF 63 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:796238 CAPLUS

DOCUMENT NUMBER: 135:339292

TITLE: Combinations of corticotropin releasing factor

antagonists and growth hormone secretagogues

INVENTOR(S): Fossa, Anthony A.

PATENT ASSIGNEE(S): Pfizer Products Inc., USA SOURCE: Eur. Pat. Appl., 58 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PATENT NO.					KINI	)	DATE			APPLICATION NO.						DATE			
							-									_				
	ΕP	1149	583			A2		2001	1031		ΕP	2001-	3030	33		2	0010	330		
	ΕP	1149	583			А3		2001	1114											
		R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GF	R, IT,	LI,	LU,	NL,	SE,	MC,	PT,		
			ΙE,	SI,	LT,	LV,	FI,	, RO												
	BR	2001	0014	56		A		2001	1204		BR	2001-	1456			2	0010	411		
	CA	2344	089			AA		2001	1013		CA	2001-	2344	089		2	0010	412		
	US	2001	0416	73		A1		2001	1115		US	2001-	8344	77		2	0010	413		
PRIOR	RIT	APP	LN.	INFO	.:						US	2000-	1966	98P	]	P 2	0000	413		
OTHER	0 00	ALID CE	/C) .			MADI	ידי עיכ	125.	33030	3.2										

OTHER SOURCE(S): MARPAT 135:339292

IT 202579-62-2 202579-64-4 203924-40-7

203924-41-8

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(combinations of corticotropin releasing factor antagonists and growth hormone secretagogues)

RN 202579-62-2 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dichlorophenyl)-N-(1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202579-64-4 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-N-(1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 203924-40-7 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-(1-ethylpropyl)-2,5-dimethyl-3-(2,4,6-trimethylphenyl)- (9CI) (CA INDEX NAME)

RN 203924-41-8 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-(1-ethylpropyl)-5-methyl-3-(2,4,6-trimethylphenyl)- (9CI) (CA INDEX NAME)

AB This invention is directed to pharmaceutical compns. comprising corticotropin releasing factor antagonist and growth hormone or growth hormone secretagogues, prodrugs thereof, or pharmaceutically acceptable salts of said compds. or said prodrugs (Markush structures given). The invention is also directed to the use of such compns. in the treatment or prevention of osteoporosis and heart-related diseases (including congestive heart failure) in mammals, particularly humans (no data).

L4 ANSWER 37 OF 63 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:516900 CAPLUS

DOCUMENT NUMBER: 135:272933

TITLE: Some reactions with ketene dithioacetals. Part I. Synthesis of antimicrobial pyrazolo[1,5-a]pyrimidines

via the reaction of ketene dithioacetals and

5-aminopyrazoles

AUTHOR(S): Zaharan, Medhat A.; El-Sharief, Ahmed M. Sh.; El-Gaby,

Mohamed S. A.; Ammar, Yousry A.; El-Said, Usama H. Chemistry Department, Faculty of Science, Al-Azhar

University, Nasr City, Egypt Farmaco (2001), 56(4), 277-283 CODEN: FRMCE8; ISSN: 0014-827X

PUBLISHER: Elsevier Science S.A.

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 135:272933

IT 364043-51-6P

CORPORATE SOURCE:

SOURCE:

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of antimicrobial pyrazolo[1,5-a]pyrimidines via reaction of ketene dithioacetals with 5-aminopyrazoles)

RN 364043-51-6 CAPLUS

CN Pyrazolo[1,5-a]pyrimidine-6-carbonitrile, 2,5-diamino-3-(1H-benzimidazol-2-yl)-7-[(2-ethoxyphenyl)amino]- (9CI) (CA INDEX NAME)

GΙ

AB Pyrazolo[1,5-a]pyrimidines such as I (R = 2-, 4-OEt) were synthesized via the reaction of ketene dithioacetals and 5-aminopyrazoles. The antibacterial and antifungal activities of some selected compds. were reported.

REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 38 OF 63 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:435078 CAPLUS

DOCUMENT NUMBER: 135:61346

TITLE: Preparation of fused heterotricyclic compounds as

antagonists against corticotropin-releasing factor

receptor

INVENTOR(S): Hibi, Shigeki; Hoshino, Yorihisa; Yoshiuchi, Tatsuya;

Shin, Kogyoku; Kikuchi, Kouichi; Soejima, Motohiro; Tabata, Mutsuko: Takahashi, Yoshinori: Shibata.

Tabata, Mutsuko; Takahashi, Yoshinori; Shibata, Hisashi; Hida, Takayuki; Hirakawa, Tetsuya; Ino,

Mitsuhiro

PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan; et al.

SOURCE: PCT Int. Appl., 255 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE			
WO 2001042247	A1	20010614	WO 2000-JP8811	20001213			
	CY, DE,		X, NO, NZ, RU, US, ZA I, FR, GB, GR, IE, IT,				
JP 2001233876 CA 2394120	A2 AA	20010614	JP 2000-375811 CA 2000-2394120 AU 2001-20235	20001213			
AU 773258 EP 1238979 EP 1238979	B2 A1 B1	20040520 20020911 20050302	AU 2001-20235 EP 2000-983479	20001213			
TE FT CY	TR		B, GR, IT, LI, LU, NL,				
EP 1408040 EP 1408040	A1 B1	20040414 20050727	EP 2003-29058	20001213			
R: AT, BE, CH,	DE, DK,	ES, FR, GB	B, GR, IT, LI, LU, NL,	SE, MC, PT,			
NZ 519241 AT 290006 ES 2234704 PT 1238979	A E T3	20041224 20050315 20050701	NZ 2000-519241 AT 2000-983479 ES 2000-983479 PT 2000-983479	20001213 20001213 20001213 20001213			
AT 300546 US 2003078277 US 6951865	E.	20050815	AT 2003-29058 US 2002-148836	20001213 20020605			
US 2005004147	A1	20050106	US 2004-903059	20040802			
05 6927221	BZ	20030009	US 2004-903387	20040802			
PRIORITY APPLN. INFO.:				A3 20001213 W 20001213			
OBUBD COUDCE (C)	MADDAM	105 61046					

OTHER SOURCE(S): MARPAT 135:61346

IT 344291-77-6P 344293-47-6P 344294-07-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of fused heterotricyclic compds. as antagonists against corticotropin-releasing factor receptor for preventives or remedies for CRF and/or CRF receptor-related diseases)

RN 344291-77-6 CAPLUS

CN Pyrazolo[1,5-a]pyrimidine-6-carboxylic acid, 7-[(1-ethylpropyl)amino]-2,5-dimethyl-3-(2,4,6-trimethylphenyl)-, ethyl ester (9CI) (CA INDEX NAME)

RN 344293-47-6 CAPLUS

CN Pyrazolo[1,5-a]pyrimidine-6-acetic acid, 7-[(1-ethylpropyl)amino]-2,5-dimethyl-3-(2,4,6-trimethylphenyl)-, ethyl ester (9CI) (CA INDEX NAME)

RN 344294-07-1 CAPLUS

CN Pyrazolo[1,5-a]pyrimidine-6-acetic acid, 7-[(1-ethylpropyl)amino]-2,5-dimethyl-3-(2,4,6-trimethylphenyl)- (9CI) (CA INDEX NAME)

GΙ

AΒ Compds. such as pyrazolo[1,5-a]pyrrolo[3,2-e]pyrimidine, dipyrazolo[1,5-a:4,3-e]pyrimidine, pyrrolo[3,2-c]quinoline, and pyrrolo[3,2-c][1,7]naphthyridine derivs. represented by general formula [I; A, B, D = N, O, S, (CR1R2)m, CO, CS, (un)substituted NH, SO, SO2 (wherein m = 0-4; R1, R2 = H, C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, C1-6 alkoxy, C3-8 cycloalkyl, etc.); E, G = N, O, S, (CR6R7)p, CO, CS, (un) substituted NH, SO, SO2 (wherein R6, R7 = H, C1-6 alkyl, optionally C1-4 alkyl-substituted C3-5 cycloalkyl, optionally substituted aryl, or heteroaryl, etc.; p = 0, 1,2); K, L = C, N; the ring formed by K, E, G, J, and L represents an (un)saturated 5- or 6-membered ring; M = M = H, halo, cyano, (un) substituted C1-6 alkyl, (un) substituted NH, OR13, S(O) qR14, (un) substituted C2-10 alkenyl or alkynyl, (un) substituted C1-6 alkoxy, C1-6 alkylthio, aryl, or heteroaryl (wherein R13 = H, optionally substituted C1-6 alkyl, C1-4 alkylacyl, optionally substituted aryl-C1-4 alkyl or heteroaryl-C1-4 alkyl, or aryl-heteroaryl; R14 = C1-6 alkyl optionally substituted aryl-C1-4 alkyl, aryl, heteroaryl-C1-4 alkyl, or heteroaryl; q = 0, 1, 2); the solid line accompanied by a dotted line represents a single or a double bond] or pharmacol. acceptable salts thereof or their hydrates, which are also adenylate cyclase inhibitors, are prepared These compds. are useful for the prevention and/treatment of diseases related to corticotropin-releasing factor (CRF) and/or corticotropin-releasing factor receptor. The above diseases include depression, mania, child abuse due to depression, depression after child birth, anxiety, general anxiety, panic disorders, phobia, obsessive-compulsive disorders, post-traumatic-stress disorder, autism, emotional disorders, emotional disturbance, bipolar disorder, , schizophrenia, peptic ulcer, irritable bowel syndrome, ulcerative colitis, Crohn's disease, diarrhea, constipation, intestinal functional abnormality accompanied by stress, neurol. vomiting, Alzheimer's disease, neurodegenerative disease, multiple infarction dementia, and senile dementia, neurol. appetite depression, eating disorders, obesity, diabetes, alc. dependence, drug preference, alc. or drug withdrawal symptom. They also include insomnia, migraine headache, stress headache, muscular stress headache, ischemic nerve disorders, excitatory toxin nerve disorders, stroke, progressive supranuclear paralysis, amyotrophic lateral sclerosis, multiple sclerosis, muscle spasm, chronic fatigue syndrome, neurol. social growth-retardation, epilepsy, head injury, spinal injury, writer's cramp, torticollis spastica, cervicobrachial syndrome, Meniere's syndrome, vegetative dystonia, hair loss, neuropathy, hypertension, cardiovascular disorders, tachycardia, congestive heart attack, hyperpnea syndrome, bronchial asthma, apnea syndrome, sudden infant death syndrome, inflammatory disorders, pain, allergy, impotence, menopausal syndrome, fertilization disorder, sterility, cancer, immune function disorders during HIV infection or caused by stress, hemorrhagic stress, Cushing's disease, thyroid gland function abnormality, meningitis, acromegaly, incontinence, and osteoporosis, etc. Thus, a solution of 7-chloro-6-(2-chloroethyl)-3-mesityl-2,5-dimethylpyrazolo[1,5-a]pyrimidine and 3-aminopentane in Me Et ketone was refluxed for 1 h to give, after treatment with HCl in Et2O, 8-(1-ethylpropyl)-3-mesityl-2,5-dimethyl-7,8-dihydro-6H-pyrazolo[1,5-a]pyrrolo[3,2-e]pyrimidine hydrochloride (II). II showed IC50 of 100 nM for inhibiting the binding of [125I]sauvagine to human CRF receptor expressed in HEK 293 cells and showed IC50 of 900 nM against adenylic acid cyclase.

17

REFERENCE COUNT:

THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 39 OF 63 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:338070 CAPLUS

DOCUMENT NUMBER: 134:336224

TITLE: Use of corticotropin releasing factor (CRF)

antagonists for treating syndrome X

INVENTOR(S): Chen, Yuhpyng Liang; Hamanaka, Ernest Seiichi

PATENT ASSIGNEE(S): Pfizer Products Inc., USA SOURCE: Eur. Pat. Appl., 55 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1097709	A2	20010509	EP 2000-309441	20001026
EP 1097709	A3	20051221		
R: AT, BE, C	H, DE, DI	K, ES, FR,	GB, GR, IT, LI, LU,	NL, SE, MC, PT,
IE, SI, L	I, LV, F	I, RO, MK,	CY, AL	
AU 776724	В2	20040916	AU 2000-66695	20001024
ZA 2000006008	A	20020426	ZA 2000-6008	20001026
US 6589947	В1	20030708	US 2000-696822	20001026
CA 2325069	AA	20010429	CA 2000-2325069	20001027
NZ 507825	A	20041126	NZ 2000-507825	20001027
PRIORITY APPLN. INFO.:			US 1999-162340P	P 19991029
THED COUDCE (C) .	млррлг	г 13/1•33623	2.4	

OTHER SOURCE(S): MARPAT 134:336224

IT 202579-62-2 202579-64-4 203924-40-7

203924-41-8

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(CRF antagonist, alone or with glucocorticoid receptor antagonist, for treating syndrome  $\mathbf{X}$ )

RN 202579-62-2 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dichlorophenyl)-N-(1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202579-64-4 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-N-(1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 203924-40-7 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-(1-ethylpropyl)-2,5-dimethyl-3-(2,4,6-trimethylphenyl)- (9CI) (CA INDEX NAME)

RN 203924-41-8 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-(1-ethylpropyl)-5-methyl-3-(2,4,6-trimethylphenyl)- (9CI) (CA INDEX NAME)

AB Compns. and methods are provided for achieving a therapeutic effect, including the treatment or prevention of syndrome X in an animal, preferably a mammal including a human subject or a companion animal, using a CRF antagonist alone or together with a glucocorticoid receptor antagonist.

ANSWER 40 OF 63 CAPLUS COPYRIGHT 2006 ACS on STN T.4 ACCESSION NUMBER: 2001:247337 CAPLUS DOCUMENT NUMBER: 134:280853 Preparation of amino substituted pyrazolo[1,5-a]-1,5-TITLE: pyrimidines and pyrazolo[1,5-a]-1,3,5-triazines as NPY receptor antagonists INVENTOR(S): Darrow, James W.; De Lombaert, Stephane; Blum, Charles; Tran, Jennifer; Giangiordano, Mark; Griffith, David Andrew; Carpino, Philip Albert PATENT ASSIGNEE(S): Neurogen Corporation, USA; Pfizer Inc. PCT Int. Appl., 102 pp. SOURCE: CODEN: PIXXD2 DOCUMENT TYPE: Patent LANGUAGE: English FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO. KIND DATE APPLICATION NO. DATE \_\_\_\_ \_\_\_\_\_ \_\_\_\_\_ A2 WO 2000-US26885 WO 2001023388 20010405 20000929 WO 2001023388 А3 20011018 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG AA 20010405 CA 2000-2379633 A2 20020703 EP 2000-967132 CA 2379633 20000929 EP 1218381 20000929 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL US 6476038 B1 20021105 US 2000-676972 20000929 T2 20030318 JP 2001-526540 JP 2003510326 20000929 BG 106507 Α 20021229 BG 2002-106507 20020311 NO 2002001357 A 20020523 NO 2002-1357 20020319 ZA 2002002517 20030328 ZA 2002-2517 Α 20020328 US 1999-156868P P 19990930 WO 2000-US26885 W 20000929 PRIORITY APPLN. INFO.: OTHER SOURCE(S): MARPAT 134:280853 332225-19-1P 332225-26-0P 332225-31-7P 332225-45-3P 332225-51-1P 332225-68-0P 332225-74-8P 332225-80-6P 332225-86-2P 332225-92-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of amino substituted pyrazolo[1,5-a]-1,5-pyrimidines and pyrazolo[1,5-a]-1,3,5-triazines as NPY receptor antagonists)

332225-19-1 CAPLUS RN

1,2-Ethanediamine, N-[3-(4-chloro-2,6-dimethylphenyl)-2,5-CN dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-cyclohexyl- (9CI) (CA INDEX NAME)

RN 332225-26-0 CAPLUS

CN 1,2-Ethanediamine, N-cyclopentyl-N'-[3-(2,3-dichlorophenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-, monohydrochloride (9CI) (CA INDEX NAME)

RN 332225-31-7 CAPLUS

CN 1,2-Ethanediamine, N-cyclohexyl-N'-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 332225-45-3 CAPLUS
CN 1,2-Ethanediamine, N-cyclohexyl-N'-[2,5-dimethyl-3-(2,4,6-

trimethylphenyl)pyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 332225-51-1 CAPLUS

CN 1,2-Ethanediamine, N-cyclopentyl-N'-[2,5-dimethyl-3-(2,4,6-trimethylphenyl)pyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 332225-68-0 CAPLUS

CN 1,2-Ethanediamine, N-cyclopentyl-N'-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 332225-74-8 CAPLUS

CN 1,2-Ethanediamine, N-cyclohexyl-N'-[3-(2,6-dichloro-4-ethynylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 332225-80-6 CAPLUS

CN 1,2-Ethanediamine, N-cyclopentyl-N'-[3-(2,6-dichloro-4-ethynylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 332225-86-2 CAPLUS

CN 1,2-Ethanediamine, N-cyclopentyl-N'-[3-[2,6-dichloro-4-(1-cyclopenten-1-yl)phenyl]-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

PAGE 2-A

RN 332225-92-0 CAPLUS

CN 1,2-Ethanediamine, N-cyclohexyl-N'-[3-[2,6-dichloro-4-(1-cyclopenten-1-yl)phenyl]-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

PAGE 2-A

IT 332179-38-1P 332227-43-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of amino substituted pyrazolo[1,5-a]-1,5-pyrimidines and pyrazolo[1,5-a]-1,3,5-triazines as NPY receptor antagonists)

RN 332179-38-1 CAPLUS

CN 1,2-Ethanediamine, N-[3-(4-chloro-2,6-dimethylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 332227-43-7 CAPLUS

CN Carbamic acid, cyclopentyl[2-[[3-(2,3-dichlorophenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

GI

AB The title compds. [I; N, CR14; R1 = H, alkyl, cycloalkyl, etc.; R2 = H, alkyl, cycloalkyl, etc.; A = (un)substituted (CH2)m (wherein m = 1-3); B = (un)substituted (CH2)n (n = 0-3); R3 = H, alkyl, cycloalkyl, etc.; R4 = (un)substituted aryl, heteroaryl; R5, R6 = H, alkyl, cycloalkyl, etc.] that are selective modulators of NPY1 receptors, and are useful in the treatment of a number of CNS disorders, metabolic disorders, and peripheral disorders, particularly eating disorders and hypertension, were prepared E.g., a multi-step synthesis of the pyrazolo[1,5-a]pyrimidine II was

described. The NPY1 receptor binding affinity for the compds. I, expressed as a Ki, ranges from 0.1 nM to 10  $\mu\text{M}.$  The compds. I are also useful as probes for the localization of NPY1 receptors and as stds. in assays for NPY1 receptor binding. Compds. I were also tested for CRF1 receptor binding affinity.

ANSWER 41 OF 63 CAPLUS COPYRIGHT 2006 ACS on STN T. 4 ACCESSION NUMBER: 2001:247336 CAPLUS DOCUMENT NUMBER: 134:280866 Preparation of certain alkylene diamine-substituted TITLE: pyrazolo[1, 5-a]-1, 5-pyrimidines and pyrazolo[1,5-a]-1,3,5-triazines as selective modulators of NPY1 receptors INVENTOR(S): Darrow, James W.; De Lombaert, Stephane; Blum, Charles; Tran, Jennifer; Giangiordano, Mark; Griffith, David Andrew; Carpino, Philip Albert PATENT ASSIGNEE(S): Neurogen Corporation, USA; Pfizer Inc.; De Lombaert, Stephane SOURCE: PCT Int. Appl., 133 pp. CODEN: PIXXD2 DOCUMENT TYPE: Patent LANGUAGE: English FAMILY ACC. NUM. COUNT: PATENT INFORMATION: KIND DATE APPLICATION NO. PATENT NO. ----\_\_\_\_\_ \_\_\_\_ \_\_\_\_\_ WO 2000-US26887 WO 2001023387 Α2 200101 20010405 20000929 WO 2001023387 А3 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG CA 2379585 AA 20010405 CA 2000-2379585 20000929

US 2000-676970 В1 20020416 US 6372743 20000929 EP 1218379 A2 20020703 EP 2000-967134 20000929 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL JP 2003510325 T2 20030318 JP 2001-526539 20000929 US 2003069246 A1 20030410 US 2002-83245 20020225 BG 106506 20021229 BG 2002-106506 Α 20020311 NO 2002001356 A 20020523 NO 2002-1356 20020319 ZA 2002002519 А 20031128 ZA 2002-2519 20020328 PRIORITY APPLN. INFO.: US 1999-156869P P 19990930 US 2000-676970 A1 20000929 WO 2000-US26887 W 20000929

OTHER SOURCE(S): MARPAT 134:280866

IT 332178-43-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of certain alkylene diamine-substituted pyrazolo[1,5-a]-1,5-pyrimidines and pyrazolo[1,5-a]-1,3,5-triazines as selective modulators of NPY1 receptors)

RN 332178-43-5 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(tetrahydro-2H-pyran-4-yl)-, hydrochloride (9CI) (CA INDEX NAME)

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332178-32-2P 332178-33-3P 332178-34-4P
ΙT
     332178-35-5P 332178-37-7P 332178-38-8P
    332178-39-9P 332178-40-2P 332178-41-3P
    332178-42-4P 332178-44-6P 332178-45-7P
    332178-46-8P 332178-47-9P 332178-48-0P
    332178-49-1P 332178-50-4P 332178-51-5P
    332178-52-6P 332178-53-7P 332178-54-8P
    332178-55-9P 332178-56-0P 332178-57-1P
    332178-59-3P 332178-60-6P 332178-61-7P
    332178-62-8P 332178-63-9P 332178-64-0P
    332178-65-1P 332178-66-2P 332178-67-3P
    332178-68-4P 332178-69-5P 332178-70-8P
    332178-71-9P 332178-72-0P 332178-74-2P
    332178-76-4P 332178-77-5P 332178-78-6P
    332178-79-7P 332178-82-2P 332178-83-3P
    332178-84-4P 332178-85-5P 332178-86-6P
    332178-87-7P 332178-88-8P 332178-89-9P
    332178-90-2P 332178-91-3P 332178-92-4P
    332178-93-5P 332178-94-6P 332178-95-7P
    332178-96-8P 332178-97-9P 332178-98-0P
    332178-99-1P 332179-00-7P 332179-01-8P
    332179-02-9P 332179-03-0P 332179-04-1P
    332179-05-2P 332179-06-3P 332179-07-4P
    332179-08-5P 332179-09-6P 332179-10-9P
    332179-11-0P 332179-12-1P 332179-13-2P
    332179-14-3P 332179-15-4P 332179-16-5P
    332179-17-6P 332179-18-7P 332179-19-8P
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    332179-23-4P 332179-24-5P 332179-25-6P
    332179-26-7P 332179-27-8P 332179-28-9P
    332179-29-0P 332179-30-3P 332179-31-4P
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RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of certain alkylene diamine-substituted pyrazolo[1,5-a]-1,5-pyrimidines and pyrazolo[1,5-a]-1,3,5-triazines as selective modulators of NPY1 receptors)
332178-32-2 CAPLUS

RN 332178-32-2 CAPLUS
CN 1,2-Ethanediamine, N-[3-(4-chloro-2,6-dimethylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(tetrahydro-2H-pyran-4-yl)-(9CI) (CA INDEX NAME)

RN 332178-33-3 CAPLUS
CN 1,2-Ethanediamine, N-[3-(2,4-dimethoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-[2-(4-ethoxy-3-methoxyphenyl)ethyl]- (9CI) (CA INDEX NAME)

RN 332178-34-4 CAPLUS CN 1,2-Ethanediamine, N-[2,5-dimethyl-3-(2,4,6-trimethylphenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-N'-[2-(4-methoxyphenyl)ethyl]- (9CI) (CA INDEX NAME)

PAGE 2-A

RN 332178-35-5 CAPLUS

CN 1,2-Ethanediamine, N-[2,5-dimethyl-3-(2,4,6-trimethylphenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-N'-[2-(4-ethoxy-3-methoxyphenyl)ethyl]- (9CI) (CA INDEX NAME)

PAGE 2-A

RN 332178-37-7 CAPLUS

CN Benzoic acid, 3,5-dichloro-4-[2,5-dimethyl-7-[[2-[(tetrahydro-2H-pyran-4-yl)amino]ethyl]amino]pyrazolo[1,5-a]pyrimidin-3-yl]-, methyl ester (9CI) (CA INDEX NAME)

RN 332178-38-8 CAPLUS
CN Benzonitrile, 3,5-dichloro-4-[2,5-dimethyl-7-[[2-[(tetrahydro-2H-pyran-4-yl)amino]ethyl]amino]pyrazolo[1,5-a]pyrimidin-3-yl]-, hydrochloride (9CI) (CA INDEX NAME)

RN 332178-39-9 CAPLUS
CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-ethylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(tetrahydro-2H-pyran-4-yl)-, hydrochloride (9CI) (CA INDEX NAME)

RN 332178-40-2 CAPLUS
CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-ethynylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(tetrahydro-2H-pyran-4-yl)-(9CI) (CA INDEX NAME)

RN 332178-41-3 CAPLUS
CN Cyclohexanol, 4-[[2-[[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]ethyl]amino]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 332178-42-4 CAPLUS Cyclohexanol, 4-[[2-[[3-(2,6-dichloro-4-methoxyphenyl)-2,5-

 $\label{lem:condition} \begin{array}{lll} \mbox{dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]=thyl]amino]-, \ \mbox{hydrochloride,} \\ \mbox{trans-} & (9CI) & (CA & \mbox{INDEX NAME}) \end{array}$ 

Relative stereochemistry.

RN 332178-44-6 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(tetrahydro-2H-pyran-4-yl)-(9CI) (CA INDEX NAME)

RN 332178-45-7 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-propoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(tetrahydro-2H-pyran-4-yl)-, hydrochloride (9CI) (CA INDEX NAME)

RN 332178-46-8 CAPLUS
CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-propoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(tetrahydro-2H-pyran-4-yl)-(9CI) (CA INDEX NAME)

RN 332178-47-9 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethyl-N-[(6-methyl-2-piperidinyl)methyl]- (9CI) (CA INDEX NAME)

RN 332178-48-0 CAPLUS

CN 1-Butanol, 2-[[2-[[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]ethyl]amino]- (9CI) (CA INDEX NAME)

RN 332178-49-1 CAPLUS

CN 1,4-Cyclohexanediamine, N-[2-[[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]ethyl]-N'-methyl- (9CI) (CA INDEX NAME)

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RN 332178-50-4 CAPLUS
CN 1,4-Cyclohexanediamine, N-[2-[[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]ethyl]-N'-ethyl- (9CI) (CA INDEX NAME)

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RN 332178-51-5 CAPLUS
CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-[4-(4-morpholinyl)cyclohexyl]-(9CI) (CA INDEX NAME)

RN 332178-52-6 CAPLUS
CN Cyclohexanol, 4-[[2-[[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]ethyl]amino]- (9CI) (CA INDEX NAME)

RN 332178-53-7 CAPLUS

CN 1,2-Propanediol, 3-[[2-[[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]ethyl]amino]- (9CI) (CA INDEX NAME)

RN 332178-54-8 CAPLUS

CN 1,4-Cyclohexanediamine, N-[2-[[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]ethyl]-N'-(2-methylpropyl)-

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RN 332178-55-9 CAPLUS
CN Cyclohexanol, 4-[[2-[[3-(2,6-dichloro-4-ethoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]-1-methylethyl]amino]- (9CI) (CA INDEX NAME)

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RN 332178-56-0 CAPLUS
CN Cyclohexanol, 2-[[2-[[3-(2,6-dichloro-4-ethoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]ethyl]amino]- (9CI) (CA INDEX NAME)

RN 332178-57-1 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(4,4,4-trifluorobutyl)- (9CI) (CA INDEX NAME)

RN 332178-59-3 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-ethoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(2,2,2-trifluoroethyl)- (9CI) (CA INDEX NAME)

RN 332178-60-6 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-[2-(trifluoromethyl)cyclohexyl]-(9CI) (CA INDEX NAME)

RN 332178-61-7 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-[4-(trifluoromethyl)cyclohexyl]-(9CI) (CA INDEX NAME)

RN 332178-62-8 CAPLUS CN 1,2-Ethanediamine, N-[3-(

1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(2,2-difluoroethyl)- (9CI) (CA INDEX NAME)

RN 332178-63-9 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(2-fluoro-1-methylethyl)- (9CI) (CA INDEX NAME)

RN 332178-64-0 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(2-fluorocyclohexyl)- (9CI) (CA INDEX NAME)

RN 332178-65-1 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2,6-dichlorophenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

RN 332178-66-2 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2,4-dichloro-6-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(tetrahydro-2H-pyran-4-yl)-(9CI) (CA INDEX NAME)

RN 332178-67-3 CAPLUS

CN 1,2-Propanediamine, N1-[3-(2,6-dichlorophenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N2-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

RN 332178-68-4 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(tetrahydro-2-methyl-3-furanyl)-(9CI) (CA INDEX NAME)

RN 332178-69-5 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-ethoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(tetrahydro-2H-pyran-4-yl)-(9CI) (CA INDEX NAME)

RN 332178-70-8 CAPLUS

CN Benzonitrile, 3,5-dichloro-4-[2,5-dimethyl-7-[[2-[(tetrahydro-2H-pyran-4-yl)amino]ethyl]amino]pyrazolo[1,5-a]pyrimidin-3-yl]- (9CI) (CA INDEX NAME)

RN 332178-71-9 CAPLUS

CN Benzenemethanol, 3,5-dichloro-4-[2,5-dimethyl-7-[[2-[(tetrahydro-2H-pyran-4-yl)amino]ethyl]amino]pyrazolo[1,5-a]pyrimidin-3-yl]- $\alpha$ ,  $\alpha$ -dimethyl- (9CI) (CA INDEX NAME)

RN 332178-72-0 CAPLUS
CN 1,2-Ethanediamine, N-[3-[2,6-dichloro-4-(1-cyclopenten-1-yl)phenyl]-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(tetrahydro-2H-pyran-4-yl)-(9CI) (CA INDEX NAME)

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RN 332178-74-2 CAPLUS

CN Benzenemethanol, 3,5-dichloro-4-[2,5-dimethyl-7-[[2-[(tetrahydro-2H-pyran-4-yl)amino]ethyl]amino]pyrazolo[1,5-a]pyrimidin-3-yl]- (9CI) (CA INDEX NAME)

RN 332178-76-4 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-ethoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(tetrahydro-2-methyl-3-furanyl)-(9CI) (CA INDEX NAME)

RN 332178-77-5 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-5-(1,1-dimethylethyl)-2-methylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

RN 332178-78-6 CAPLUS
CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-ethoxyphenyl)-5-ethyl-2methylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(tetrahydro-2H-pyran-4-yl)- (9CI)
(CA INDEX NAME)

RN 332178-79-7 CAPLUS
CN 1,2-Ethanediamine, N-3-cyclohexen-1-yl-N'-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 332178-82-2 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2,6-dichlorophenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(1-ethyl-3-piperidinyl)- (9CI) (CA INDEX NAME)

RN 332178-83-3 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2,6-dichlorophenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-[1-(phenylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

RN 332178-84-4 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2,6-dichlorophenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-2-pyrimidinyl- (9CI) (CA INDEX NAME)

RN 332178-85-5 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2,4-dichloro-6-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-[1-(phenylmethyl)-4-piperidinyl]-(9CI) (CA INDEX NAME)

RN 332178-86-6 CAPLUS
CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-[1-(phenylmethyl)-4-piperidinyl]-(9CI) (CA INDEX NAME)

RN 332178-87-7 CAPLUS
CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(1-methyl-4-piperidinyl)- (9CI) (CA INDEX NAME)

RN 332178-88-8 CAPLUS
CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(1-ethyl-4-piperidinyl)- (9CI) (CA INDEX NAME)

RN 332178-89-9 CAPLUS
CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-[1-(1-methylethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 332178-90-2 CAPLUS
CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(2,2,6,6-tetramethyl-4-piperidinyl)- (9CI) (CA INDEX NAME)

RN 332178-91-3 CAPLUS
CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(1-ethyl-3-piperidinyl)- (9CI) (CA INDEX NAME)

RN 332178-92-4 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-4-piperidinyl- (9CI) (CA INDEX NAME)

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RN 332178-93-5 CAPLUS

Ph-CH2

CN 1,2-Propanediamine, N1-[3-(2,6-dichlorophenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N2-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 332178-94-6 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-[1-(3-pyridinylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

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RN 332178-95-7 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-[1-(4-pyridinylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

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RN 332178-96-8 CAPLUS

CN Phenol, 3,5-dichloro-4-[2,5-dimethyl-7-[[2-[(1-phenyl-3-pyrrolidinyl)amino]ethyl]amino]pyrazolo[1,5-a]pyrimidin-3-yl]- (9CI) (CA INDEX NAME)

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RN 332178-97-9 CAPLUS
CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-[1-(2-pyridinylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

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RN 332178-98-0 CAPLUS

CN Benzonitrile, 3,5-dichloro-4-[2,5-dimethyl-7-[[2-[[1-(2-pyrimidinyl)-4-piperidinyl]amino]ethyl]amino]pyrazolo[1,5-a]pyrimidin-3-yl]- (9CI) (CA INDEX NAME)

RN 332178-99-1 CAPLUS
CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-ethoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-[1-(2-pyrimidinyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 332179-00-7 CAPLUS
CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-[1-(2-pyrimidinyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 332179-01-8 CAPLUS
CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-ethoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-[1-(phenylmethyl)-4-piperidinyl]-(9CI) (CA INDEX NAME)

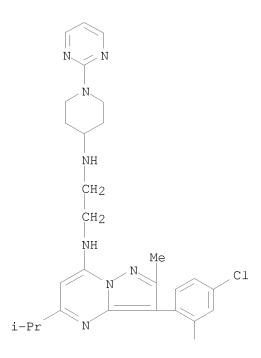
RN 332179-02-9 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2,6-dichlorophenyl)-5-ethyl-2-methylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-[1-(2-pyrimidinyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 332179-03-0 CAPLUS
CN 1,2-Ethanediamine, N-[3-(2,6-dichlorophenyl)-2-methyl-5-(1-methylethyl)pyrazolo[1,5-a]pyrimidin-7-yl]-N'-[1-(2-pyrimidinyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

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RN 332179-04-1 CAPLUS
CN 1,2-Ethanediamine, N-[3-(2,4-dichlorophenyl)-2-methyl-5-(1-methylethyl)pyrazolo[1,5-a]pyrimidin-7-yl]-N'-[1-(2-pyrimidinyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



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RN 332179-05-2 CAPLUS
CN 1,2-Propanediamine, N1-[3-(2,6-dichloro-4-ethoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N2-[1-(2-pyrimidinyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 332179-06-3 CAPLUS
CN 1,2-Propanediamine, N1-[3-(2,6-dichloro-4-methoxyphenyl)-2-methyl-5-(1-methylethyl)pyrazolo[1,5-a]pyrimidin-7-yl]-N2-[1-(2-pyrimidinyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 332179-07-4 CAPLUS
CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-5-ethyl-2methylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-[1-(2-pyrimidinyl)-4-piperidinyl](9CI) (CA INDEX NAME)

RN 332179-08-5 CAPLUS
CN 1,2-Propanediamine, N1-[3-(2,6-dichloro-4-methoxyphenyl)-2-methyl-5propylpyrazolo[1,5-a]pyrimidin-7-yl]-N2-[1-(2-pyrimidinyl)-4-piperidinyl](9CI) (CA INDEX NAME)

RN 332179-09-6 CAPLUS
CN 1,2-Propanediamine, N1-[3-(2,6-dichloro-4-methoxyphenyl)-5-ethyl-2methylpyrazolo[1,5-a]pyrimidin-7-yl]-N2-[1-(2-pyrimidinyl)-4-piperidinyl](9CI) (CA INDEX NAME)

RN 332179-10-9 CAPLUS
CN 1,2-Ethanediamine, N-[3-(2,6-dichlorophenyl)-2-methyl-5-propylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-[1-(2-pyrimidinyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

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RN 332179-11-0 CAPLUS

CN 1,2-Propanediamine, N1-[3-(2,6-dichlorophenyl)-2-methyl-5-propylpyrazolo[1,5-a]pyrimidin-7-yl]-N2-[1-(2-pyrimidinyl)-4-piperidinyl]-(9CI) (CA INDEX NAME)

RN 332179-12-1 CAPLUS
CN 1,2-Propanediamine, N1-[3-(2,6-dichlorophenyl)-5-ethyl-2methylpyrazolo[1,5-a]pyrimidin-7-yl]-N2-[1-(2-pyrimidinyl)-4-piperidinyl](9CI) (CA INDEX NAME)

RN 332179-13-2 CAPLUS
CN 1,2-Ethanediamine, N-[5-ethyl-2-methyl-3-(2,4,6-trimethylphenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-N'-[1-(2-pyrimidinyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 332179-14-3 CAPLUS
CN 1,2-Propanediamine, N1-[5-ethyl-2-methyl-3-(2,4,6-trimethylphenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-N2-[1-(2-pyrimidinyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 332179-15-4 CAPLUS
CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-ethynylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-[1-(2-pyrimidinyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 332179-16-5 CAPLUS
CN 1,2-Ethanediamine, N-[2-methyl-5-propyl-3-(2,4,6-trimethylphenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-N'-[1-(2-pyrimidinyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

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RN 332179-17-6 CAPLUS

CN 1,2-Ethanediamine, N-[2,5-dimethyl-3-(2,4,6-trimethylphenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-N'-[1-(2-pyrimidinyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 332179-18-7 CAPLUS
CN 1,2-Propanediamine, N1-[3-(2,6-dimethylphenyl)-5-ethyl-2methylpyrazolo[1,5-a]pyrimidin-7-yl]-N2-[1-(2-pyrimidinyl)-4-piperidinyl](9CI) (CA INDEX NAME)

RN 332179-19-8 CAPLUS CN 1,2-Ethanediamine, N-[3-(2,6-dimethylphenyl)-2-methyl-5-propylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-[1-(2-pyrimidinyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

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RN 332179-20-1 CAPLUS

CN 1,2-Propanediamine, N1-[3-(2,6-dimethylphenyl)-2-methyl-5-propylpyrazolo[1,5-a]pyrimidin-7-yl]-N2-[1-(2-pyrimidinyl)-4-piperidinyl]-(9CI) (CA INDEX NAME)

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RN 332179-21-2 CAPLUS

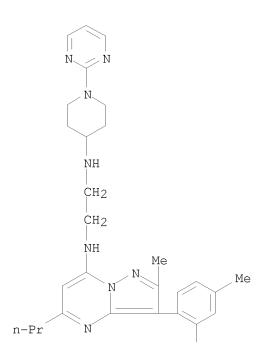
CN 1,2-Propanediamine, N1-[3-(2,6-dimethylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N2-[1-(2-pyrimidinyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 332179-22-3 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2,4-dimethylphenyl)-5-ethyl-2-methylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-[1-(2-pyrimidinyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

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RN 332179-23-4 CAPLUS
CN 1,2-Ethanediamine, N-[3-(2,4-dimethylphenyl)-2-methyl-5-propylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-[1-(2-pyrimidinyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



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RN 332179-24-5 CAPLUS
CN 4-Piperidinamine, 1-acetyl-N-[[[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]methyl]-N-propyl- (9CI) (CA INDEX NAME)

RN 332179-25-6 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-[2-(4-methoxyphenyl)ethyl]-(9CI) (CA INDEX NAME)

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RN 332179-26-7 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-[2-(3-ethoxy-4-methoxyphenyl)ethyl]- (9CI) (CA INDEX NAME)

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RN 332179-27-8 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-[2-(4-ethoxy-3-methoxyphenyl)ethyl]- (9CI) (CA INDEX NAME)

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RN 332179-28-9 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(1,2,3,4-tetrahydro-2-naphthalenyl)- (9CI) (CA INDEX NAME)

RN 332179-29-0 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-[2-(2-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)

RN 332179-30-3 CAPLUS
CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-[2-(3-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)

RN 332179-31-4 CAPLUS
CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-[2-(4-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)

IT 332179-70-1 332179-74-5

RL: RCT (Reactant); RACT (Reactant or reagent) (preparation of certain alkylene diamine-substituted pyrazolo[1,5-a]-1,5-pyrimidines and pyrazolo[1,5-a]-1,3,5-triazines as selective modulators of NPY1 receptors)

RN 332179-70-1 CAPLUS

CN 1,2-Ethanediamine, N-[2,5-dimethyl-3-(2,4,6-trimethylphenyl)pyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 332179-74-5 CAPLUS CN

1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5dimethylpyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

332179-38-1P 332179-42-7P 332179-43-8P ΙT 332179-55-2P 332179-56-3P 332179-57-4P 332179-58-5P 332179-59-6P 332179-60-9P 332179-66-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of certain alkylene diamine-substituted pyrazolo[1,5-a]-1,5-

pyrimidines and pyrazolo[1,5-a]-1,3,5-triazines as selective modulators of NPY1 receptors)

RN 332179-38-1 CAPLUS

CN 1,2-Ethanediamine, N-[3-(4-chloro-2,6-dimethylphenyl)-2,5dimethylpyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 332179-42-7 CAPLUS

CN Benzeneacetamide, N-[2-[[2,5-dimethyl-3-(2,4,6-trimethylphenyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]ethyl]-4-methoxy-(9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

RN 332179-43-8 CAPLUS

CN Benzeneacetamide, N-[2-[[2,5-dimethyl-3-(2,4,6-trimethylphenyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]ethyl]-4-ethoxy-3-methoxy- (9CI) (CA INDEX NAME)

Ме

PAGE 2-A

RN 332179-55-2 CAPLUS

CN Benzoic acid, 3,5-dichloro-4-[7-[[2-[[(1,1-dimethylethoxy)carbonyl](tetrah ydro-2H-pyran-4-yl)amino]ethyl]amino]-2,5-dimethylpyrazolo[1,5-a]pyrimidin-3-yl]-, methyl ester (9CI) (CA INDEX NAME)

RN 332179-56-3 CAPLUS
CN Carbamic acid, [2-[[3-(2,6-dichloro-4-cyanophenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]ethyl](tetrahydro-2H-pyran-4-yl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 332179-57-4 CAPLUS

CN Carbamic acid, [2-[[3-(2,6-dichloro-4-ethylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]ethyl](tetrahydro-2H-pyran-4-yl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 332179-58-5 CAPLUS

CN Carbamic acid, [2-[[3-(2,6-dichloro-4-hydroxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]ethyl](tetrahydro-2H-pyran-4-yl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 332179-59-6 CAPLUS

CN Carbamic acid, [2-[[3-[2,6-dichloro-4-[(methylsulfonyl)oxy]phenyl]-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]ethyl](tetrahydro-2H-pyran-4-yl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

RN 332179-60-9 CAPLUS

CN Carbamic acid, [2-[[3-[2,6-dichloro-4-[(trimethylsily1)ethyny1]pheny1]-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-y1]amino]ethyl](tetrahydro-2H-pyran-4-y1)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

$$CH_2$$
 $CH_2$ 
 $NH$ 
 $NH$ 
 $Me$ 
 $C1$ 
 $C=C-SiMe_3$ 

RN 332179-66-5 CAPLUS

CN Phenol, 3,5-dichloro-4-[2,5-dimethyl-7-[[2-[(tetrahydro-2H-pyran-4-yl)amino]ethyl]amino]pyrazolo[1,5-a]pyrimidin-3-yl]-, hydrobromide (9CI) (CA INDEX NAME)

GΙ

$$R^{5}$$
 $R^{6}$ 
 $R^{8}$ 
 $R^{2}$ 
 $R^{1}$ 
 $R^{2}$ 
 $R^{4}$ 
 $R^{4}$ 
 $R^{2}$ 
 $R^{4}$ 
 $R^{4}$ 
 $R^{5}$ 
 $R^{6}$ 
 $R^{6}$ 
 $R^{6}$ 
 $R^{5}$ 
 $R^{6}$ 
 $R^{6$ 

AB The title compds. [I; X = N, CR14; R1 = H, alkyl, cycloalkyl, etc.; R2 = H, alkyl which optionally forms (un)substituted aminocarbocycle or aminoheterocycle with A and B, etc.; R2 and R6 with 2 N atoms to which they are bound, form (un)substituted aminoheterocycle; R2 and A form (un)substituted aminocarbocycle, aminoheterocycle; A, B = (un)substituted alkyl; A and B form (un)substituted carbocycle; B and R6 form (un)substituted aminocarbocycle; R3 = H, alkyl, cycloalkyl, etc.; R4 = (un)substituted aryl, heteroaryl; R5 = (un)substituted (cycloalkyl)alkyl, alkenyl, alkynyl, etc.; R6 = H, alkyl, cycloalkyl, etc.; R14 = H, alkyl, etc.] which are selective modulators of NPY1 receptors, and are useful in the treatment of a number of CNS disorders, metabolic disorders, and peripheral disorders, particularly eating disorders and hypertension, were

prepared E.g., a multi-step synthesis of the pyrazolo[1,5-a]pyrimidine II, was described. The NPY1 binding affinity for the compds. I, expressed as a Ki value, ranges from 0.1 nM to 10  $\mu\text{M}.$  Compds. I are also useful as probes for the localization of NPY1 receptors and as stds. in assays for NPY1 receptor binding. Methods of using the compds. I in receptor localization studies are given.

L4 ANSWER 42 OF 63 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:185043 CAPLUS

DOCUMENT NUMBER: 134:217215

TITLE: Use of CRF antagonists and related compositions for

modifying circadian rhythm and treatment of depression

and other conditions

INVENTOR(S): Chen, Yuhpyng Liang

PATENT ASSIGNEE(S): Pfizer Products Inc., USA SOURCE: Eur. Pat. Appl., 29 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PA:	ΓΕΝΤ	NO.			KINI	D	DATE		API	PLICAT	ION N	DATE				
	1082 1082			A2 20010314 A3 20020320			EP	2000-	:	20000818						
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US	6432			В1		2002	0813	US	2000-	58700	:	20000605				
EP	1449	532			A1		2004	0825	EP	2004-	20000818					
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	2001	A2					2000-			20000823 20000824						
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	2316				AA		2001			2000-					20000	
	5065			А		2002			2000-				20000825			
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									US	2000-	58700	7		A3 :	20000	605
										2000-					20000	
										2002-					20020	

IT 202579-62-2 202579-64-4 203924-40-7

203924-41-8

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(CRF antagonists and related compns. for modifying circadian rhythm and treatment of depression and other conditions, and use with other agents)

RN 202579-62-2 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dichlorophenyl)-N-(1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202579-64-4 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-N-(1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 203924-40-7 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-(1-ethylpropyl)-2,5-dimethyl-3-(2,4,6-trimethylphenyl)- (9CI) (CA INDEX NAME)

RN 203924-41-8 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-(1-ethylpropyl)-5-methyl-3-(2,4,6-trimethylphenyl)- (9CI) (CA INDEX NAME)

AB A corticotropin releasing factor (CRF) antagonist is administered to treat disorders that can be treated by altering circadian rhythm, as well as depression (in which a second compound for treating depression is administered, the second compound having an onset of action that is delayed with respect to that of the CRF antagonist). Methods for treating cardiovascular diseases, migraine, non-migraine headaches, and emesis are also disclosed.

L4 ANSWER 43 OF 63 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:131201 CAPLUS

DOCUMENT NUMBER: 134:178572

TITLE: Preparation of azolo triazines and pyrimidines as corticotropin releasing factor (CRF) antagonists

INVENTOR(S): He, Liqi; Gilligan, Paul; Chorvat, Robert; Arvanitis,

Argyrios Georgios

PATENT ASSIGNEE(S): Dupont Pharmaceuticals Co., USA

SOURCE: U.S., 90 pp., Cont.-in-part of U.S. Ser. No. 899,242.

CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

PA:	PATENT NO.					)				APPLICATION NO.										
US CA US ZA US	61911 25329 61242 97066 61368 4680 23146 99388 W:	31 25 89 03 09 13 68 AU, RO,	BR, SG,	CA, SI,	B1 AA A A B AA A1 CN, SK,	CZ,	2001 1998 2000 1999 2000 2000 1999 1999 EE, VN,	0220 0129 0926 0125 1024 0725 0805 HU, AM,	US CA	5 1 5 1 5 1 5 1 7 1 1 1 7 1 7 1 7 1	.998-1 .997-2 .997-6 .998-1 .999-2 .999-1 .KR,	15002 25329 8992 6603 14999 8 23146 US182 LT, KZ,	2 925 42 9 613 24 LV, MD,	MX, RU,	1 1 1 1 1 1 1 NO,	99803 9970 9970 9970 99803 99903 99903 NZ,	128 723 723 724 128 125 128 128 PL,			
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EP	IP 1049699 IP 1049699				A1 B1			1108 0421	EP	.999-9	19990128									
		ST.	LT.	LV.	FT.	RO			GB, G											
BR JP NZ EP EP	BR 9908206 JP 2002501922 NZ 505079 EP 1344779 EP 1344779						2000 2002 2003 2003 2005	0829 0917	BR 1999-8206 JP 2000-529335 NZ 1999-505079 EP 2003-75887						19990128					
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PT CN ES SG AT PT ES TW	26486 10496 15420 22189 11107 30165 13447 52037 63589 10603 20050 Y APPL	99 10 91 6 7 79 78 2 50 48 972	57		A T3 A1 E T T3 B		2004 2004 2004 2005 2005 2005 2006 2003 2002 2005 2005	0831 1103 1116 0530 0815 1031 0301 0211 0319 1021	PT CN ES SG AT PT ES TW US HK JP US US CA	1 1 2 1 2 2 2 2 2 2 2 2 2 2 2 2 2 2 2 2	999-9 999-9 003-1 999-9 002-2 003-1 003-1 003-1 999-8 0004-2 996-2 996-6 997-8	9043; 1012; 9043; 2002; 7588; 7588; 7588; 8810; 6967; 1019; 2164; 22329; 8892; 6860; 2259;	32 2546 32 04556 7 7 2636 35 33 0P 42 47 583	6	1 1 1 1 1 2 2 2 2 P 1 A2 1 A 1 A3 1	9970	128 128 128 128 128 128 128 128 123 026 317 723 724 7723			

US 1998-14734 A 19980128 US 1998-15001 A 19980128 US 1998-15002 A 19980128 EP 1999-904382 A3 19990128 WO 1999-US1824 W 19990128

OTHER SOURCE(S): MARPAT 134:178572 202579-57-5P 202579-60-0P 202579-62-2P 202579-63-3P 202579-64-4P 202579-65-5P 202579-69-9P 202579-73-5P 202579-74-6P 202579-75-7P 202579-77-9P 202579-78-0P 202579-80-4P 202579-81-5P 202579-82-6P 202579-84-8P 202579-87-1P 202579-91-7P 202579-92-8P 202579-94-0P 202579-95-1P 202580-25-4P 202580-26-5P 202580-28-7P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of azolo-fused triazines and pyrimidines as CRF antagonists) RN 202579-57-5 CAPLUS CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4-methylphenyl)-N-(1-

RN 202579-60-0 CAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dichlorophenyl)-N-[1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202579-62-2 CAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dichlorophenyl)-N-(1-ethylpropyl)2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202579-63-3 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dichlorophenyl)-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5-dimethyl-(9CI) (CA INDEX NAME)

RN 202579-64-4 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-N-(1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202579-65-5 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5-dimethyl-(9CI) (CA INDEX NAME)

RN 202579-69-9 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4-methylphenyl)-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202579-73-5 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-N-[1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202579-74-6 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-(1-ethylpropyl)-3-(4-methoxy-2-methylphenyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202579-75-7 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-[2-methoxy-1-(methoxymethyl)ethyl]-3-(4-methoxy-2-methylphenyl)-2,5-dimethyl-(9CI) (CA INDEX NAME)

RN 202579-77-9 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-[(1S)-3-methoxy-1- (methoxymethyl)propyl]-3-(4-methoxy-2-methylphenyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 202579-78-0 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-N-[(1S)-3-methoxy-1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 202579-80-4 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-N-ethyl-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202579-81-5 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-chloro-2-methylphenyl)-N-(1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202579-82-6 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-chloro-2-methylphenyl)-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202579-84-8 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-chloro-2-methylphenyl)-N-[(1S)-3-methoxy-1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 202579-87-1 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4-methylphenyl)-N-[(1S)-3-methoxy-1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 202579-91-7 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-methoxy-2-methylphenyl)-N-[1-(methoxymethyl)propyl]-2,5-dimethyl-(9CI) (CA INDEX NAME)

RN 202579-92-8 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4-methylphenyl)-N-[1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202579-94-0 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4,5-dimethoxyphenyl)-N-(1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202579-95-1 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-bromo-4,5-dimethoxyphenyl)-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202580-25-4 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-bromo-4,5-dimethoxyphenyl)-N-(1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202580-26-5 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-bromo-4-methoxyphenyl)-N-(1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202580-28-7 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-bromo-4-methoxyphenyl)-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

GΙ

$$R^3$$
 $R^3$ 
 $R^{14}$ 
 $R^3$ 
 $R^{14}$ 
 $R^3$ 
 $R^{14}$ 
 $R^3$ 
 $R^3$ 
 $R^{14}$ 
 $R^3$ 
 AB The title compds. [I or II; A = N, CR; Z = N, CR2; Ar = (un)substituted Ph, naphthyl, pyridyl, etc.; R = H, alk(en/yn)yl, halo, etc.; R1, R2 = H, alk(en/yn)yl, halo, etc.; R3 = H, SH, aryl, etc.; R14 = (un)substituted

alk(en/yn)yl, cycloalkyl(alkyl)], useful in treating CRF-related disorders, particularly anxiety, depression, and other psychiatric, neurol. disorders as well as treatment of immunol., cardiovascular or heart-related diseases and colonic hypersensitivity associated with psychopathol. disturbance and stress, were prepared and formulated. For instance, 5-amino-4-(2-chloro-4-methylphenyl)-3-methylpyrazole was cyclized with Et acetoacetate in AcOH to give 42% 7-hydroxy-2,5-dimethyl-3-(2-chloro-4-methylphenyl)pyrazolo[1,5-a]pyrimidine. The latter was treated with POCl3 and PhNEt2 to give the 7-chloro analog (84%), which reacted with 3-pentylamine to give 60% title compound I [A = CH; R1 = Me; R3 = NHCHEt2; Z = CMe; Ar = 2-Cl-4-MeC6H3]. The compds. I are effective at 0.002-200 mg/kg/day.

REFERENCE COUNT:

THERE ARE 93 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 44 OF 63 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:307132 CAPLUS

DOCUMENT NUMBER: 132:321873

TITLE: Azolo triazines and pyrimidines useful as

corticotropin releasing factor (CRF) antagonists

INVENTOR(S): Gilligan, Paul; Chorvat, Robert; Arvanitis, Argyrios

Georgios

PATENT ASSIGNEE(S): DuPont Pharmaceuticals Co., USA

SOURCE: U.S., 86 pp., Cont.-in-part of U.S. Ser. No. 899,242.

CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

PA:	PATENT NO.					) -	DATE														
US CA US ZA US	6060 2532 6124 9706 6136 4680 2314 9938 W:	478 925 289 603 809 613 868 AU,	BR,	CA,	A A A A A B AA A1 CN,	CZ,	2000 1998 2000 1999 2000 2000 1999 1999 EE, VN,	0509 0129 0926 0125 1024 0725 0805 0805 HU,	IL,	US CA US ZA US LT CA WO	19 19 19 19 19 19 19	98-1 97-2 97-6 97-6 99-1 99-1 KR,	1500 2532 8992 6603 1499 8 2314 US18 LT,	1 925 42 9 613 24 LV,	MX,	NC	19980 19970 19970 19980 19990 19990 19990	)128 )723 )723 )724 )128 )128 )128 PL			
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	1060	348			A1		2005	1021		ΗK	20	04 - 1	1019	85			20040	317			
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EP 1999-904382
                                                              A3 19990128
                                            WO 1999-US1824
                                                              W 19990128
                        MARPAT 132:321873
OTHER SOURCE(S):
    202579-57-5P 202579-60-0P 202579-62-2P
     202579-63-3P 202579-64-4P 202579-65-5P
     202579-69-9P 202579-73-5P 202579-74-6P
     202579-75-7P 202579-77-9P 202579-78-0P
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     234776-86-4P 234776-87-5P 234776-88-6P
     234776-89-7P 234776-90-0P 234776-93-3P
     234776-94-4P 234776-98-8P 234776-99-9P
     234777-00-5P 234777-01-6P 234777-03-8P
     234777-06-1P 234777-08-3P 234777-11-8P
     234777-12-9P 234777-13-0P 234777-14-1P
     234777-15-2P 234777-16-3P 234777-20-9P
     234777-21-0P 234777-25-4P 234777-26-5P
     234777-27-6P 234777-28-7P 234777-29-8P
     234777-31-2P 234777-32-3P 234777-33-4P
     234777-34-5P 234777-35-6P 234777-38-9P
     234777-39-0P 234777-44-7P 234777-45-8P
     234777-46-9P 234777-47-0P 234777-49-2P
     234777-52-7P 234777-54-9P 234777-57-2P
     234777-58-3P 234777-59-4P 234777-60-7P
     234777-61-8P 234777-62-9P 234777-66-3P
     234777-67-4P 234777-71-0P 234777-72-1P
     234777-73-2P 234777-74-3P 234777-75-4P
     234777-77-6P 234777-78-7P 234777-79-8P
     234777-80-1P 234777-81-2P 234777-84-5P
     234777-85-6P 234777-89-0P 234777-90-3P
     234777-91-4P 234777-92-5P 234777-94-7P
     234777-97-0P 234777-99-2P 234778-02-0P
     234778-03-1P 234778-04-2P 234778-11-1P
     234778-12-2P 234778-19-9P 234778-20-2P
     234778-27-9P 234778-28-0P 234778-35-9P
     234778-36-0P 234778-43-9P 234778-44-0P
     234778-51-9P 234778-52-0P 235083-80-4P
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     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (target compound; preparation of azolo-fused triazines and pyrimidines as
CRF
        antagonists)
RN
     202579-57-5 CAPLUS
     Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4-methylphenyl)-N-(1-
CN
     ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)
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US 1998-15001

US 1998-15002

A 19980128 A 19980128

RN 202579-60-0 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dichlorophenyl)-N-[1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202579-62-2 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dichlorophenyl)-N-(1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202579-63-3 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dichlorophenyl)-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5-dimethyl-(9CI) (CA INDEX NAME)

RN 202579-64-4 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-N-(1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202579-65-5 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5-dimethyl-(9CI) (CA INDEX NAME)

RN 202579-69-9 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4-methylphenyl)-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202579-73-5 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-N-[1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202579-74-6 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-(1-ethylpropyl)-3-(4-methoxy-2-methylphenyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202579-75-7 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-[2-methoxy-1-(methoxymethyl)ethyl]-3- (4-methoxy-2-methylphenyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202579-77-9 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-[(1S)-3-methoxy-1- (methoxymethyl)propyl]-3-(4-methoxy-2-methylphenyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 202579-78-0 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-N-[(1S)-3-methoxy-1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 202579-80-4 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-N-ethyl-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202579-81-5 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-chloro-2-methylphenyl)-N-(1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202579-82-6 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-chloro-2-methylphenyl)-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202579-84-8 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-chloro-2-methylphenyl)-N-[(1S)-3-methoxy-1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 202579-87-1 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4-methylphenyl)-N-[(1S)-3-methoxy-1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 202579-91-7 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-methoxy-2-methylphenyl)-N-[1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202579-92-8 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4-methylphenyl)-N-[1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202579-94-0 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4,5-dimethoxyphenyl)-N-(1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202579-95-1 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-bromo-4,5-dimethoxyphenyl)-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202580-25-4 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-bromo-4,5-dimethoxyphenyl)-N-(1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202580-26-5 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-bromo-4-methoxyphenyl)-N-(1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202580-28-7 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-bromo-4-methoxyphenyl)-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202580-53-8 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-2,5-dimethyl-N-(1-propylbutyl)- (9CI) (CA INDEX NAME)

RN 202580-54-9 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-N-[3-methoxy-1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{MeO-CH}_2 \\ \text{MeO-CH}_2\text{-CH-NH} \\ \\ \text{Me} \end{array}$$

RN 202580-56-1 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-chloro-2-methylphenyl)-N-[3-methoxy-1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202580-57-2 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4-methylphenyl)-N-[3-methoxy-1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{MeO-CH}_2\\ \text{MeO-CH}_2\text{-CH-NH}\\ \\ \text{Me} \\ \\ \text{N} \end{array}$$

RN 202580-58-3 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-[1-(methoxymethyl)butyl]-3-(4-methoxy-2-methylphenyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202580-59-4 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4-methylphenyl)-N-[1-(methoxymethyl)butyl]-2,5-dimethyl-(9CI) (CA INDEX NAME)

RN 234776-70-6 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-3-(2,4-dimethylphenyl)-2,5-dimethyl-N-(1-propylbutyl)- (9CI) (CA INDEX NAME)

RN 234776-71-7 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-3-(2,4-dimethylphenyl)-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 234776-75-1 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-3-(2-chloro-4-methylphenyl)-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5-dimethyl-(9CI) (CA INDEX NAME)

RN 234776-76-2 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-3-(2-chloro-4-methylphenyl)-N- (1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 234776-80-8 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-3-(2,4-dimethylphenyl)-N-[1-(methoxymethyl)butyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 234776-81-9 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-N-(1-ethylpropyl)-3-(4-methoxy-2-methylphenyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 234776-82-0 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-N-[2-methoxy-1-(methoxymethyl)ethyl]-3-(4-methoxy-2-methylphenyl)-2,5-dimethyl-(9CI)(CA INDEX NAME)

RN 234776-83-1 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-3-(2,4-dimethylphenyl)-N-[(1S)-3-methoxy-1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 234776-84-2 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-3-(2,4-dimethylphenyl)-N-[3-methoxy-1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 234776-86-4 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-3-(2,4-dimethylphenyl)-N-ethyl-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 234776-87-5 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-3-(4-chloro-2-methylphenyl)-2,5-dimethyl-N-(1-propylbutyl)- (9CI) (CA INDEX NAME)

RN 234776-88-6 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-3-(4-chloro-2-methylphenyl)-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5-dimethyl-(9CI) (CA INDEX NAME)

RN 234776-89-7 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-3-(4-chloro-2-methylphenyl)-N[(1S)-3-methoxy-1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 234776-90-0 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-3-(4-chloro-2-methylphenyl)-N-[3-methoxy-1-(methoxymethyl)propyl]-2,5-dimethyl-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{MeO-CH}_2 \\ \text{MeO-CH}_2\text{-CH-NH} \\ \text{Cl} \\ \text{Me} \end{array}$$

RN 234776-93-3 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-3-(2-chloro-4-methylphenyl)-N-[(1S)-3-methoxy-1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 234776-94-4 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-3-(2-chloro-4-methylphenyl)-N-

[3-methoxy-1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{MeO-CH}_2 \\ \text{MeO-CH}_2\text{-CH-NH} \\ \text{Cl} \\ \text{Me} \end{array}$$

RN 234776-98-8 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-N-[1-(methoxymethyl)butyl]-3-(4-methoxy-2-methylphenyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 234776-99-9 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-3-(2-chloro-4-methylphenyl)-N- [1-(methoxymethyl)butyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 234777-00-5 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-bromo-4,5-dimethoxyphenyl)-6-chloro-N-(1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 234777-01-6 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-bromo-4-methoxyphenyl)-6-chloro-N-(1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 234777-03-8 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-bromo-4-methoxyphenyl)-6-chloro-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 234777-06-1 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-3-(2-chloro-4,5-dimethoxyphenyl)-N-(1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 234777-08-3 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-3-(2,4-dichlorophenyl)-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 234777-11-8 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-3-(2,4-dichlorophenyl)-N-[1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 234777-12-9 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-3-(2,4-dichlorophenyl)-N-(1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 234777-13-0 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-3-(2,4-dimethylphenyl)-N-(1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 234777-14-1 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-3-(4-chloro-2-methylphenyl)-N-(1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 234777-15-2 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-2,5,6-trimethyl-N-(1-propylbutyl)- (9CI) (CA INDEX NAME)

RN 234777-16-3 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5,6-trimethyl-(9CI) (CA INDEX NAME)

RN 234777-20-9 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4-methylphenyl)-N-[2-methoxy-1-(methoxymethyl)+ethyl]-2,5,6-trimethyl- (9CI) (CA INDEX NAME)

RN 234777-21-0 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4-methylphenyl)-N-(1-ethylpropyl)-2,5,6-trimethyl- (9CI) (CA INDEX NAME)

RN 234777-25-4 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-N-[1-(methoxymethyl)butyl]-2,5,6-trimethyl- (9CI) (CA INDEX NAME)

RN 234777-26-5 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-(1-ethylpropy1)-3-(4-methoxy-2-methylpheny1)-2,5,6-trimethyl- (9CI) (CA INDEX NAME)

RN 234777-27-6 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-[2-methoxy-1-(methoxymethyl)ethyl]-3- (4-methoxy-2-methylphenyl)-2,5,6-trimethyl- (9CI) (CA INDEX NAME)

RN 234777-28-7 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-N-[(1S)-3-methoxy-1-(methoxymethyl)propyl]-2,5,6-trimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 234777-29-8 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-N-[3-methoxy-1-(methoxymethyl)propyl]-2,5,6-trimethyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{MeO-CH}_2\\ \text{MeO-CH}_2\text{-CH-NH}\\ \text{Me} \\ \text{Me} \\ \text{N} \end{array}$$

RN 234777-31-2 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-N-ethyl-2,5,6-trimethyl- (9CI) (CA INDEX NAME)

RN 234777-32-3 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-chloro-2-methylphenyl)-2,5,6-trimethyl-N-(1-propylbutyl)- (9CI) (CA INDEX NAME)

RN 234777-33-4 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-chloro-2-methylphenyl)-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5,6-trimethyl- (9CI) (CA INDEX NAME)

RN 234777-34-5 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-chloro-2-methylphenyl)-N-[(1S)-3-methoxy-1-(methoxymethyl)propyl]-2,5,6-trimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 234777-35-6 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-chloro-2-methylphenyl)-N-[3-methoxy-1-(methoxymethyl)propyl]-2,5,6-trimethyl- (9CI) (CA INDEX NAME)

RN 234777-38-9 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4-methylphenyl)-N-[(1S)-3-methoxy-1-(methoxymethyl)propyl]-2,5,6-trimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 234777-39-0 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4-methylphenyl)-N-[3-methoxy-1-(methoxymethyl)propyl]-2,5,6-trimethyl- (9CI) (CA INDEX NAME)

RN 234777-44-7 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-[1-(methoxymethyl)butyl]-3-(4-methoxy-2-methylphenyl)-2,5,6-trimethyl- (9CI) (CA INDEX NAME)

RN 234777-45-8 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4-methylphenyl)-N-[1-(methoxymethyl)butyl]-2,5,6-trimethyl- (9CI) (CA INDEX NAME)

RN 234777-46-9 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-bromo-4,5-dimethoxyphenyl)-N-(1-ethylpropyl)-2,5,6-trimethyl- (9CI) (CA INDEX NAME)

RN 234777-47-0 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-bromo-4-methoxyphenyl)-N-(1-ethylpropyl)-2,5,6-trimethyl- (9CI) (CA INDEX NAME)

RN 234777-49-2 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-bromo-4-methoxyphenyl)-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5,6-trimethyl- (9CI) (CA INDEX NAME)

RN 234777-52-7 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4,5-dimethoxyphenyl)-N-(1-ethylpropyl)-2,5,6-trimethyl- (9CI) (CA INDEX NAME)

RN 234777-54-9 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dichlorophenyl)-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5,6-trimethyl- (9CI) (CA INDEX NAME)

RN 234777-57-2 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dichlorophenyl)-N-[1-(methoxymethyl)propyl]-2,5,6-trimethyl- (9CI) (CA INDEX NAME)

RN 234777-58-3 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dichlorophenyl)-N-(1-ethylpropyl)-2,5,6-trimethyl- (9CI) (CA INDEX NAME)

RN 234777-59-4 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-N-(1-ethylpropyl)-2,5,6-trimethyl- (9CI) (CA INDEX NAME)

RN 234777-60-7 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-chloro-2-methylphenyl)-N-(1-ethylpropyl)-2,5,6-trimethyl- (9CI) (CA INDEX NAME)

RN 234777-61-8 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-N-(1-ethylpropyl)-6-fluoro-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 234777-62-9 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-6-fluoro-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 234777-66-3 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4-methylphenyl)-6-fluoro-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 234777-67-4 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4-methylphenyl)-N-(1-ethylpropyl)-6-fluoro-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 234777-71-0 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-6-fluoro-N-[1-(methoxymethyl)butyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 234777-72-1 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-(1-ethylpropyl)-6-fluoro-3-(4-methoxy-2-methylphenyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 234777-73-2 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-fluoro-N-[2-methoxy-1-(methoxymethyl)ethyl]-3-(4-methoxy-2-methylphenyl)-2,5-dimethyl-(9CI)(CA INDEX NAME)

RN 234777-74-3 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-6-fluoro-N-[(1S)-3-methoxy-1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 234777-75-4 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-6-fluoro-N-[3-methoxy-1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{MeO-CH}_2 \\ \text{MeO-CH}_2\text{-CH-NH} \\ \hline \\ \text{F} \\ \text{Me} \\ \text{N} \\ \end{array}$$

RN 234777-77-6 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-N-ethyl-6-fluoro-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 234777-78-7 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-chloro-2-methylphenyl)-6-fluoro-2,5-dimethyl-N-(1-propylbutyl)- (9CI) (CA INDEX NAME)

RN 234777-79-8 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-chloro-2-methylphenyl)-6-fluoro-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5-dimethyl-(9CI) (CA INDEX NAME)

RN 234777-80-1 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-chloro-2-methylphenyl)-6-fluoro-N-[(1S)-3-methoxy-1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 234777-81-2 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-chloro-2-methylphenyl)-6-fluoro-N-[3-methoxy-1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 234777-84-5 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4-methylphenyl)-6-fluoro-N-[(1S)-3-methoxy-1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 234777-85-6 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4-methylphenyl)-6-fluoro-N-[3-methoxy-1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 234777-89-0 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-fluoro-N-[1-(methoxymethyl)butyl]-3-(4-methoxy-2-methylphenyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 234777-90-3 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4-methylphenyl)-6-fluoro-N-[1-(methoxymethyl)butyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 234777-91-4 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-bromo-4,5-dimethoxyphenyl)-N-(1-ethylpropyl)-6-fluoro-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 234777-92-5 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-bromo-4-methoxyphenyl)-N-(1-ethylpropyl)-6-fluoro-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 234777-94-7 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-bromo-4-methoxyphenyl)-6-fluoro-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 234777-97-0 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4,5-dimethoxyphenyl)-N-(1-ethylpropyl)-6-fluoro-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 234777-99-2 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dichlorophenyl)-6-fluoro-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 234778-02-0 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dichlorophenyl)-6-fluoro-N-[1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 234778-03-1 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dichlorophenyl)-N-(1-ethylpropyl)-6-fluoro-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 234778-04-2 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-chloro-2-methylphenyl)-N-(1-ethylpropyl)-6-fluoro-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 234778-11-1 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-3-(4-methoxy-2-methylphenyl)-2,5-dimethyl-N-(1-methylpropyl)- (9CI) (CA INDEX NAME)

RN 234778-12-2 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-N-cyclobutyl-3-(4-methoxy-2-methylphenyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 234778-19-9 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-3-(4-methoxy-2,5-dimethylphenyl)-2,5-dimethyl-N-(1-methylpropyl)- (9CI) (CA INDEX NAME)

RN 234778-20-2 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-N-cyclobutyl-3-(4-methoxy-2,5-dimethylphenyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 234778-27-9 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-fluoro-3-(4-methoxy-2-methylphenyl)-2,5-dimethyl-N-(1-methylpropyl)- (9CI) (CA INDEX NAME)

RN 234778-28-0 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-cyclobutyl-6-fluoro-3-(4-methoxy-2-methylphenyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 234778-35-9 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-fluoro-3-(4-methoxy-2,5-dimethylphenyl)-2,5-dimethyl-N-(1-methylpropyl)- (9CI) (CA INDEX NAME)

RN 234778-36-0 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-cyclobutyl-6-fluoro-3-(4-methoxy-2,5-dimethylphenyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 234778-43-9 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-methoxy-2-methylphenyl)-2,5,6-trimethyl-N-(1-methylpropyl)- (9CI) (CA INDEX NAME)

RN 234778-44-0 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-cyclobutyl-3-(4-methoxy-2-methylphenyl)-2,5,6-trimethyl- (9CI) (CA INDEX NAME)

RN 234778-51-9 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-methoxy-2,5-dimethylphenyl)-2,5,6-trimethyl-N-(1-methylpropyl)- (9CI) (CA INDEX NAME)

RN 234778-52-0 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-cyclobutyl-3-(4-methoxy-2,5-dimethylphenyl)-2,5,6-trimethyl- (9CI) (CA INDEX NAME)

RN 235083-80-4 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-6-fluoro-2,5-dimethyl-N-(1-propylbutyl)- (9CI) (CA INDEX NAME)

RN 267233-61-4 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-N-[1-(methoxymethyl)butyl]-2,5-dimethyl-(9CI) (CA INDEX NAME)

GΙ

AB Corticotropin releasing factor (CRF) antagonists (no data) of formulas I and II are disclosed [wherein A = N or CR; Z = N or CR2; Ar = (un)substituted Ph, naphthyl, pyridyl, pyrimidinyl, indanyl, tetralinyl, addnl. selected heterocycles; R = H, alk(en/yn)yl, cycloalkyl(alkyl), halo, cyano, haloalkyl; R1, R2 = H, groups listed for R, NH2 or derivs., OH or derivs., SH or derivs., addnl. substituted alkyls; R3 = H, OH or derivs., SH or derivs., acyl, CO2H or esters, NH2 or derivs., aryl, heteroaryl, alk(en/yn)yl, etc.; R4 = (un)substituted alk(en/yn)yl or cycloalkyl(alkyl)]. The compds. are of use in the treatment of CRF-related disorders, particularly anxiety and depression, as well as other psychiatric, neurol., immunol., cardiovascular, and psychopathol. disorders. For instance, 5-amino-4-(2-chloro-4-methylphenyl)-3-methylpyrazole was cyclized with Et acetoacetate in AcOH to give 42% 7-hydroxy-5-methyl-3-(2-chloro-4-methylphenyl)pyrazolo[1,5-a]pyrimidine.

The latter was treated with POCl3 and PhNEt2 to give the 7-chloro analog (84%), which reacted with 3-pentylamine to give 60% title compound III.

REFERENCE COUNT: 68 THERE ARE 68 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 45 OF 63 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:125866 CAPLUS

DOCUMENT NUMBER: 132:231516

TITLE: The discovery of 4-(3-pentylamino)-2,7-dimethyl-8-(2-

methyl-4-methoxyphenyl)-pyrazolo-[1,5-a]-pyrimidine: a

corticotropin-releasing factor (hCRF1) antagonist

AUTHOR(S): Gilligan, Paul J.; Baldauf, Caryn; Cocuzza, Anthony;

Chidester, Dennis; Zaczek, Robert; Fitzgerald, Lawrence W.; McElroy, John; Smith, Mark A.; Shen, H.-S. L.; Saye, Jo Anne; Christ, David; Trainor,

George; Robertson, David W.; Hartig, Paul Chemical and Physical Sciences Department,

Experimental Station, DuPont Pharmaceuticals Co.,

Wilmington, DE, 10880-0500, USA

SOURCE: Bioorganic & Medicinal Chemistry (2000), 8(1), 181-189

CODEN: BMECEP; ISSN: 0968-0896

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal LANGUAGE: English

IT 202579-74-6P

CORPORATE SOURCE:

RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses) (structure-activity relationships of pyrazolo-[1,5-a]-pyrimidines as human CRF1 antagonists leading to discovery of anxiolytic DMP904)

RN 202579-74-6 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-(1-ethylpropyl)-3-(4-methoxy-2-methylphenyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

IT 202579-60-0 202579-62-2 202579-63-3

202579-75-7 202579-91-7 202579-94-0

202579-95-1 202580-25-4 202580-28-7

262298-00-0

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

(structure-activity relationships of pyrazolo-[1,5-a]-pyrimidines as human CRF1 antagonists leading to discovery of anxiolytic DMP904)

RN 202579-60-0 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dichlorophenyl)-N-[1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202579-62-2 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dichlorophenyl)-N-(1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202579-63-3 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dichlorophenyl)-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5-dimethyl-(9CI) (CA INDEX NAME)

RN 202579-75-7 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-[2-methoxy-1-(methoxymethyl)ethyl]-3- (4-methoxy-2-methylphenyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202579-91-7 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-methoxy-2-methylphenyl)-N-[1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202579-94-0 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4,5-dimethoxyphenyl)-N-(1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202579-95-1 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-bromo-4,5-dimethoxyphenyl)-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202580-25-4 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-bromo-4,5-dimethoxyphenyl)-N-(1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202580-28-7 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-bromo-4-methoxyphenyl)-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 262298-00-0 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4-methoxyphenyl)-N-(1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202579-64-4 CAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-N-(1-ethylpropyl)2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202579-65-5 CAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5-dimethyl-(9CI) (CA INDEX NAME)

RN 202579-69-9 CAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4-methylphenyl)-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202579-73-5 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-N-[1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202579-80-4 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-N-ethyl-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202579-81-5 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-chloro-2-methylphenyl)-N-(1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202579-82-6 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-chloro-2-methylphenyl)-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202579-92-8 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4-methylphenyl)-N-[1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

AB Structure-activity relationship studies led to the discovery of 4-(3-pentylamino)-2,7-dimethyl-8-(2-methyl-4-methoxyphenyl)-pyrazolo-[1,5-a]-pyrimidine (compound 11-31, DMP904), whose pharmacol. profile strongly supports the hypothesis that hCRF1 antagonists may be potent anxiolytic drugs. Compound 11-31 (hCRF1 Ki =  $1.0 \pm 0.2$  nM (n = 8)) was a potent antagonist of hCRF1-coupled adenylate cyclase activity in HEK293 cells (IC50 =  $10.0 \pm 0.01$  nM vs. 10 nM r/hCRF, n = 8);  $\alpha$ -helical CRF(9-41) had weaker potency (IC50 =  $286 \pm 63$  nM, n = 3). Analog 11-31 had good oral activity in the rat situational anxiety test; the min. ED for 11-31 was 0.3 mg/kg, orally. Maximal efficacy (approx. 57% reduction in latency time in the dark compartment) was observed at this dose. Chlordiazepoxide caused a 72% reduction in latency at 20 mg/kg, orally. CP154526-1 (30 mg/kg, orally) was inactive in this test. Compound 11-31 did not inhibit open-field locomotor activity at 10, 30, and 100 mg/kg, orally

in rats. In beagle dogs, this compound (5 mg/kg, i.v., orally) afforded good plasma levels. The key i.v. pharmacokinetic parameters were t1/2, CL and Vd.ss values equal to  $46.4 \pm 7.6$  h,  $0.49 \pm 0.08$  L/kg/h and 23.0  $\pm$  4.2 L/kg, resp. After oral dosing, the mean Cmax, Tmax, t1/2 and bioavailability values were equal to  $1260 \pm 290$  nM,  $0.75 \pm 0.25$  h,  $45.1 \pm 10.2$  h and 33.1%, resp. The overall rat behavioral profile of this compound suggests that it may be an anxiolytic drug with a low motor side effect liability.

REFERENCE COUNT:

43

THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 46 OF 63 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1999:495296 CAPLUS

DOCUMENT NUMBER: 131:144616

TITLE: Preparation of azolotriazines and -pyrimidines as corticotropin releasing factor (CRF) antagonists

INVENTOR(S): He, Liqi; Gilligan, Paul; Chorvat, Robert; Arvanitis,

Argyrios Georgios

PATENT ASSIGNEE(S): Du Pont Pharmaceuticals Company, USA

SOURCE: PCT Int. Appl., 245 pp.

234777-45-8P 234777-46-9P 234777-47-0P

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

P.	PATENT NO.					KIND		DATE			APPLICATION NO.					DATE		
M		AU, RO,	SG, BE,	SI,	A1 CN, SK,	CZ, UA,	EE, VN,	HU, AM,	IL, AZ,	JE B	1999- P, KR, KG, R, GB,	LT, KZ,	LV, MD,	RU,	NO, TJ,	TM	PL,	
U U C. A A E.	US 6060478 US 6191131 US 6313124 CA 2314613 AU 9924787 AU 748818 EP 1049699				A B1 B1 AA A1 B2 A1 B1		2000 2001 2001 1999 1999 2002 2000	0220 1106 0805 0816 0613 1108		US US CA AU	1998- 1998- 1998- 1999- 1999-	-1500 -1473 -2314 -2478	2 4 613 7		1 1 1 1	9980: 9980: 9980: 9990: 9990:	128 128 128 128	
B. J. N A Z.	EP 1049699 R: AT, BE, CH, SI, LT, LV, BR 9908206 JP 2002501922 NZ 505079 AT 264860 ZA 9900843 PRIORITY APPLN. INFO.:					DK,	2004 ES, 2000 2002 2003 2004 2000	FR, 1205 0122 0829 0515		BR JP NZ AT ZA US US US US US	1999- 2000- 1999- 1999- 1998- 1998- 1998- 1998- 1996- 1997-	-8206 -5293 -5050 -9043 -843 -1473 -1500 -1500 -2329 -8992	35 79 82 4 1 2 0P 42		1 1 1 1 A 1 A 1 A 1 A 1 A 1 A 1	PT, 9990: 9990: 9990: 9990: 9980: 9980: 9980: 9980: 9990:	128 128 128 128 128 203 128 128 128 724 723	
2 2 2 2 2 2 2 2 2 2 2 2 2 2 2 2 2 2 2	02579- 34776- 34776- 34776- 34776- 34777- 34777- 34777- 34777- 34777- 34777- 34777- 34777-	75-11 81-91 84-21 88-61 93-31 99-91 03-81 11-81 14-11 20-91 226-51 29-81 33-41	2 23 2 23 2 23 2 23 2 23 2 23 2 23 2 23	4776 4776 4776 4776 4777 4777 4777 4777	-76-: -82-: -86-: -89-: -94-: -00-: -12-: -15-: -21-: -27-: -31-:	2P 2 2P 2 4P 2 4P 2 4P 2 4P 2 5P 2 2P 2 2P 2 5P 2	23477 23477 23477 23477 23477 23477 23477 23477 23477 23477 23477	6-80-6-83-6-87-6-90-6-98-7-01-7-08-7-15-7-25-7-28-7-35-5-5-5-5-5-5-5-5-5-5-5-5-5-5-5-5-5-	-8P -1P -5P -0P -6P -3P -3P -4P -7P -3P	WO	1999-	-0510	∠4		W I	9990.	120	

234777-49-2P 234777-52-7P 234777-54-9P 234777-57-2P 234777-58-3P 234777-59-4P 234777-60-7P 234777-61-8P 234777-62-9P 234777-66-3P 234777-67-4P 234777-71-0P 234777-72-1P 234777-73-2P 234777-74-3P 234777-75-4P 234777-77-6P 234777-78-7P 234777-79-8P 234777-80-1P 234777-81-2P 234777-84-5P 234777-85-6P 234777-89-0P 234777-90-3P 234777-91-4P 234777-92-5P 234777-94-7P 234777-97-0P 234777-99-2P 234778-02-0P 234778-03-1P 234778-04-2P 234778-11-1P 234778-12-2P 234778-19-9P 234778-20-2P 234778-27-9P 234778-28-0P 234778-35-9P 234778-36-0P 234778-43-9P 234778-44-0P 234778-51-9P 234778-52-0P 235083-80-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of azolotriazines and -pyrimidines as CRF antagonists for treatment of anxiety, depression, and other psychiatric, neurol. disorders)

RN 202579-57-5 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4-methylphenyl)-N-(1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 234776-70-6 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-3-(2,4-dimethylphenyl)-2,5-dimethyl-N-(1-propylbutyl)- (9CI) (CA INDEX NAME)

RN 234776-71-7 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-3-(2,4-dimethylphenyl)-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 234776-75-1 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-3-(2-chloro-4-methylphenyl)-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 234776-76-2 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-3-(2-chloro-4-methylphenyl)-N-(1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 234776-80-8 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-3-(2,4-dimethylphenyl)-N-[1-(methoxymethyl)butyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 234776-81-9 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-N-(1-ethylpropyl)-3-(4-methoxy-2-methylphenyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 234776-82-0 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-N-[2-methoxy-1-(methoxymethyl)ethyl]-3-(4-methoxy-2-methylphenyl)-2,5-dimethyl-(9CI)(CA INDEX NAME)

RN 234776-83-1 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-3-(2,4-dimethylphenyl)-N-[(1S)-3-methoxy-1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 234776-84-2 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-3-(2,4-dimethylphenyl)-N-[3-methoxy-1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 234776-86-4 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-3-(2,4-dimethylphenyl)-N-ethyl-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 234776-87-5 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-3-(4-chloro-2-methylphenyl)-2,5-dimethyl-N-(1-propylbutyl)- (9CI) (CA INDEX NAME)

RN 234776-88-6 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-3-(4-chloro-2-methylphenyl)-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 234776-89-7 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-3-(4-chloro-2-methylphenyl)-N[(1S)-3-methoxy-1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 234776-90-0 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-3-(4-chloro-2-methylphenyl)-N-[3-methoxy-1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{MeO-CH2} \\ \text{MeO-CH2-CH2-CH-NH} \\ \hline \text{Cl} & \text{Me} \\ \text{Me} & \text{N} \end{array}$$

RN 234776-93-3 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-3-(2-chloro-4-methylphenyl)-N-[(1S)-3-methoxy-1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 234776-94-4 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-3-(2-chloro-4-methylphenyl)-N-[3-methoxy-1-(methoxymethyl)propyl]-2,5-dimethyl-(9CI) (CA INDEX NAME)

RN 234776-98-8 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-N-[1-(methoxymethyl)butyl]-3-(4-methoxy-2-methylphenyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 234776-99-9 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-3-(2-chloro-4-methylphenyl)-N- [1-(methoxymethyl)butyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 234777-00-5 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-bromo-4,5-dimethoxyphenyl)-6-chloro-N-(1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 234777-01-6 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-bromo-4-methoxyphenyl)-6-chloro-N-(1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 234777-03-8 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-bromo-4-methoxyphenyl)-6-chloro-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 234777-06-1 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-3-(2-chloro-4,5-dimethoxyphenyl)-N-(1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 234777-08-3 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-3-(2,4-dichlorophenyl)-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 234777-11-8 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-3-(2,4-dichlorophenyl)-N-[1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 234777-12-9 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-3-(2,4-dichlorophenyl)-N-(1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 234777-13-0 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-3-(2,4-dimethylphenyl)-N-(1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 234777-14-1 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-3-(4-chloro-2-methylphenyl)-N-(1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 234777-15-2 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-2,5,6-trimethyl-N-(1-propylbutyl)- (9CI) (CA INDEX NAME)

RN 234777-16-3 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5,6-trimethyl- (9CI) (CA INDEX NAME)

RN 234777-20-9 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4-methylphenyl)-N-[2-methoxy-1-(methoxymethyl)+ethyl]-2,5,6-trimethyl- (9CI) (CA INDEX NAME)

RN 234777-21-0 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4-methylphenyl)-N-(1-ethylpropyl)-2,5,6-trimethyl- (9CI) (CA INDEX NAME)

RN 234777-25-4 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-N-[1-(methoxymethyl)butyl]-2,5,6-trimethyl- (9CI) (CA INDEX NAME)

RN 234777-26-5 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-(1-ethylpropyl)-3-(4-methoxy-2-methylphenyl)-2,5,6-trimethyl- (9CI) (CA INDEX NAME)

RN 234777-27-6 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-[2-methoxy-1-(methoxymethyl)ethyl]-3- (4-methoxy-2-methylphenyl)-2,5,6-trimethyl- (9CI) (CA INDEX NAME)

RN 234777-28-7 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-N-[(1S)-3-methoxy-1-(methoxymethyl)propyl]-2,5,6-trimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 234777-29-8 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-N-[3-methoxy-1-(methoxymethyl)propyl]-2,5,6-trimethyl- (9CI) (CA INDEX NAME)

RN 234777-31-2 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-N-ethyl-2,5,6-trimethyl- (9CI) (CA INDEX NAME)

RN 234777-32-3 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-chloro-2-methylphenyl)-2,5,6-trimethyl-N-(1-propylbutyl)- (9CI) (CA INDEX NAME)

RN 234777-33-4 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-chloro-2-methylphenyl)-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5,6-trimethyl- (9CI) (CA INDEX NAME)

RN 234777-34-5 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-chloro-2-methylphenyl)-N-[(1S)-3-methoxy-1-(methoxymethyl)propyl]-2,5,6-trimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 234777-35-6 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-chloro-2-methylphenyl)-N-[3-methoxy-1-(methoxymethyl)propyl]-2,5,6-trimethyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{MeO-CH}_2\\ \text{MeO-CH}_2\text{-CH-NH}\\ \text{Me} \\ \text{Me} \\ \text{N} \end{array}$$

RN 234777-38-9 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4-methylphenyl)-N-[(1S)-3-methoxy-1-(methoxymethyl)propyl]-2,5,6-trimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 234777-39-0 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4-methylphenyl)-N-[3-methoxy-1-(methoxymethyl)propyl]-2,5,6-trimethyl- (9CI) (CA INDEX NAME)

RN 234777-44-7 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-[1-(methoxymethyl)butyl]-3-(4-methoxy-2-methylphenyl)-2,5,6-trimethyl- (9CI) (CA INDEX NAME)

RN 234777-45-8 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4-methylphenyl)-N-[1-(methoxymethyl)butyl]-2,5,6-trimethyl- (9CI) (CA INDEX NAME)

RN 234777-46-9 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-bromo-4,5-dimethoxyphenyl)-N-(1-ethylpropyl)-2,5,6-trimethyl- (9CI) (CA INDEX NAME)

RN 234777-47-0 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-bromo-4-methoxyphenyl)-N-(1-ethylpropyl)-2,5,6-trimethyl- (9CI) (CA INDEX NAME)

RN 234777-49-2 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-bromo-4-methoxyphenyl)-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5,6-trimethyl- (9CI) (CA INDEX NAME)

RN 234777-52-7 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4,5-dimethoxyphenyl)-N-(1-ethylpropyl)-2,5,6-trimethyl- (9CI) (CA INDEX NAME)

RN 234777-54-9 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dichlorophenyl)-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5,6-trimethyl-(9CI) (CA INDEX NAME)

RN 234777-57-2 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dichlorophenyl)-N-[1-(methoxymethyl)propyl]-2,5,6-trimethyl-(9CI) (CA INDEX NAME)

RN 234777-58-3 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dichlorophenyl)-N-(1-ethylpropyl)-2,5,6-trimethyl- (9CI) (CA INDEX NAME)

RN 234777-59-4 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-N-(1-ethylpropyl)-2,5,6-trimethyl- (9CI) (CA INDEX NAME)

RN 234777-60-7 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-chloro-2-methylphenyl)-N-(1-ethylpropyl)-2,5,6-trimethyl- (9CI) (CA INDEX NAME)

RN 234777-61-8 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-N-(1-ethylpropyl)-6-fluoro-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 234777-62-9 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-6-fluoro-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 234777-66-3 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4-methylphenyl)-6-fluoro-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 234777-67-4 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4-methylphenyl)-N-(1-ethylpropyl)-6-fluoro-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 234777-71-0 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-6-fluoro-N-[1-(methoxymethyl)butyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 234777-72-1 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-(1-ethylpropyl)-6-fluoro-3-(4-methoxy-2-methylphenyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 234777-73-2 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-fluoro-N-[2-methoxy-1-(methoxymethyl)ethyl]-3-(4-methoxy-2-methylphenyl)-2,5-dimethyl-(9CI)(CA INDEX NAME)

RN 234777-74-3 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-6-fluoro-N-[(1S)-3-methoxy-1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 234777-75-4 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-6-fluoro-N-[3-methoxy-1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 234777-77-6 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-N-ethyl-6-fluoro-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 234777-78-7 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-chloro-2-methylphenyl)-6-fluoro-2,5-dimethyl-N-(1-propylbutyl)- (9CI) (CA INDEX NAME)

RN 234777-79-8 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-chloro-2-methylphenyl)-6-fluoro-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5-dimethyl-(9CI) (CA INDEX NAME)

RN 234777-80-1 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-chloro-2-methylphenyl)-6-fluoro-N-[(1S)-3-methoxy-1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 234777-81-2 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-chloro-2-methylphenyl)-6-fluoro-N-[3-methoxy-1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{MeO-CH}_2 \\ \text{MeO-CH}_2\text{-CH-NH} \\ \text{F} \\ \text{Me} \\ \text{N} \end{array}$$

RN 234777-84-5 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4-methylphenyl)-6-fluoro-N-[(1S)-3-methoxy-1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 234777-85-6 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4-methylphenyl)-6-fluoro-N-

[3-methoxy-1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{MeO-CH}_2 \\ \text{MeO-CH}_2\text{-CH-NH} \\ \hline \\ \text{F} \\ \text{Me} \\ \text{N} \\ \end{array}$$

RN 234777-89-0 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-fluoro-N-[1-(methoxymethyl)butyl]-3-(4-methoxy-2-methylphenyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 234777-90-3 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4-methylphenyl)-6-fluoro-N-[1-(methoxymethyl)butyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 234777-91-4 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-bromo-4,5-dimethoxyphenyl)-N-(1-ethylpropyl)-6-fluoro-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 234777-92-5 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-bromo-4-methoxyphenyl)-N-(1-ethylpropyl)-6-fluoro-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 234777-94-7 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-bromo-4-methoxyphenyl)-6-fluoro-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5-dimethyl-(9CI) (CA INDEX NAME)

RN 234777-97-0 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4,5-dimethoxyphenyl)-N-(1-ethylpropyl)-6-fluoro-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 234777-99-2 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dichlorophenyl)-6-fluoro-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 234778-02-0 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dichlorophenyl)-6-fluoro-N-[1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 234778-03-1 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dichlorophenyl)-N-(1-ethylpropyl)-6-fluoro-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 234778-04-2 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-chloro-2-methylphenyl)-N-(1-ethylpropyl)-6-fluoro-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 234778-11-1 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-3-(4-methoxy-2-methylphenyl)-2,5-dimethyl-N-(1-methylpropyl)- (9CI) (CA INDEX NAME)

RN 234778-12-2 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-N-cyclobutyl-3-(4-methoxy-2-methylphenyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 234778-19-9 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-3-(4-methoxy-2,5-dimethylphenyl)-2,5-dimethyl-N-(1-methylpropyl)- (9CI) (CA INDEX NAME)

RN 234778-20-2 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-N-cyclobutyl-3-(4-methoxy-2,5-dimethylphenyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 234778-27-9 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-fluoro-3-(4-methoxy-2-methylphenyl)-2,5-dimethyl-N-(1-methylpropyl)- (9CI) (CA INDEX NAME)

RN 234778-28-0 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-cyclobutyl-6-fluoro-3-(4-methoxy-2-methylphenyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 234778-35-9 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-fluoro-3-(4-methoxy-2,5-dimethylphenyl)-2,5-dimethyl-N-(1-methylpropyl)- (9CI) (CA INDEX NAME)

RN 234778-36-0 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-cyclobutyl-6-fluoro-3-(4-methoxy-2,5-dimethylphenyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 234778-43-9 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-methoxy-2-methylphenyl)-2,5,6-trimethyl-N-(1-methylpropyl)- (9CI) (CA INDEX NAME)

RN 234778-44-0 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-cyclobutyl-3-(4-methoxy-2-methylphenyl)-2,5,6-trimethyl- (9CI) (CA INDEX NAME)

RN 234778-51-9 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-methoxy-2,5-dimethylphenyl)-2,5,6-trimethyl-N-(1-methylpropyl)- (9CI) (CA INDEX NAME)

RN 234778-52-0 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-cyclobutyl-3-(4-methoxy-2,5-dimethylphenyl)-2,5,6-trimethyl- (9CI) (CA INDEX NAME)

RN 235083-80-4 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-6-fluoro-2,5-dimethyl-N-(1-propylbutyl)- (9CI) (CA INDEX NAME)

GΙ

AB The title compds. [I or II; A = N, CR; Z = N, CR2; Ar = (un)substituted Ph, naphthyl, pyridyl, etc.; R = H, C1-4 alkyl, C2-4 alkenyl, etc.; R1 = H, C1-4 alkyl, C2-4 alkenyl, etc.; R3 = H, SH, OH, etc.; R14 = C1-10 alkyl, C3-10 alkenyl, C3-10 alkynyl, etc.], corticotropin releasing factor (CRF) antagonists (no data) which are useful in treating anxiety, depression, and other psychiatric, neurol. disorders as well as in treatment of immunol., cardiovascular or heart-related diseases and colonic hypersensitivity associated with psychopathol. disturbance and stress, were prepared and formulated. Thus, treatment of 2,7-dimethyl-8-(2,4-dimethylphenyl)[1,5-a]pyrazolo-1,3,5-triazin-4-one with POC13 and N,N-dimethylaniline, followed by reaction of the resulting 4-chloro-2,7-dimethyl-8-(2,4-dichlorophenyl)[1,5-a]pyrazolo-1,3,5-triazine with 1,3-dimethoxy-2-aminopropane in EtOH afforded I [A = N; Z = C(Me); R1 = Me; R3 = NHCH(CH2OMe)2; Ar = 2,4-C12C6H3].

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 47 OF 63 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1999:467208 CAPLUS

DOCUMENT NUMBER: 131:237490

TITLE: Synthesis and structure-activity relationship of a new

series of potent angiotensin II receptor antagonists:

pyrazolo[1,5-a]pyrimidine derivatives

AUTHOR(S): Shiota, Takeshi; Yamamori, Teruo; Sakai, Katsunori;

Kiyokawa, Mitsugu; Honma, Tsunetoshi; Ogawa, Masayoshi; Hayashi, Kunio; Ishizuka, Natsuki;

Matsumura, Ken-Ichi; Hara, Mariko; Fujimoto, Masafumi;

Kawabata, Tomoji; Nakajima, Shigeyuki

CORPORATE SOURCE: Shionogi Research Laboratories, Shionogi and Co.,

Ltd., Osaka, 553-0002, Japan

SOURCE: Chemical & Pharmaceutical Bulletin (1999), 47(7),

928-938

CODEN: CPBTAL; ISSN: 0009-2363
PUBLISHER: Pharmaceutical Society of Japan

DOCUMENT TYPE: Journal LANGUAGE: English

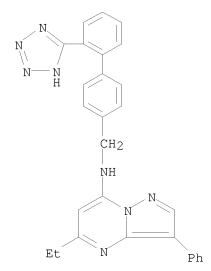
IT 244127-04-6P

RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)

(synthesis and structure-activity relationship of pyrazolo[1,5-a]pyrimidines as angiotensin II receptor antagonists)

RN 244127-04-6 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 5-ethyl-3-phenyl-N-[[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]- (9CI) (CA INDEX NAME)



IT 244127-51-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis and structure-activity relationship of pyrazolo[1,5-a]pyrimidines as angiotensin II receptor antagonists)

RN 244127-51-3 CAPLUS

CN [1,1'-Biphenyl]-2-carbonitrile, 4'-[[(5-ethyl-3-phenylpyrazolo[1,5-a]pyrimidin-7-yl)amino]methyl]- (9CI) (CA INDEX NAME)

AB We have already reported 7-oxo-4,7-dihydropyrazolo[1,5-a]pyrimidine-3-carboxylic acid derivs., which are potent in vitro angiotensin II (AII) antagonists, but have no oral antihypertensive activity. Removal of the carboxylic acid and replacement of the heteroarom. system afforded potent in vitro antagonists. Removal of the carbonyl oxygen and changing the position of the biphenyltetrazole substituent were critical to the display of oral activity. To improve the in vitro and oral activities, modifications were made of the substituents at the 3- and 5- positions of the pyrazolo[1,5-a]pyrimidine. Structure-activity studies showed the Me substituent at the 3-position to be essential for potent in vivo activity. We present the design, syntheses, and biol. data of a series of pyrazolo[1,5-a]pyrimidine derivs., which are orally active AII receptor antagonists.

REFERENCE COUNT:

23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 48 OF 63 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1998:606868 CAPLUS

DOCUMENT NUMBER: 129:302612

TITLE: Pyrazolo[1,5-a]pyrimidine CRF-1 receptor antagonists AUTHOR(S): Wustrow, David J.; Capiris, Thomas; Rubin, Ronald; Knobelsdorf, A.; Akunne, Hyacinth; Davis, M. Duff;

MacKenzie, Robert; Pugsley, Thomas A.; Zoski, Kim T.;

Heffner, Thomas G.; Wise, Lawrence D.

CORPORATE SOURCE: Parke-Davis Pharmaceutical Research, Division of Warner-Lambert Company, Ann Arbor, MI, 48105, USA

Bioorganic & Medicinal Chemistry Letters (1998),

8(16), 2067-2070

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal LANGUAGE: English

IT 214416-28-1P

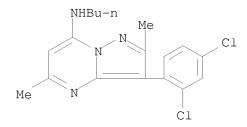
SOURCE:

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of pyrazolo[1,5-a]pyrimidines and their affinity for the human CRF-1 receptor)

RN 214416-28-1 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-butyl-3-(2,4-dichlorophenyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)



AB A series of pyrazolo[1,5-a]pyrimidines was prepared and found to have affinity for the human CRF-1 receptor. The 3-dimensional structure of one of the most potent analogs in this series was determined by X-ray crystallog. and suggests the spatial requirements for potent CRF-1 receptor binding affinity in this series.

REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 49 OF 63 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1998:246630 CAPLUS

DOCUMENT NUMBER: 128:248613

TITLE: Adenosine reinforcement agents

INVENTOR(S): Moritoki, Hideki; Iwamoto, Takeshi; Yasuda, Tsuneo

PATENT ASSIGNEE(S): Ootsuka Pharmaceutical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 22 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 10101672	A2	19980421	JP 1997-208772	19970804
PRIORITY APPLN. INFO.:			JP 1996-207171 A	19960806

OTHER SOURCE(S): MARPAT 128:248613

IT 174859-38-2 174859-40-6

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(adenosine reinforcement agents)

RN 174859-38-2 CAPLUS

CN Benzamide, N-[5-butyl-2-phenyl-3-[4-(phenylthio)phenyl]pyrazolo[1,5-a]pyrimidin-7-yl]-3,4,5-trimethoxy- (9CI) (CA INDEX NAME)

RN 174859-40-6 CAPLUS

CN Benzamide, N-(5-butyl-3-phenylpyrazolo[1,5-a]pyrimidin-7-yl)-3,4,5-trimethoxy- (9CI) (CA INDEX NAME)

GI

AB The title compds. [I; R1 = H, lower alkoxy or alkylthio, oxo, etc.; R2 = naphthyl, cycloalkyl, (un)substituted phenoxy, etc.; R3 = H, Ph, lower alkyl; R4 = H, lower alkyl, halo, aralkyl, etc.; R5 = H, lower alkyl; R6 = H, lower alkyl, (un)substituted benzoyl, etc.; Q = C0, S02; A = single bond, lower alkylene or alkenylene; n = 0, 1] are presented as adenosine reinforcement agents. I, possessing adenosine reinforcement activity, are useful for prevention and treatment of heart attack, myocardial and brain infarction. Ten compds. of I were tested and showed excellent adenosine reinforcement activity. Formulation containing I were also prepared

L4 ANSWER 50 OF 63 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1998:246629 CAPLUS

DOCUMENT NUMBER: 128:248612

TITLE: Nitrogen monooxide synthase inhibitors

INVENTOR(S): Moritoki, Hideki; Iwamoto, Takeshi; Yasuda, Tsuneo

PATENT ASSIGNEE(S): Ootsuka Pharmaceutical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 25 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 10101671	A2	19980421	JP 1997-207867	19970801
PRIORITY APPLN. INFO.:			JP 1996-209465 A	19960808

OTHER SOURCE(S): MARPAT 128:248612

IT 174859-38-2 174859-40-6

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(pyrozolopyrimidine derivs. as nitrogen monooxide synthase inhibitors)

RN 174859-38-2 CAPLUS

CN Benzamide, N-[5-butyl-2-phenyl-3-[4-(phenylthio)phenyl]pyrazolo[1,5-a]pyrimidin-7-yl]-3,4,5-trimethoxy- (9CI) (CA INDEX NAME)

RN 174859-40-6 CAPLUS

CN Benzamide, N-(5-butyl-3-phenylpyrazolo[1,5-a]pyrimidin-7-yl)-3,4,5-trimethoxy- (9CI) (CA INDEX NAME)

GΙ

AB The title compds. [I; R1 = H, lower alkoxy or alkylthio, oxo, etc.; R2 = naphthyl, cycloalkyl, (un)substituted phenoxy, etc.; R3 = H, Ph, lower alkyl; R4 = H, lower alkyl, halo, aralkyl, etc.; R5 = H, lower alkyl; R6 = H, lower alkyl, (un)substituted benzoyl, etc.; Q = CO, SO2; A = single bond, lower alkylene or alkenylene; n = 0, 1] are presented as NO synthase inhibitors. I are useful for prevention and treatment of septicemia. 14 Compds. of I were tested and showed excellent NO synthase inhibitory activity. Formulation containing I were also prepared

L4 ANSWER 51 OF 63 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1998:163594 CAPLUS

DOCUMENT NUMBER: 128:204902

Preparation of heterobicyclic derivatives as CRF TITLE:

antagonists

INVENTOR(S): Chen, Yuhpyng Liang

PATENT NO. KIND DATE

Pfizer Inc., USA; Chen, Yuhpyng Liang PATENT ASSIGNEE(S):

PCT Int. Appl., 62 pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

		•			11111							011	•						
	 WO 9808847									—————————————————————————————————————									
WO					AU,														
					FI,														
					LT,														
					SE,													YU	
	RW:				MW,														
					IT,														
					NE,				•			·	•	•	•	·	•		
CA	2263	566			AA		1998	0305		CA :	1997-	-2263	566		1	9970	725		
					С														
					A1					AU :	1997-	-3456	1		1	9970	725		
					В2														
EP					A1														
	R:				DE,			FR,	GB,	GR	, IT,	LI,	LU,	NL,	SE,	PT,	ΙE,		
		SI,	LT,	LV,	FI,	RO													
BR	9711	970			A		1999	0824		BR :	1997-	-1197	0		1	9970	725		
CN	1227	554			A		1999	0901		CN :	1997-	-1970	27		1	9970	725		
JP	2000	5027	23		A A T2 B2		2000	0307		JP :	1998-	-5114	29		1	9970	725		
JP	3621	706			В2 Т2		2005	0216		шъ .	1000	200			1	0070	705		
					1 Z A						1999- 1997-								
					A B1						1997- 1997-								
					В		2002				1997- 1997-								
					A														
	9900	927			7\		1999			uд. N∩	1997- 1999-	-7007			1	9 <i>91</i> 0	226		
NO	3136	36			A B1		2002			110	1999-	- 321				9990	220		
KB	2000	0359.	3.4		B1 A A1		2002			KB .	1999-	-7016	74		1	9990	227		
IIS	2000	0078	67		Δ1		2001	0712		IIS :	1999-	-2426	82		1	9991	213		
	2002		13		A1		2002	1017		US :	2002-	-1602	06		2	0020			
	6900		10		В2		2005	0531		00.	2002	1002			_	0020	550		
			23		A1		2005	0113		US :	2004-	-7627	42		2	0040	122		
					A2						2004-								
PRIORITY											1996-								
										JP :	1998-	-5114	29			9970			
										WO :	1997-	-IB92	2	,					
										US :	1999-	-2426	82		A1 1	9991	213		
										US :	2002-	-1602	06		A3 2	0020	530		
OTHER SO	DURCE	(S):			MARP	'ΑΤ	128:	2049	02										

APPLICATION NO.

DATE

203924-40-7P 203924-41-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of heterobicyclic derivs. as CRF antagonists)

RN 203924-40-7 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-(1-ethylpropyl)-2,5-dimethyl-3-(2,4,6-trimethylphenyl)- (9CI) (CA INDEX NAME)

Et2CH-NH
N
Me
Me
Me
Me

RN 203924-41-8 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-(1-ethylpropyl)-5-methyl-3-(2,4,6-trimethylphenyl)- (9CI) (CA INDEX NAME)

Et<sub>2</sub>CH-NH N Me Me Me Me

GI

Title compds. [I; A = N or CR7; D<E = O, S, NR8, CO, CS, CR4R6; G = N or C; 1 of J,K = N and the other = C; J = K = C; R = NR1R2, COR2, CR1R2R10, etc.; R1 = (un)substituted alkyl, -alkenyl, -alkynyl, etc.; R2 = alk(en)yl, (hetero)aryl(alkyl), etc.; R3 = H, halo, alkyl, alkoxy, etc.; R4 = H, halo, alkyl, alkoxy, etc.; R5 = substituted (hetero)aryl; R6 = H, Me, Et; R7 = H, halo, alkyl, alkoxy, etc.; R8 = H or alkyl; R10 = H, OH, OMe, F] were prepared as CRF antagonists (no data). Thus, OHCCHR5CN (R5 = 2, 4, 6-trimethylphenyl throughout) was cyclocondensed with H2NNH2 and the pyrazole product cyclocondensed with MeCOCH2CO2Me to give pyrazolopyrimidine II (R = OH) which was treated with POC13 and the product etherified by Et2CHOH to give II (R = OCHEt2).

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 52 OF 63 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1998:87733 CAPLUS

DOCUMENT NUMBER: 128:154103

TITLE: Preparation of azolotriazines and -pyrimidines as

corticotropin releasing factor (CRF) antagonists Arvanitis, Argyrios Georgious; Chorvat, Robert John

PATENT ASSIGNEE(S): Du Pont Merck Pharmaceutical Co., USA

SOURCE: PCT Int. Appl., 225 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

INVENTOR(S):

P	ATENT NO.			KIND	DATE	AP:	PLICATION NO.		DATE	
	LV,	MD,	MX,	A1 BR, B NO, N	19980129 Y, CA, CN, ( Z, PL, RO, I K, ES, FI, I	CZ, E: RU, S: FR, G:	1997-US13072 E, HU, IL, JP, G, SI, SK, TJ, B, GR, IE, IT,	KG, I TM, U LU, I	KR, KZ, LT, UA, VN MC, NL, PT,	SE
	A 2532925			AA			1997-2259583 1997-2532925		19970723	
	U 9738942				19980210	AU	1997-38942		19970723	
	U 747708 P 915880			B2 A1	20020523	FD	1997-936222		19970723	
וכו		BE,	CH.				R, IT, LI, LU,			FΙ
Cl	N 1225637	,	,	A	19990811		1997-196525		19970723	
	N 1104432			В	20030402					
	R 9710544			A	19990817	BR	1997-10544 1997-899242		19970723	
	S 6124289 P 20025133	82		А Т2	20000926 20020508	0.0	1997-899242 1998-507233		19970723 19970723	
	E 4316	02		B1 A	20040615		1999-19		19970723	
	A 9706603			A	19990125		1997-6603		19970724	
	W 542827			В	20030721		1997-86110640			
	V 12292 O 9900264			B a	19991120 19990310		1999-13 1999-264		19990120 19990121	
	0 315610			B1	20030929	110	1999 201		19990121	
L'	T 4680			В	20000725		1999-8		19990125	
	N 1327793			A	20011226		2001-120849			
	U 773039 N 1388126			B2 A	20040513 20030101	AU	2002-23236 2002-118589		20020312 20020425	
	P 20050972	57		A2	20050101		2004-216483		20020423	
	TY APPLN.					US	1996-23290P		19960724	
							1996-686047		19960724	
							1997-899242		19970723	
							1997-38942 1997-2259583		3 19970723 3 19970723	
						JP	1997-2259583 1998-507233 1997-US13072	A.	3 19970723	
						WO	1997-US13072	M	19970723	
-	SOURCE(S):				T 128:154103	_				
					202579-62-2 202579-65-9					
					202579-74-6					
2	02579-75-7	P 20	2579	-77-9P	202579-78-0	0P				
					202579-82-6					
					202579-91-1 202579-95-1					
					202580-28-					
2	02580-48-1	P 20	2580	-52-7P	202580-53-8	8P				

RN 202579-60-0 CAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dichlorophenyl)-N-[1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202579-62-2 CAPLUS CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dichlorophenyl)-N-(1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202579-63-3 CAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dichlorophenyl)-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5-dimethyl-(9CI) (CA INDEX NAME)

RN 202579-64-4 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-N-(1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202579-65-5 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5-dimethyl-(9CI) (CA INDEX NAME)

RN 202579-69-9 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4-methylphenyl)-N-[2-methoxy-1-(methoxymethyl)+ethyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202579-73-5 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-N-[1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202579-74-6 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-(1-ethylpropyl)-3-(4-methoxy-2-methylphenyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202579-75-7 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-[2-methoxy-1-(methoxymethyl)ethyl]-3- (4-methoxy-2-methylphenyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202579-77-9 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-[(1S)-3-methoxy-1- (methoxymethyl)propyl]-3-(4-methoxy-2-methylphenyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 202579-78-0 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-N-[(1S)-3-methoxy-1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 202579-80-4 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-N-ethyl-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202579-81-5 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-chloro-2-methylphenyl)-N-(1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202579-82-6 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-chloro-2-methylphenyl)-N-[2-methoxy-1-(methoxymethyl)+ethyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202579-84-8 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-chloro-2-methylphenyl)-N-[(1S)-3-methoxy-1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 202579-87-1 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4-methylphenyl)-N-[(1S)-3-methoxy-1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 202579-91-7 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-methoxy-2-methylphenyl)-N-[1-(methoxymethyl)propyl]-2,5-dimethyl-(9CI) (CA INDEX NAME)

RN 202579-92-8 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4-methylphenyl)-N-[1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202579-94-0 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4,5-dimethoxyphenyl)-N-(1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202579-95-1 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-bromo-4,5-dimethoxyphenyl)-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202580-25-4 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-bromo-4,5-dimethoxyphenyl)-N-(1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202580-26-5 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-bromo-4-methoxyphenyl)-N-(1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202580-28-7 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-bromo-4-methoxyphenyl)-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202580-48-1 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-(1-ethylpropyl)-3-(4-methoxy-2,3-dimethylphenyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202580-52-7 CAPLUS

CN 1,3-Propanediol, 2-[[3-(2,4-dichlorophenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

RN 202580-53-8 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-2,5-dimethyl-N-(1-propylbutyl)- (9CI) (CA INDEX NAME)

RN 202580-54-9 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-N-[3-methoxy-1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202580-55-0 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-chloro-2-methylphenyl)-2,5-dimethyl-N-(1-propylbutyl)- (9CI) (CA INDEX NAME)

RN 202580-56-1 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-chloro-2-methylphenyl)-N-[3-methoxy-1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{MeO-CH}_2 \\ \text{MeO-CH}_2\text{-CH-NH} \\ \\ \text{Me} \end{array} \begin{array}{c} \text{Me} \\ \text{N} \end{array} \begin{array}{c} \text{C1} \\ \text{Me} \end{array}$$

RN 202580-57-2 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4-methylphenyl)-N-[3-methoxy-1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202580-58-3 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-[1-(methoxymethyl)butyl]-3-(4-methoxy-2-methylphenyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202580-59-4 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4-methylphenyl)-N-[1-(methoxymethyl)butyl]-2,5-dimethyl-(9CI) (CA INDEX NAME)

GΙ

The title compds. [I or II; A = N, CR; Z = N, CR2; Ar = (un)substituted Ph, naphthyl, pyridyl, etc.; R = H, C1-4 alkyl, C2-4 alkenyl, etc.; R1 = H, C1-4 alkyl, C2-4 alkenyl, etc.; R2 = H, C1-4 alkyl, C2-4 alkenyl, etc.; R3 = H, SH, OH, etc.; R14 = C1-10 alkyl, C3-10 alkenyl, C3-10 alkynyl, etc.], corticotropin releasing factor (CRF) antagonists useful in treating anxiety, depression, and other psychiatric, neurol. disorders as well as in treatment of immunol., cardiovascular or heart-related diseases and colonic hypersensitivity associated with psychopathol. disturbance and stress, were prepared and formulated. Thus, treatment of 2,7-dimethyl-8-(2,4-dimethylphenyl)[1,5-a]pyrazolo-1,3,5-triazin-4-one with POC13 and N,N-dimethylaniline followed by reaction of the resulting 4-chloro-2,7-dimethyl-8-(2,4-dimethylphenyl)[1,5-a]pyrazolo-1,3,5-triazine with 1,3-dimethoxypropyl-2-aminopropane in EtOH afforded I [A = N; Z = C(Me); R1 = Me; R3 = NHCH(CH2OMe)2; Ar = 2,4-C12C6H3].

REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 53 OF 63 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1997:542450 CAPLUS

DOCUMENT NUMBER: 127:220669

TITLE: Pyrazolopyrimidines as CRF receptor antagonists INVENTOR(S): Chen, Chen; Webb, Thomas R.; McCarthy, James R.;

Moran, Terence J.; Wilcoxen, Keith M.

PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.; Neurocrine

Biosciences, Inc.

SOURCE: PCT Int. Appl., 43 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PATENT NO.					KIND DATE				APPLICATION NO.						DATE			
	WO	9729				A1		1997										19970	
		W:																, IL,	
																		, NZ,	
			RO,	SG,	SI,	SK,	TR,	TT,	UA,	US,	U2	Ζ,	VN,	ΑM,	ΑZ,	BY,	KG	, KZ,	MD,
			,	ΤJ,															
		RW:																, GB,	
								PT,	SE,	BF,	В	J,	CF,	CG,	CI,	CM,	GΑ	, GN,	$\mathrm{ML}$ ,
				NE,	SN,														
		2233				AA		1997						2233				19970	
		9715				A1		1997			AU	19	97-	1599	1			19970	130
		7136				В2		1999											
	EP	8805				A1		1998							95			19970	
		R:					DK,	ES,	FR,	GB,	GF	₹,	IT,	LI,	LU,	NL,	SE	, PT,	IE,
	~17	1005		LT,	LV,			1000	0110		~17	1.0	<u> </u>	1010	0.0			40000	100
		1205				A		1999			CN	19	9 /	1913	82			19970	130
	-	1090				В		2002			DD	1.0	07.	7201				10070	1 2 0
		9707				A		1999							1.0			19970	
		3301	-	C 1		A		2000							19			19970	
		2000 3356		0.1		T2 B2		2000			JP	19	9 1-:	3Z81.	23			19970	130
		2002		2.4		A2		2002			TD	20	01 '	2656	1 1			19970	120
		4282	1211	94		B1		2002					98-1		11			19970	
		4495	aa			В		2004			TW	10	90-	124 9610	1373			19970	
		9700				A		1998					97-9		13/3			19970	
		9801				A		1998				-		1357				19980	
		3102				B1		2001			110	19	<i>9</i> 0	1337				19900	323
	_	2003	-	41		A1		2003			IIS	19	99_	1177	17			19990	302
		6664		11		B2		2003			00	1)	,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,,	11//	1 /			10000	302
		2004		83		A1		2003			IIS	20	03-6	6657	40			20030	919
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OTHER	SC	URCE	(S):			MARI	PAT	127:	22066	59									
ΙΤ	161	42-5	1-1P	195	054-	42-31	P 19	5054	-43-4	4P									
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	195	054-	50-31	P 19	5054	-51-	4P 1	9505	4-52-	-5P									
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	195	054-	72-91	P 19	5054	-73-0	)P 1	9505	4-75-	-2P									
	195	054-	90-1	P 19	5055	-15-3	3P 1	9505	5-18-	-6P									

195055-21-1P 195055-43-7P 195055-44-8P 195055-45-9P 195055-46-0P 195055-47-1P 195055-53-9P 195055-54-0P 195055-55-1P 195055-82-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aminopyrazolopyrimidines as CRF receptor antagonists)

RN 16142-51-1 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N,2,5-trimethyl-3-phenyl- (9CI) (CA INDEX NAME)

RN 195054-42-3 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dichlorophenyl)-5-methyl-N-propyl-(9CI) (CA INDEX NAME)

RN 195054-43-4 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dichlorophenyl)-5-methyl-N-(1-methylpropyl)- (9CI) (CA INDEX NAME)

RN 195054-44-5 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dichlorophenyl)-N-(1,1-dimethylethyl)-5-methyl- (9CI) (CA INDEX NAME)

RN 195054-45-6 CAPLUS

CN 1-Propanol, 3-[[3-(2,4-dichlorophenyl)-5-methylpyrazolo[1,5-a]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

RN 195054-46-7 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dichlorophenyl)-N-(1-ethylpropyl)-5-methyl- (9CI) (CA INDEX NAME)

RN 195054-47-8 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dichlorophenyl)-N-(3-methoxypropyl)-5-methyl- (9CI) (CA INDEX NAME)

RN 195054-48-9 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dichlorophenyl)-5-methyl-N-[3-(1-methylethoxy)propyl]- (9CI) (CA INDEX NAME)

RN 195054-49-0 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-(cyclopropylmethyl)-3-(2,4-dichlorophenyl)-5-methyl- (9CI) (CA INDEX NAME)

RN 195054-50-3 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dichlorophenyl)-N-(1,2-dimethylpropyl)-5-methyl- (9CI) (CA INDEX NAME)

RN 195054-51-4 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dichlorophenyl)-N-(1,3-dimethylbutyl)-5-methyl- (9CI) (CA INDEX NAME)

RN 195054-52-5 CAPLUS

CN 1-Pentanol, 2-[[3-(2,4-dichlorophenyl)-5-methylpyrazolo[1,5-a]pyrimidin-7-yl]amino]-4-methyl-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 195054-53-6 CAPLUS

CN 1-Pentanol, 2-[[3-(2,4-dichlorophenyl)-5-methylpyrazolo[1,5-a]pyrimidin-7-yl]amino]-4-methyl-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 195054-54-7 CAPLUS

CN 1-Butanol, 2-[[3-(2,4-dichlorophenyl)-5-methylpyrazolo[1,5-a]pyrimidin-7-

yl]amino]-3-methyl-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 195054-71-8 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dichlorophenyl)-5-methyl-2-(methylthio)-N-propyl- (9CI) (CA INDEX NAME)

RN 195054-72-9 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dichlorophenyl)-5-methyl-N-(1-methylethyl)-2-(methylthio)- (9CI) (CA INDEX NAME)

RN 195054-73-0 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dichlorophenyl)-N-(1-ethylpentyl)-5-methyl-2-(methylthio)- (9CI) (CA INDEX NAME)

RN 195054-75-2 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dichlorophenyl)-N-[(3-methoxyphenyl)methyl]-5-methyl-2-(methylthio)- (9CI) (CA INDEX NAME)

RN 195054-90-1 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 5-butyl-3-(2,4-dichlorophenyl)-N-(3-methoxypropyl)- (9CI) (CA INDEX NAME)

RN 195055-15-3 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dichlorophenyl)-N-(2-methoxy-1-methylethyl)-5-methyl- (9CI) (CA INDEX NAME)

RN 195055-18-6 CAPLUS

CN 1-Hexanol, 2-[[3-(2,4-dichlorophenyl)-5-methylpyrazolo[1,5-a]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

RN 195055-21-1 CAPLUS

CN 1-Pentanol, 2-[[3-(2,4-dichlorophenyl)-5-methylpyrazolo[1,5-a]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

RN 195055-43-7 CAPLUS

CN 1-Hexanol, 2-[[3-(4-chlorophenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

RN 195055-44-8 CAPLUS

CN 1-Pentanol, 2-[[3-(4-chlorophenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

RN 195055-45-9 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-chlorophenyl)-2,5-dimethyl-N-[2-(methylthio)ethyl]- (9CI) (CA INDEX NAME)

RN 195055-46-0 CAPLUS

CN 1-Pentanol, 2-[[3-(4-chlorophenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]-4-methyl-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 195055-47-1 CAPLUS

CN 1-Hexanol, 2-[[3-[6-(dimethylamino)-4-methyl-3-pyridinyl]-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

RN 195055-53-9 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-[6-(dimethylamino)-4-methyl-3-pyridinyl]-N-(1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 195055-54-0 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-[6-(dimethylamino)-4-methyl-3-pyridinyl]-2,5-dimethyl-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 195055-55-1 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-[6-(dimethylamino)-4-methyl-3-pyridinyl]-N-(1,3-dimethylbutyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 195055-82-4 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethoxyphenyl)-N-(2-methoxyethyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

GΙ

$$R^{1}$$
 $R^{2}$ 
 $R^{2}$ 
 $R^{2}$ 
 $R^{2}$ 

AB Title compds. I [R = (un)substituted Ph, pyridyl; R1 = substituted NH2, (un)substituted alkoxy; R2 = alkyl, alkoxy, alkylthio; R3 = H, alkyl,

alkylsulfonyl, alkylsulfoxy, alkylthio] having CRF receptor antagonistic properties, were prepared Thus, I [R = 2,4-Cl2C6H3, Rl = N-propyl-N-cyclopropylmethylamino, R2 = Me, R3 = H, II] was obtained by treating 3-amino-4-(2,4-dichlorophenyl)pyrazole with MeCOCH2CO2Et, chlorinating I [R = 2,4-Cl2C6H3, Rl = OH, R2 = Me, R3 = H] and aminating I [R1 = Cl]. II had a Ki for CRF receptor inhibition of <250 nM.

L4 ANSWER 54 OF 63 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1996:196727 CAPLUS

DOCUMENT NUMBER: 124:261026

TITLE: Preparation and formulation of pyrazolopyrimidine

derivatives as analgesics

INVENTOR(S):
Shoji, Yasuo; Inoue, Makoto; Okamura, Takashi;

Hashimoto, Kinji; Ohara, Masayuki; Yasuda, Tsuneo

PATENT ASSIGNEE(S): Otsuka Pharmaceutical Factory, Inc., Japan

SOURCE: PCT Int. Appl., 89 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PATENT NO.					APPLICATION NO.		DATE		
				A1	19951228	WO 1995-JP1104		19950605		
	•		•	,		GB, GR, IE, IT, LU,	MC, N	IL, PT, SE		
CA	2169719			AA	19951228	CA 1995-2169719		19950605		
CA	2169719			С	20020416					
						AU 1995-25765		19950605		
					19970724					
EP	714898			A1	19960605	EP 1995-920260		19950605		
					20011114					
	R: AT,	BE,	CH,	DE,	DK, ES, FR,	GB, GR, IE, IT, LI,	LU, M	MC, NL, PT,	SE	
	1131948			А	19960925	CN 1995-190760		19950605		
	1046730			В	19991124					
						JP 1995-137878		19950605		
	3163412				20010508					
	08310951				19961126			19950605		
_					20010508					
						AT 1995-920260				
					20020216					
						PT 1995-920260				
	5707997			А	19980113	US 1996-602824				
PRIORITY	APPLN.	INFO	.:			JP 1994-138635				
						JP 1995-53997		19950314		
						WO 1995-JP1104	W	19950605		

OTHER SOURCE(S): MARPAT 124:261026

IT 174859-38-2P 174859-40-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrazolopyrimidine derivs. as analgesics)

RN 174859-38-2 CAPLUS

CN Benzamide, N-[5-butyl-2-phenyl-3-[4-(phenylthio)phenyl]pyrazolo[1,5-a]pyrimidin-7-yl]-3,4,5-trimethoxy- (9CI) (CA INDEX NAME)

RN 174859-40-6 CAPLUS

CN Benzamide, N-(5-butyl-3-phenylpyrazolo[1,5-a]pyrimidin-7-yl)-3,4,5-trimethoxy- (9CI) (CA INDEX NAME)

GΙ

AB The title compds. I [R1 represents hydrogen, lower alkyl, cycloalkyl,

thienyl, furyl, lower alkenyl or phenyl; R2 represents naphthyl, cycloalkyl, furyl, thienyl, pyridyl, phenoxy or phenyl; R3 represents hydrogen, Ph or lower alkyl; R4 represents hydrogen, lower alkyl, lower alkoxycarbonyl, phenyl-substituted lower alkyl, Ph or halogen; R5 represents hydrogen or lower alkyl; R6 represents hydrogen, lower alkyl, phenyl-substituted lower alkyl or benzoyl; Q represents carbonyl or sulfonyl; A represents a single bond, lower alkylene or lower alkenylene; and n represents 0 or 1] are prepared The title compound II (preparation given) at

3 mg/Kg orally showed potent analgesic activity in rats.

L4 ANSWER 55 OF 63 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1993:213102 CAPLUS

DOCUMENT NUMBER: 118:213102

TITLE: Preparation of pyrazolo[1,5-a]pyrimidine derivatives

antiinflammatory agents

INVENTOR(S): Inoue, Makoto; Hashimoto, Kinji; Kuwahara, Toshiko;

Sugimoto, Yukio; Uesako, Takuji; Funato, Toshiaki

PATENT ASSIGNEE(S): Otsuka Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 48 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

P.	PATENT NO.				KINI	D	DATE		AP:	PLICATION NO.		DATE
– W	 O 9218	 504			A1	_	1992	1029	WO	1991-JP1043		19910806
	W:	ΑU,	CA,	KR,	US							
	RW:	ΑT,	BE,	CH,	DE,	DK.	ES,	FR,	GB, G	R, IT, LU, NL	, SE	
C	A 2107	479			AA		1992	1023	CA	1991-2107479		19910806
C.	A 2107	479			С		1997	1216				
A	U 9182	958			A1		1992	1117	AU	1991-82958		19910806
A	U 6519	86			В2		1994	0811				
E	P 5915	28			A1		1994	0413	EP	1991-913666		19910806
E	P 5915	28			В1		1998	1223				
	R:	ΑT,	CH,	DE,	DK,	ES,	FR,	GB,	IT, L	I, NL, SE		
A	T 1749	17			E		1999	0115	AT	1991-913666		19910806
E	S 2126	573			Т3		1999	0401	ES	1991-913666		19910806
J	P 0507	0353			A2		1993	0323	JP	1992-55370		19920313
U	S 5688	949			A		1997	1118	US	1993-133086		19931007
PRIORI	TY APP	LN.	INFO	.:					JP	1991-90707	А	19910422
									WO	1991-JP1043	А	. 19910806

OTHER SOURCE(S): MARPAT 118:213102

IT 137739-40-3P 137739-41-4P 137739-43-6P

137739-44-7P 137739-55-0P 137739-56-1P

137739-59-4P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of, as antiinflammatory agent)

RN 137739-40-3 CAPLUS

CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-[(5-methyl-3-phenylpyrazolo[1,5-a]pyrimidin-7-yl)amino]- (9CI) (CA INDEX NAME)

RN 137739-41-4 CAPLUS

CN Benzoic acid, 2-[(5-methyl-3-phenylpyrazolo[1,5-a]pyrimidin-7-yl)amino]-, methyl ester (9CI) (CA INDEX NAME)

RN 137739-43-6 CAPLUS

CN Pyrazolo[1,5-a]pyrimidine-6-carboxylic acid, 7-[[2- (methoxycarbonyl)phenyl]amino]-3-phenyl-, ethyl ester (9CI) (CA INDEX NAME)

RN 137739-44-7 CAPLUS

CN Pyrazolo[1,5-a]pyrimidine-6-carboxylic acid, 7-[[4-hydroxy-3-(methoxycarbonyl)phenyl]amino]-3-phenyl-, ethyl ester (9CI) (CA INDEX NAME)

RN 137739-55-0 CAPLUS

CN Benzoic acid, 2-hydroxy-5-[(5-methyl-3-phenylpyrazolo[1,5-a]pyrimidin-7-yl)amino]- (9CI) (CA INDEX NAME)

RN 137739-56-1 CAPLUS

CN Benzoic acid, 2-[(5-methyl-3-phenylpyrazolo[1,5-a]pyrimidin-7-yl)amino]-, monosodium salt (9CI) (CA INDEX NAME)

● Na

RN 137739-59-4 CAPLUS

CN Pyrazolo[1,5-a]pyrimidine-6-carboxylic acid, 7-[(3-carboxy-4-hydroxyphenyl)amino]-3-phenyl- (9CI) (CA INDEX NAME)

GI

AB The title compds. [I; R1-R4 = H, CO2H, Ph, alkoxycarbonyl, alkyl, cycloalkyl, etc.; R1R2 = alkylene; R5 = SR6, NR7R8 (wherein R6 = pyridyl, Ph or substituted Ph; R7, R8 = H, Ph or substituted Ph, etc.)] are prepared A suspension of Cl compound II (R = Cl) 3.5, aniline salt III 6.0, and PhNEt2 6.0 in MePh was heated at 120° to give 4.7 g IV, which showed IC50 of 3 + 10-7M against cyclooxygenase. IV showed 65.0% inhibition against cyclooxygenase at 3 + 10-7M, vs. 12.4% with indomethacin.

L4 ANSWER 56 OF 63 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1992:6580 CAPLUS

DOCUMENT NUMBER: 116:6580

TITLE: Preparation of pyrazolo[1,5-a]pyrimidine derivatives

as drugs

INVENTOR(S):
Inoue, Makoto; Hashimoto, Kinji

PATENT ASSIGNEE(S): Otsuka Pharmaceutical Factory, Inc., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 10 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 03204877	A2	19910906	JP 1990-289769	19901025
JP 2585462	В2	19970226		

PRIORITY APPLN. INFO.: JP 1989-277566 A1 19891025

OTHER SOURCE(S): MARPAT 116:6580 IT 137739-40-3P 137739-41-4P 137739-43-6P 137739-44-7P 137739-55-0P 137739-56-1P 137739-59-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of, as drug)

RN 137739-40-3 CAPLUS

CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-[(5-methyl-3-phenylpyrazolo[1,5-a]pyrimidin-7-yl)amino]- (9CI) (CA INDEX NAME)

RN 137739-41-4 CAPLUS

CN Benzoic acid, 2-[(5-methyl-3-phenylpyrazolo[1,5-a]pyrimidin-7-yl)amino]-, methyl ester (9CI) (CA INDEX NAME)

RN 137739-43-6 CAPLUS

CN Pyrazolo[1,5-a]pyrimidine-6-carboxylic acid, 7-[[2- (methoxycarbonyl)phenyl]amino]-3-phenyl-, ethyl ester (9CI) (CA INDEX NAME)

RN 137739-44-7 CAPLUS

CN Pyrazolo[1,5-a]pyrimidine-6-carboxylic acid, 7-[[4-hydroxy-3-(methoxycarbonyl)phenyl]amino]-3-phenyl-, ethyl ester (9CI) (CA INDEX NAME)

RN 137739-55-0 CAPLUS

CN Benzoic acid, 2-hydroxy-5-[(5-methyl-3-phenylpyrazolo[1,5-a]pyrimidin-7-

yl)amino]- (9CI) (CA INDEX NAME)

RN 137739-56-1 CAPLUS

CN Benzoic acid, 2-[(5-methyl-3-phenylpyrazolo[1,5-a]pyrimidin-7-yl)amino]-, monosodium salt (9CI) (CA INDEX NAME)

Na

RN 137739-59-4 CAPLUS

CN Pyrazolo[1,5-a]pyrimidine-6-carboxylic acid, 7-[(3-carboxy-4-hydroxyphenyl)amino]-3-phenyl- (9CI) (CA INDEX NAME)

GΙ

$$R^{1}$$
 $R^{2}$ 
 $R^{3}$ 
 $R^{4}$ 
 $R^{3}$ 
 $R^{4}$ 

AB The title compds. [I; R1-R4 = H, CO2H, alkoxycarbonyl, Ph, (HO-, HO2C-, or alkoxycarbonyl-substituted)alkyl, cycloalkyl; or R1R2 = alkylene; R5 = SR6, NR7R8; R6 = pyridyl, (1-3 HO- or alkyl-substituted) Ph; R7, R8 = H, (1-3 HO-, alkyl-, alkoxycarbonyl-, or HO2C-substituted) Ph; or NR7R8 = 1-pyrrolidinyl, 2-oxo-1-pyrrolidinyl, (un)substituted 1-piperazinyl], useful as antiinflammatories, antirheumatics, antiasthmatics, allergy inhibitors, antipyretics, and analgesics and for improvement of ischemia (no data), are prepared Thus, a suspension of 1.0 g 7-chloropyrazolo[1,5-pyrimidine, 1.8 g 3,5-di-tert-butyl-4-hydroxyaniline-HCl, and 2.3 mL PhNEt2 in PhMe was heated 30 min at 120° to give 890 mg I (R1-R4 = H, R5 = 3,5-di-tert-butyl-4-hydroxyphenylamino). A total of 48 I were prepared

L4 ANSWER 57 OF 63 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1986:47662 CAPLUS

DOCUMENT NUMBER: 104:47662

TITLE: Purine analog inhibitors of xanthine oxidase -

structure activity relationships and proposed binding

of the molybdenum cofactor

AUTHOR(S): Robins, Roland K.; Revankar, Ganapathi R.; O'Brien,

Darrell E.; Springer, Robert H.; Novinson, Thomas; Albert, Anthony; Senga, Keitaro; Miller, Jon P.;

Streeter, David G.

CORPORATE SOURCE: Cancer Res. Cent., Brigham Young Univ., Provo, UT,

84602, USA

SOURCE: Journal of Heterocyclic Chemistry (1985), 22(3),

601-34

CODEN: JHTCAD; ISSN: 0022-152X

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 104:47662

IT 99898-59-6P 99898-61-0P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of and xanthine oxidase inhibition by)

RN 99898-59-6 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-ethyl-3-(3-methylphenyl)- (9CI) (CA

INDEX NAME)

RN 99898-61-0 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(3-methylphenyl)-N-propyl- (9CI) (CA INDEX NAME)

AB An updated study of structure-activity relations and binding to xanthine oxidase of hypoxanthine analogs is presented. In view of the recent elucidation of the pterin cofactor and the proposed binding of this factor to the Mo ion in xanthine oxidase, a detailed mechanism of xanthine oxidase oxidation of hypoxanthine and xanthine is proposed. Three types of substrate binding are viewed for xanthine oxidase: the binding of xanthine to xanthine oxidase is termed Type I binding, the binding of hypoxanthine is termed Type II binding, and the specific binding of alloxanthine is called Type III binding. These 3 types of substrate binding were determined for the most potent known inhibitors of xanthine oxidase, and these

inhibitors were classified as to the type of inhibitor binding most likely to be associated with specific enzyme inhibition. The structural requirements for each type of binding can be clearly seen to correlate with the inhibitory activity observed. The chemical syntheses of the new 3-phenyl- and 3-substituted phenylpyrazolo[1,5-a]pyrimidines with various substituents are reported. The syntheses of various 8-phenyl-2-substituted pyrazolo[1,5-a]-s-triazines, certain s-triazolo[1,5-a]-s-triazines and s-triazolo[1,5-a]pyrimidine derivs. prepared in connection with the present study are also described.

L4 ANSWER 58 OF 63 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1971:405833 CAPLUS

DOCUMENT NUMBER: 75:5833

TITLE: Acyl enamines. 18. Reaction of

phenylcyanoacetaldehyde with hydrazine

AUTHOR(S): Eiden, Fritz; Evers, G.

CORPORATE SOURCE: Pharm. Inst., Freie Univ. Berlin, Berlin, Fed. Rep.

Ger.

SOURCE: Archiv der Pharmazie und Berichte der Deutschen

Pharmazeutischen Gesellschaft (1971), 304(2), 121-5

CODEN: APBDAJ; ISSN: 0376-0367

DOCUMENT TYPE: Journal LANGUAGE: German

IT 32016-26-5P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of) 32016-26-5 CAPLUS

CN Acetamide, N-(3,6-diphenylpyrazolo[1,5-a]pyrimidin-7-yl)- (8CI) (CA INDEX

NAME)

RN

Ph N N Ph

AB Reaction of PhCH(CN)CHO with H2NNH2.H2O in C6H6 in the presence of p-MeC6H4SO3H gave 73% I (and II (R = R1 = H) (III) by-product) but no PhCH(CN)CH:NN:CHCH(CN)Ph (described by E. L. Anderson, et al. (1964)). Heating III in Ac2O and concentrated H2SO4 gave 63% II (R = R1 = Ac) and some II (R = H, R1 = Ac).

L4 ANSWER 59 OF 63 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1971:22872 CAPLUS

DOCUMENT NUMBER: 74:22872

TITLE: 7-Aminoalkylaminopyrazolo[1,5-a]pyrimidine derivatives

INVENTOR(S): Takamizawa, Akira

PATENT ASSIGNEE(S): Shionogi and Co., Ltd.

SOURCE: Jpn. Tokkyo Koho, 3 pp.

CODEN: JAXXAD

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 45030335	B4	19701001	JP	19661214

IT 30156-81-1P

RN 30156-81-1 CAPLUS

CN 1-Piperidineacetamide, N-(2,5-dimethyl-3-phenylpyrazolo[1,5-a]pyrimidin-7-yl)- (8CI) (CA INDEX NAME)

GI For diagram(s), see printed CA Issue.

AB I is treated with an amine and the resulting II reduced to manufacture III, useful as an antipyretic, analgesic, and antiinflammatory drug. In an example, I in CHCl3 is refluxed 5 hr with piperidine to give II (A = piperidino), m. 195-6°. Similarly prepared are the following II (A given): morpholino; NMe2. III (A = piperidino) in THF is dropped into a suspension of LiAlH4 in THF and the mixture refluxed 4 hr to give III (A = piperidino). Similarly prepared are the following III (A given): morpholino; NMe2.

L4 ANSWER 60 OF 63 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1968:114635 CAPLUS

DOCUMENT NUMBER: 68:114635

TITLE: 7-Haloacylaminopyrazolo[1,5-a]pyrimidines

INVENTOR(S): Takamizawa, Akira
PATENT ASSIGNEE(S): Shionogi and Co., Ltd.
SOURCE: Jpn. Tokkyo Koho, 2 pp.

CODEN: JAXXAD

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 42016314	В4	19670904	JP	19640629

IT 17560-60-0P

RN 17560-60-0 CAPLUS

CN Acetamide, 2-chloro-N-(2,5-dimethyl-3-phenylpyrazolo[1,5-a]pyrimidin-7-yl)-(8CI) (CA INDEX NAME)

2,3-Dimethyl-7-aminopyrazolo[1,5-a]pyrimidine (5.7 g.) in 40 ml. Me2NCHO is treated with 4 g. ClCH2COCl with ice-cooling and the whole heated to give 1.94 g. 2,3-dimethyl-7-chloroacetamidopyrazolo[1,5-a]pyrimidine, m. 175° (MeOH). Similarly prepared are the following R-substituted-7-chloroacetamidopyrazolo[1,5-a]pyrimidines (R and m.p. given): 2,3,6-trimethyl, 139-41°; 2,5-dimethyl-3-phenyl, 158-9°. The products are then subjected to aminolysis to give antipyretic, analgesic, and antiphlogistic drugs.

L4 ANSWER 61 OF 63 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1967:508663 CAPLUS

DOCUMENT NUMBER: 67:108663

TITLE: 7-Methylaminopyrazolo[1,5-a]pyrimidine derivatives

INVENTOR(S): Takamizawa, Akira; Hamashima, Yoshio

PATENT ASSIGNEE(S): Shionogi and Co., Ltd. SOURCE: Jpn. Tokkyo Koho, 3 pp.

CODEN: JAXXAD

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 42011753	B4	19670704	JP	19640120

IT 16142-50-0P 16142-51-1P

RN 16142-50-0 CAPLUS

CN Formamide, N-(2,5-dimethyl-3-phenylpyrazolo[1,5-a]pyrimidin-7-yl)- (8CI) (CA INDEX NAME)

RN 16142-51-1 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N,2,5-trimethyl-3-phenyl- (9CI) (CA INDEX NAME)

GI For diagram(s), see printed CA Issue.

 $\ensuremath{\mathsf{AB}}$  cf. preceding abstract Manufacture of Ia (I where X is NHMe), useful as sedative

and antiphlogistic agents, starting from Ib (I where X is NH2) via Ic (I where X is NHCHO) is described. In an example, 5 ml. acid anhydride of a mixture of HCO2H and Ac2O is added to 1 g. ice-cooled Ib (R1 = R2 = Me, R3 = R4 = H), the whole let stand for 1.5 days, concentrated in vacuo, and the residue recrystd. (Me2CO) to give 0.95 g. Ic (R1 = R2 = Me, R3 = R4 = H), pale green, m.  $189^{\circ}$ . Similarly prepared are the following Ic (R1, R2, R3, R4, and m.p. given): Me, Me, H, Me (pale green),  $183^{\circ}$ ; Me, Ph, Me, H (pale yellow),  $184^{\circ}$  (decomposition); PhCH2, Me, Me, H,  $131-2^{\circ}$ . Ic (R1 = R2 = Me, R3 = R4 = H) (1 g.) in 30 ml.

tetrahydrofuran is added to icecooled mixture of 0.7 g. LiAlH4 and 50 ml. tetrahydrofuran, the mixture heated at  $70^{\circ}$  for 5 hrs., NaOH solution added, the tetrahydrofuran layer separated and concentrated, and the residue extracted

with CHCl3 to give 0.83 g. Ia (R1 = R2 = Me, R3 = R4 = H), m. 146° (Et2O). Similarly prepared are the following Ia (R1, R2, R3, R4, and m.p. given): Me, Me, H, Me, 157°; Me, Ph, Me, H, 190-1°; PhCH2, Me, Me, H, (oil) (picrate m. 207-8°).

L4 ANSWER 62 OF 63 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1967:410663 CAPLUS

DOCUMENT NUMBER: 67:10663

TITLE: The electrocardiographic picture in the acute

experimental poisoning from organic phosphorus

compounds

AUTHOR(S):

CORPORATE SOURCE:

Orlando, E.; Raffi, G. B.; Zini, C.

Ist. Med., Univ. Bologna, Bologna, Italy

Lavoro Umano (1966), 18(11), 493-501

CODEN: LAUMAL; ISSN: 0023-9127

DOCUMENT TYPE: Journal LANGUAGE: Italian

IT 16142-50-0P

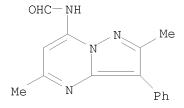
RN

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of) 16142-50-0 CAPLUS

CN Formamide, N-(2,5-dimethyl-3-phenylpyrazolo[1,5-a]pyrimidin-7-yl)-(8CI)

(CA INDEX NAME)



AB A study of the electrocardiographic changes effected by parathion, administered i.v. into rabbits at an acute poisoning dose of 12.5 mg./kg. body weight, suggested that electrolyte imbalances effected by organic P compds.

play a role in the genesis of these electrocardiographic changes.

L4 ANSWER 63 OF 63 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1966:75800 CAPLUS

DOCUMENT NUMBER: 64:75800

ORIGINAL REFERENCE NO.: 64:14196h,14197a-b

TITLE: 7-Substituted carbonylaminopyrazolo[1,5-

 $\alpha$ ]pyrimidine derivatives

INVENTOR(S): Takamizawa, Akira; Hamashima, Yoshio

PATENT ASSIGNEE(S): Shionogi & Co., Ltd.

SOURCE: 3 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

P.	ATENT NO.	KIND	DATE	APPLICATION NO.	DATE
J:	P 41001864	B4	19660209	JP	19630907
PRIORI'	TY APPLN. INFO.:			JP	19630907
IT 5	299-74-1, Urea, 3-	(2,5-di	methyl-3-phe	nylpyrazolo[1,5-a]-pyri	midin-

IT 5299-74-1, Urea, 3-(2,5-dimethyl-3-phenylpyrazolo[1,5-a]-pyrimidin-7-yl)-1,1-dimethyl-5299-76-3, Pyrazolo[1,5-a]pyrimidine-7-carbamic acid, 2,5-dimethyl-3-phenylthio-, S-ethyl ester 5313-71-3, Pyrazolo[1,5-a]pyrimidine-7-carbamic acid, 2,5-dimethyl-3-phenyl-, ethyl ester

(preparation of)

RN 5299-74-1 CAPLUS

CN Urea, 3-(2,5-dimethyl-3-phenylpyrazolo[1,5-a]pyrimidin-7-yl)-1,1-dimethyl-(7CI, 8CI) (CA INDEX NAME)

RN 5299-76-3 CAPLUS

CN Pyrazolo[1,5-a]pyrimidine-7-carbamic acid, 2,5-dimethyl-3-phenylthio-, S-ethyl ester (7CI, 8CI) (CA INDEX NAME)

RN 5313-71-3 CAPLUS

CN Pyrazolo[1,5-a]pyrimidine-7-carbamic acid, 2,5-dimethyl-3-phenyl-, ethyl ester (7CI, 8CI) (CA INDEX NAME)

GI For diagram(s), see printed CA Issue.

AB Manufacture of I, useful as tranquilizer and antiinflammatory agents, is described. Thus, a mixture of 1 g. 2,3-dimethyl-7-aminopyrazolo[1,5-a]pyrimidine and 0.67 g. ClCO2Et in 20 ml. Me2CO is heated at 100° for 4 hrs. in a sealed tube, cooled, filtered, the filtrate extracted with CHCl3, and the extract concentrated to give 0.83 g. I (R1 = R2 = Me, R3 = H,

R4 =

OEt), columns, m.  $113^\circ$  (Et2O); hydrochloride m.  $188^\circ$ . Similarly prepared are the following I (R1, R2, R3, R4, and m.p. given): Me, Me, H, NMe2,  $163^\circ$  (hydrochloride m.  $210-13^\circ$ ); Me, Me, H, morpholino, -- (hydrochloride m.  $208^\circ$ ); Me, Me, H, piperidino,  $136^\circ$ ; Me, Ph, Me, OEt,  $148-9^\circ$ ; Me, Ph, Me, NMe2,  $148-50^\circ$ ; Bz, Me, Me, OEt,  $92^\circ$ ; Me, Ph, Me, SEt,  $105^\circ$ ; Bz, Me, Me, SEt,  $98-9^\circ$ ; Bz, Me, Me, NMe2,  $137-8^\circ$ ; Bz, Me, Me, piperidino,  $118-19^\circ$ .

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---Logging off of STN---

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Executing the logoff script...

=> LOG Y

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	322.85	490.00
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	-47.25	-47.25

STN INTERNATIONAL LOGOFF AT 18:40:44 ON 15 JUN 2006